```
=> d his

     (FILE 'HOME' ENTERED AT 07:36:09 ON 13 MAR 2003)
               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 07:36:46 ON 13 MAR 2003
               E DE2000-10019136/AP,PRN
L1             1 S E3,E4
               SEL RN

     FILE 'REGISTRY' ENTERED AT 07:38:11 ON 13 MAR 2003
L2            88 S E1-E88
L3             0 S L2 AND (NCNC2-SC4 AND NCNC2-NCNC3 AND NCNC3)/ES
L4             0 S L2 AND NCNC2-SC4/ES
L5             6 S L2 AND P/ELS
L6            86 S L2 AND SQL/FA
L7            17 S L6 AND 11/SQL
L8            26 S L6 AND 12/SQL
L9             4 S L8 AND PEPTIDE NUCLEIC ACID AND THIENO AND IMIDAZOL AND HEXAH
L10            1 S L9 AND G G T A T G G G A T A T
               E FS
               E GGTATGGGATAT/SQEN
L11            3 S E3
               E TATTCCGTCAT/SQEN
L12          129 S E3
L13            4 S L12 AND THIENO AND IMIDAZOL?
L14            2 S L13 NOT 22/SQL
               E TATTCCGTCAT/SQEN
L15            2 S L2 NOT L6
L16            7 S L2 AND ?THIEN?/CNS
L17            4 S L2 AND ?GUAN?/CNS
L18            1 S L2 AND ?ADEN?/CNS NOT L17
L19            1 S L2 AND ?THYM?/CNS NOT L17,L18
L20           41 S (NCNC2-SC4 AND NCNC2-NCNC3 AND NCNC3)/ES
L21            6 S L20 AND 7/NR
L22            0 S L21 AND 1/P
L23         8236 S (?THIENO?(L)?IMIDAZOL?)/CNS
L24         6330 S NCNC2-SC4/ES
L25         8278 S L23,L24
L26          764 S L25 AND P/ELS
L27          738 S L25 AND ?PHOSPH?/CNS
L28          905 S L26,L27
L29          127 S L28 AND OXOPENTYL AMINO HEXYL
L30           37 S L20 AND HEXAHYDRO 2 OXO
L31           33 S L30 AND P>=2
L32            4 S L30 NOT L31
L33            0 S L32 NOT OC4/ES
L34           79 S L29 NOT OC4/ES
L35           29 S L34 AND P>=2
L36           50 S L34 NOT L35
L37           21 S L36 NOT UNSPECIFIED
L38           29 S L36 NOT L37
L39            5 S L38 AND L11,L12
L40            3 S L39 NOT 22/SQL
L41           24 S L38 NOT L39
L42           19 S L41 NOT COMPLEX
L43            5 S L42 AND (11 OR 12)/SQL
L44            3 S L43 AND PHOSPHINYL
L45           14 S L12 AND ?PHOSPHINYL?/CNS
L46           14 S L45 AND HEX?
L47            6 S L45 NOT 22/SQL
L48            4 S L47 NOT SPIRO
L49            2 S L48 NOT ?THIENO?/CNS
```

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

```
L50             STR
L51           4 S L50 CSS
L52         157 S L50 CSS FUL
                SAV L52 SIEW835/A
L53           0 S L52 AND NCNC2-SC4/ES
L54           5 S L52 AND 6/NR
L55           3 S L54 NOT GLY
L56           2 S L55 AND HYDROXYHEXYL
L57          13 S L52 AND 9/NR
L58           2 S L54 AND ACETYL
L59          12 S L11,L14,L40,L44,L48,L49,L56,L58
                SAV L59 SIEW835A/A

     FILE 'HCAPLUS' ENTERED AT 08:38:47 ON 13 MAR 2003
L60           3 S L59
                E UHLMANN E/AU
L61         173 S E3,E4,E14-E15
                E BRIEPOHL G/AU
                E BREIPOHL G/AU
L62         106 S E3-E6
                E BREIPOEHL G/AU
L63           1 S E2
L64           1 S E10
                E WILL D/AU
L65          40 S E3,E7-E10
                E AVENTIS/PA,CS
L66        1598 S E2-E4
L67         857 S (AVENTIS(L)PHARM?)/PA,CS
L68           2 S L60 AND L61-L67
L69           3 S L60,L68
                E PEPTIDE NUCLEIC ACID/CT
                E E4+ALL
L70        1670 S E3
L71        5997 S PEPTIDE NUCLEIC ACID OR PNA
L72          34 S L61-L67 AND L70,L71
                SEL RN L72

     FILE 'REGISTRY' ENTERED AT 08:43:45 ON 13 MAR 2003
L73         564 S E1-E564
L74           0 S L73 AND NCNC2-SC4/ES
L75           8 S L73 AND (?THIENO?(L)?IMIDAZ?)/CNS
L76          27 S L73 AND L11,L12
L77           7 S L73 AND L52

     FILE 'HCAPLUS' ENTERED AT 08:48:15 ON 13 MAR 2003
L78          12 S L75-L77
L79           9 S L78 AND L61-L67
L80           8 S L79 AND L72
L81           9 S L79,L80
L82           3 S L78 NOT L81

=> fil reg
FILE 'REGISTRY' ENTERED AT 08:50:05 ON 13 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   12 MAR 2003  HIGHEST RN 498527-50-7
DICTIONARY FILE UPDATES:  12 MAR 2003  HIGHEST RN 498527-50-7
```

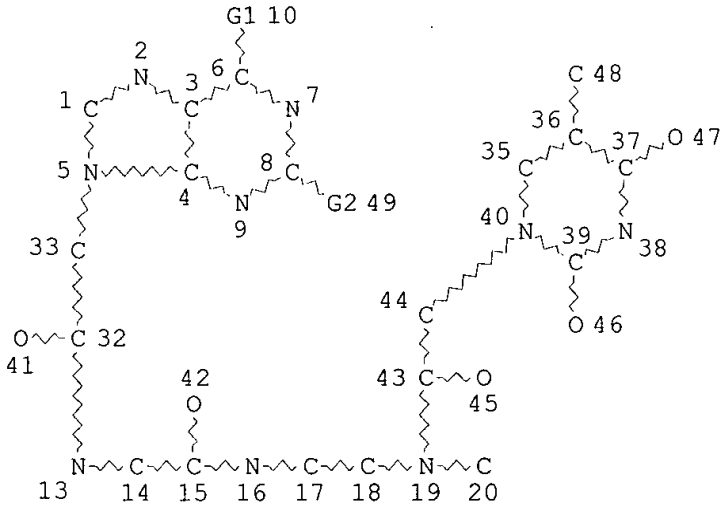
TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que l52
L50 STR



VAR G1=O/N
VAR G2=H/N
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 13
CONNECT IS M1 RC AT 20
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
L52 157 SEA FILE=REGISTRY CSS FUL L50

100.0% PROCESSED 342 ITERATIONS 157 ANSWERS
SEARCH TIME: 00.00.01

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 08:50:26 ON 13 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 13 Mar 2003 VOL 138 ISS 11
FILE LAST UPDATED: 12 Mar 2003 (20030312/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l69 all tot

L69 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:780930 HCAPLUS
DN 135:331678
TI Methods for preparing phosphorylated peptide nucleic acids carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups
IN **Uhlmann, Eugen; Breipohl, Gerhard; Will, David William**
PA **Aventis Pharma** Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07H021-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079249	A2	20011025	WO 2001-EP4027	20010407
	WO 2001079249	A3	20020328		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10019136	A1	20011031	DE 2000-10019136	20000418
	BR 2001010111	A	20030211	BR 2001-10111	20010407
	EP 1282639	A2	20030212	EP 2001-919443	20010407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003022172	A1	20030130	US 2001-835370	20010417
	NO 2002004960	A	20021112	NO 2002-4960	20021015
PRAI	DE 2000-10019136	A	20000418		
	WO 2001-EP4027	W	20010407		

AB The invention relates to PNA derivs. which carry a phosphoryl radical on the N terminus of the PNA backbone, for example a phosphate or a substituted phosphoryl radical, substituted phosphoryl derives optionally carrying one or more marker groups or groups for crosslinking or groups which favor intracellular take-up or groups which increase the binding affinity of the PNA deriv. to nucleic acids. The invention also relates to a method for producing the aforementioned PNA derivs. and to their use

as medicaments and diagnostic agents. Thus, several PNA chains were prepd.using solid phase peptide synthesis techniques, in which the C-terminal was capped by NH(CH2)6OH and the N-terminal H2N- group was replaced by HO-, and functionalized to H2O3PO- or ROP(O)(OH)O- (R = biotin or fluorescein tag group or alkyl cap). Hybridization tests with complementary DNA or RNA showed increased binding, compared to a normal PNA chain N-capped with H3CC(O)- and C-capped with NH(CH2)6OH. In vitro cellular uptake studies were done with fluorescein-tagged PNA (no data). In vitro cell proliferation studies were done with a H3C(CH2)15OP(O)(OH)- capped PNA using human pre-B leukemia cells or A549-tumor cells (no data).

ST PNA deriv prepn antiviral antimicrobial antitumor diagnostic hybridization

IT Diagnosis
(agents; prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Solid phase synthesis
(peptide; prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Antimicrobial agents
Antitumor agents
Antiviral agents
Biosensors
Nucleic acid hybridization
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Peptide nucleic acids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT **368944-36-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 368944-38-1P 368944-39-2P 368944-40-5P 368944-41-6P 368944-42-7P 368944-43-8P 368944-44-9P 368944-45-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 368506-25-6P **368944-35-8P** 368944-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 367255-38-7P 367255-39-8P 367985-52-2P 367985-53-3P 367985-54-4P 367985-55-5P **368506-26-7P 368506-27-8P**
368506-28-9P 368506-29-0P 368506-30-3P 368506-31-4P
368944-46-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 110616-00-7 116364-61-5 147178-75-4 159845-57-5 169025-57-4, GenBank AR029142 181988-02-3 181988-09-0 185831-42-9 186070-79-1, GenBank A42375 186071-78-3 186108-31-6, 3: PN: WO0004034 SEQID: 3 unclaimed DNA 186123-93-3, GenBank A44395 186162-52-7 186162-55-0, GenBank A42368 189356-60-3 195184-07-7, GenBank A42342 195184-11-3, GenBank A42347 195184-12-4 195184-14-6, GenBank A42351 195184-15-7, GenBank A42352 195184-16-8, GenBank A44386 195184-17-9, GenBank A42354 195184-18-0, GenBank A42355 195184-19-1, GenBank A42356 195184-20-4, GenBank A42357 195184-21-5, GenBank A42358 195184-22-6, GenBank A42359 195184-23-7, GenBank A42361 195184-24-8, GenBank A42362 195184-25-9, GenBank A42363 195184-26-0, GenBank A47186 195184-27-1 195184-28-2, GenBank A47179 197103-72-3 197831-18-8 246223-25-6 257601-47-1, GenBank AX283184 325605-36-5, GenBank AX283169 325605-37-6, GenBank AX283174 325605-38-7 325605-39-8 325605-40-1 325605-41-2

325605-42-3 325605-43-4 325605-44-5 325605-45-6 325605-46-7
325605-47-8 325605-48-9 325605-49-0 325605-50-3 325605-51-4
325605-52-5 368952-79-8 368952-80-1 368952-81-2 368952-82-3
368952-83-4 **368952-84-5** 368952-85-6
RL: PRP (Properties)
(unclaimed nucleotide sequence; methods for prepg. phosphorylated peptide nucleic acids carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

IT 81742-60-1 143189-17-7
RL: PRP (Properties)
(unclaimed sequence; methods for prepg. phosphorylated peptide nucleic acids carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

L69 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:780897 HCAPLUS
DN 135:331677
TI Methods for preparing phosphorylated peptide nucleic acids carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups
IN **Uhlmann, Eugen; Breipohl, Gerhard; Will, David William**
PA **Aventis Pharma** Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07H
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 33, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079216	A2	20011025	WO 2001-EP4030	20010407
	WO 2001079216	A3	20020228		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10019135	A1	20011031	DE 2000-10019135	20000418
	AU 2001054795	A5	20011030	AU 2001-54795	20010407
	EP 1276760	A2	20030122	EP 2001-927897	20010407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001010110	A	20030211	BR 2001-10110	20010407
	US 2002187473	A1	20021212	US 2001-835371	20010417
	NO 2002004959	A	20021015	NO 2002-4959	20021015
PRAI	DE 2000-10019135	A	20000418		
	WO 2001-EP4030	W	20010407		

OS MARPAT 135:331677
AB The invention relates to PNA derivs. that carry one or more phosphoryl groups at the C terminus or at the C and N terminus of the PNA backbone, said phosphoryl groups optionally carrying one or more marker groups, or groups for crosslinking, or groups that promote the intracellular uptake, or groups that improve the binding affinity of the PNA deriv. to nucleic acids. The invention further relates to a method for producing the above PNA derivs. and to the use thereof as a medicament or diagnostic agent. Thus, title compd. CH3(CH2)15OP(O)(OH)-T(oeg)[ATTCCGTCAT](CH2)6NHP(O)(OH)O-

CH2CH(CH2OH)(CH2)4NHC(S)NH-fluorescein (I) [T(oeg) = O(CH2)2N(C(O)CH2-Base)CH2C(O)-; remainder of chain = normal peptide nucleic acid backbone] was prepd. using solid-phase peptide synthesis techniques. Hybridization tests of I with complementary DNA and RNA showed better complexation with DNA than with RNA, though both were stronger than with PNA Ac-NH-TATTCCGTCAT-(CH2)6NH2 ref. In vitro cell proliferation studies using I and human pre-B leukemia cells showed stronger inhibition than a known phosphorothioate oligonucleotide (no data).

ST PNA deriv prepn antiviral antimicrobial antitumor diagnostic hybridization

IT Diagnosis
(agents; prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Solid phase synthesis
(peptide; prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Antimicrobial agents
Antitumor agents
Antiviral agents
Biosensors
Nucleic acid hybridization
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT Peptide nucleic acids
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 368505-39-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 367985-20-4P 367985-21-5P 367985-22-6P 367985-23-7P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 367985-17-9P 367985-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT **367985-18-0P** 368505-37-7P **368505-38-8P** 368505-40-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of PNA derivs. as therapeutic or diagnostic agents)

IT 110616-00-7 116364-61-5 147178-75-4 159845-57-5 169025-57-4, GenBank AR029142 181988-02-3 181988-09-0 186070-79-1, GenBank A42375 186071-78-3 186108-31-6, 3: PN: WO0004034 SEQID: 3 unclaimed DNA 186123-93-3, GenBank A44395 186162-52-7 186162-55-0, GenBank A42368 189356-60-3 195184-07-7, GenBank A42342 195184-11-3, GenBank A42347 195184-12-4 195184-14-6, GenBank A42351 195184-15-7, GenBank A42352 195184-16-8, GenBank A44386 195184-17-9, GenBank A42354 195184-18-0, GenBank A42355 195184-19-1, GenBank A42356 195184-20-4, GenBank A42357 195184-21-5, GenBank A42358 195184-22-6, GenBank A42359 195184-23-7, GenBank A42361 195184-24-8, GenBank A42362 195184-25-9, GenBank A42363 195184-26-0, GenBank A47186 195184-27-1 195184-28-2, GenBank A47179 197831-18-8 246223-25-6 257601-47-1, GenBank AX283184 325605-36-5, GenBank AX283169 325605-37-6, GenBank AX283174 325605-38-7 325605-39-8 325605-40-1 325605-41-2 325605-42-3 325605-43-4 325605-44-5 325605-45-6 325605-46-7 325605-47-8 325605-48-9 325605-49-0 325605-50-3 325605-51-4 325605-52-5
RL: PRP (Properties)
(unclaimed nucleotide sequence; methods for prepg. phosphorylated peptide nucleic acids carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

L69 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:342363 HCAPLUS
DN 135:122729
TI Synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivatives by post synthetic substitution
AU Ferrer, Elisenda; Eritja, Ramon
CS European Molecular Biology Laboratory, Heidelberg, D-69117, Germany
SO Letters in Peptide Science (2001), Volume Date 2000, 7(4), 195-206
CODEN: LPSCEM; ISSN: 0929-5666
PB Kluwer Academic Publishers
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
OS CASREACT 135:122729
AB The prepn. of the thymine peptide nucleic acid (PNA) monomer carrying a 2-nitrophenyl group in position 4 is described. This monomer is incorporated into PNA oligomers and reacted with amines to yield PNA oligomers carrying 5-methylcytosine derivs. During the deprotection-modification step two side reactions were detected: degrdn. of PNA oligomer from the N-terminal residue and modification of N4-tert-butylbenzoyl cytosine residue. Protection of the N-terminal position and the use of N4-acetyl group for the protection of cytosine eliminate these side reactions.
ST peptide nucleic acid methylcytosine prepn reaction amine
IT Amines, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs.)
IT Peptide nucleic acids
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs.)
IT Substitution reaction
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs. by post synthetic substitution)
IT 5036-48-6, 1-(3-Aminopropyl)imidazole 5292-43-3, tert-Butyl bromoacetate 14631-20-0, n4-Acetylcytosine 244764-39-4 244764-42-9 244764-45-2 244764-46-3 244764-47-4 272788-86-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs.)
IT 350608-24-1P 350608-25-2P 350608-28-5P 350608-29-6P 350608-33-2P 350608-34-3P 350608-35-4P 350608-36-5P 350728-22-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs.)
IT 350608-27-4P 350608-30-9P 350608-32-1P **350608-37-6P**
350608-38-7P 350608-39-8P 350608-40-1P 350608-41-2P
350608-42-3P 350608-43-4P 350608-44-5P 350608-45-6P 350608-46-7P
350608-47-8P 350608-48-9P 350728-23-3P 350728-24-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of peptide nucleic acid oligomers carrying 5-methylcytosine derivs.)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Acedo, M; Nucleic Acid Res 1994, V22, P2982 HCAPLUS
(2) Breipohl, G; Tetrahedron 1997, V53, P14671
(3) Christensen, L; Proceedings of the 3rd International Symposium 1994, P149 HCAPLUS
(4) DeCorte, B; Chem Res Toxicol 1996, V9, P630 HCAPLUS

(5) de la Torre, B; Bioconjugate Chem 1999, V10, P1005 MEDLINE
(6) Ferrer, E; Bioorg Med Chem 2000, V8, P291 HCAPLUS
(7) Ferrer, E; Lett Pept Sci 1999, V6, P209 HCAPLUS
(8) Hofmann, M; J Chem Soc Perkin Trans 1 1997, P1825 HCAPLUS
(9) Hogrefe, R; Nucleic Acids Res 1993, V21, P2031 HCAPLUS
(10) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(11) MacMillan, A; Tetrahedron 1991, V47, P2603 HCAPLUS
(12) Min, C; Nucleic Acid Res 1996, V24, P3806 HCAPLUS
(13) Nielsen, P; Peptide Nucleic Acids 1999
(14) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(15) Petersen, K; Bioorg Med Chem Lett 1995, V5, P1119 HCAPLUS
(16) Reddy, M; Tetrahedron Lett 1994, V35, P4311 HCAPLUS
(17) Uhlmann, E; Angew Chem Int Ed 1998, V37, P2796 HCAPLUS
(18) Webb, T; Nucleic Acid Res 1986, V14, P7661 HCAPLUS
(19) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS
(20) Xu, Y; J Org Chem 1992, V57, P3839 HCAPLUS

=> sel hit rn 169
E565 THROUGH E575 ASSIGNED

=> fil reg
FILE 'REGISTRY' ENTERED AT 08:50:55 ON 13 MAR 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAR 2003 HIGHEST RN 498527-50-7
DICTIONARY FILE UPDATES: 12 MAR 2003 HIGHEST RN 498527-50-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s e565-e575
1 350608-37-6/BI
(350608-37-6/RN)
1 350608-38-7/BI
(350608-38-7/RN)
1 367985-18-0/BI
(367985-18-0/RN)
1 368505-38-8/BI
(368505-38-8/RN)
1 368506-26-7/BI
(368506-26-7/RN)
1 368506-27-8/BI
(368506-27-8/RN)
1 368506-28-9/BI
(368506-28-9/RN)
1 368506-29-0/BI
(368506-29-0/RN)
1 368944-35-8/BI

```
                (368944-35-8/RN)
             1 368944-36-9/BI
                (368944-36-9/RN)
             1 368952-84-5/BI
                (368952-84-5/RN)
L83         11 (350608-37-6/BI OR 350608-38-7/BI OR 367985-18-0/BI OR 368505-38
               -8/BI OR 368506-26-7/BI OR 368506-27-8/BI OR 368506-28-9/BI OR
               368506-29-0/BI OR 368944-35-8/BI OR 368944-36-9/BI OR 368952-84-
               5/BI)

=> d sqide can tot

L83  ANSWER 1 OF 11  REGISTRY  COPYRIGHT 2003 ACS
RN   368952-84-5  REGISTRY
CN   DNA, d(G-G-T-A-T-G-G-G-A-T-A-T) (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   32: PN: WO0179249 SEQID: 58 unclaimed DNA
FS   NUCLEIC ACID SEQUENCE
SQL  12
NA   3 a   5 g   4 t

PATENT ANNOTATIONS (PNTE):
Sequence |Patent
Source   |Reference
=========+============
Not Given|WO2001079249
         |unclaimed
         |SEQID 58


SEQ      1 ggtatgggat at

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
MF   Unspecified
CI   MAN
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
               1 REFERENCES IN FILE CA (1962 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE   1:  135:331678

L83  ANSWER 2 OF 11  REGISTRY  COPYRIGHT 2003 ACS
RN   368944-36-9  REGISTRY
CN   Peptide nucleic acid, ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]ox
     y]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI)  (CA INDEX NAME)
FS   NUCLEIC ACID SEQUENCE
SQL  11
NA   2 a   3 c   1 g   5 t
NTE  modified
-------------------------------------------------------------------------
 type            ------ location ------         description
-------------------------------------------------------------------------
modified base    t-1                          5'-ester
modified base    t-1                          modified thymidine
modified base    t-11                         3'-deoxy
modified base    t-11                         3'-substituted
-------------------------------------------------------------------------

SEQ      1 tattccgtca t

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
MF   Unspecified
```

CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368944-35-8** REGISTRY
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 11
NA 2 a 3 c 1 g 5 t
NTE modified

type	location	description
modified base	t-1	5'-ester
modified base	t-1	modified thymidine
modified base	t-11	3'-deoxy
modified base	t-11	3'-substituted

SEQ 1 tattccgtca t

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368506-29-0** REGISTRY
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-G-A-A-G-G-A-A-G-A-G-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 12
NA 5 a 6 g 1 t
NTE modified

type	location	description
modified base	t-1	5'-ester
modified base	t-1	modified thymidine
modified base	g-12	3'-deoxy
modified base	g-12	3'-substituted

SEQ 1 tgaaggaaga gg

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368506-28-9** REGISTRY
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]G-G-T-A-T-G-G-G-A-T-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 12
NA 3 a 5 g 4 t
NTE modified

type	------ location ------	description
modified base	g-1	5'-ester
modified base	g-1	modified guanosine
modified base	t-12	3'-deoxy
modified base	t-12	3'-substituted

SEQ 1 ggtatgggat at

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368506-27-8** REGISTRY
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]G-C-T-G-A-T-G-T-A-G-T-C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 12
NA 2 a 2 c 4 g 4 t
NTE modified

type	------ location ------	description
modified base	g-1	5'-ester
modified base	g-1	modified guanosine
modified base	c-12	3'-deoxy
modified base	c-12	3'-substituted

SEQ 1 gctgatgtag tc

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368506-26-7** REGISTRY
CN Peptide nucleic acid, ([[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]-A-C-T-G-A-T-G-T-A-G-T-C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 12
NA 3 a 2 c 3 g 4 t
NTE modified

type	------ location ------	description
modified base	a-1	5'-substituted
modified base	c-12	3'-deoxy
modified base	c-12	3'-substituted

SEQ 1 actgatgtag tc

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331678

L83 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **368505-38-8** REGISTRY
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-[2-(phosphonooxy)ethyl]NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 11
NA 2 a 3 c 1 g 5 t
NTE modified

type	------ location ------	description
modified base	t-1	5'-ester
modified base	t-1	modified thymidine
modified base	t-11	3'-deoxy
modified base	t-11	3'-substituted

SEQ 1 tattccgtca t

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331677

L83 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **367985-18-0** REGISTRY
CN Peptide nucleic acid, ([5'-[[[(6-aminohexyl)oxy]hydroxyphosphinyl]oxy]-5'-deamino]T-A-T-T-C-C-G-T-C-A-T)-[6-(phosphonooxy)hexyl]NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 11
NA 2 a 3 c 1 g 5 t
NTE modified

type	------ location ------	description
modified base	t-1	5'-ester
modified base	t-1	modified thymidine
modified base	t-11	3'-deoxy
modified base	t-11	3'-substituted

SEQ 1 tattccgtca t

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:331677

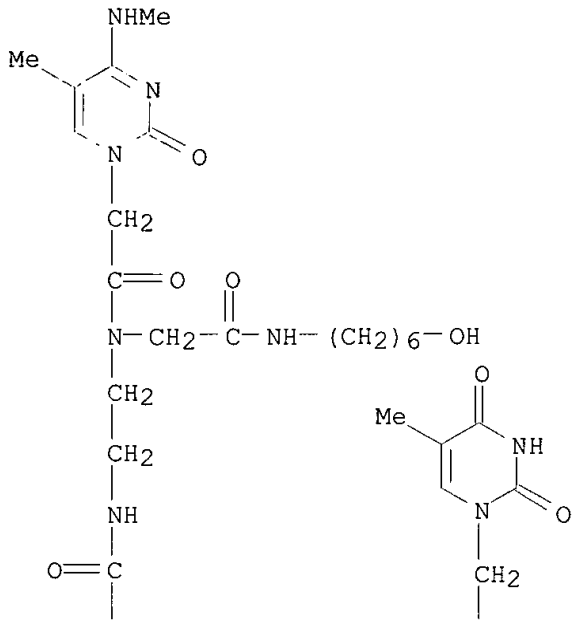
L83 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **350608-38-7** REGISTRY
CN Peptide nucleic acid, (acetyl-G-T-A-m4m5C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 4
NA 1 a 1 c 1 g 1 t
NTE modified

type	------ location ------	description
modified base	g-1	5'-ac
modified base	c-4	m5c
modified base	c-4	3'-deoxy
modified base	c-4	3'-substituted
modified base	c-4	N-me

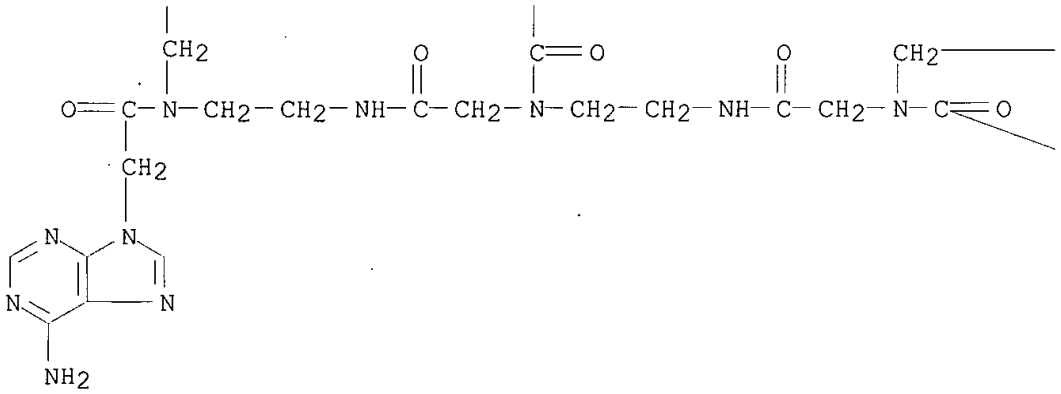
SEQ 1 gtac

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF C53 H74 N24 O14
SR CA
LC STN Files: CA, CAPLUS

PAGE 1-A

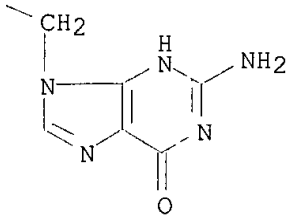


PAGE 2-A



PAGE 2-B

—— CH_2—NHAc



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:122729

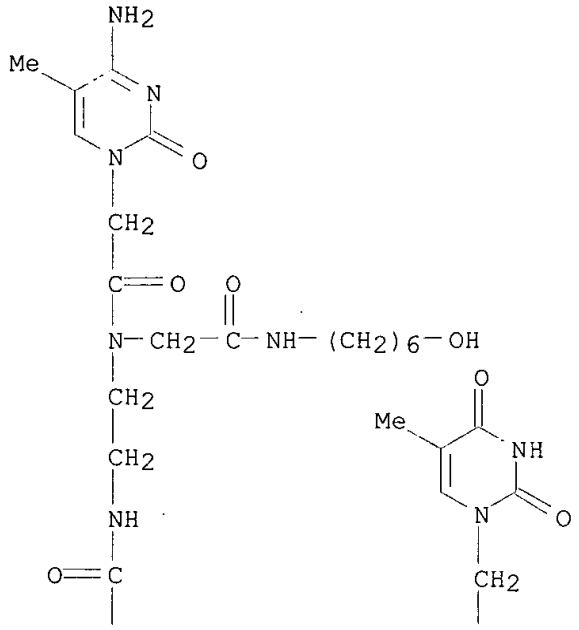
L83 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2003 ACS
RN **350608-37-6** REGISTRY
CN Peptide nucleic acid, (acetyl-G-T-A-m5C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)
FS NUCLEIC ACID SEQUENCE
SQL 4
NA 1 a 1 c 1 g 1 t
NTE modified

type	------ location ------	description
modified base	g-1	5'-ac
modified base	c-4	m5c
modified base	c-4	3'-deoxy
modified base	c-4	3'-substituted

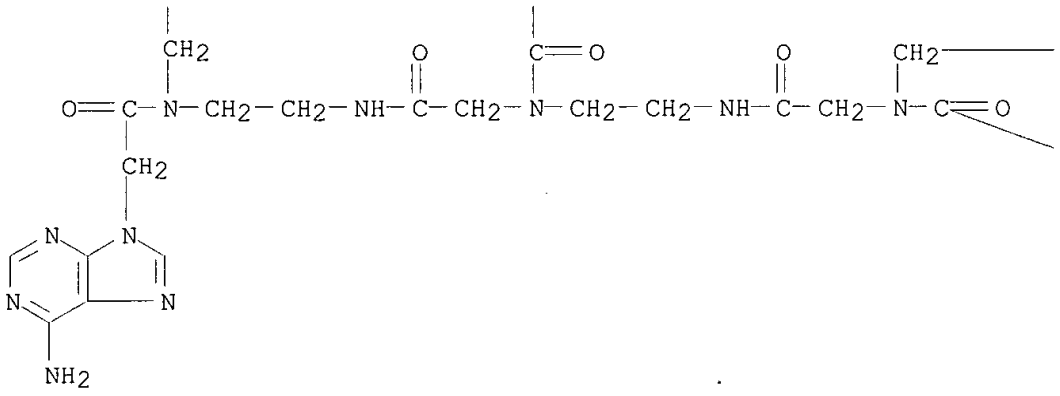
SEQ 1 gtac

RELATED SEQUENCES AVAILABLE WITH SEQLINK
MF C52 H72 N24 O14
SR CA
LC STN Files: CA, CAPLUS

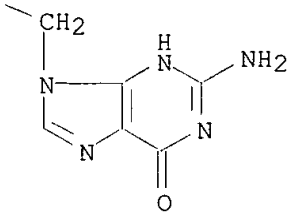
PAGE 1-A



PAGE 2-A



PAGE 2-B



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:122729

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 08:51:21 ON 13 MAR 2003

FILE COVERS 1907 - 13 Mar 2003 VOL 138 ISS 11
FILE LAST UPDATED: 12 Mar 2003 (20030312/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l78-l82
L84 12 (L78 OR L79 OR L80 OR L81 OR L82)

=> d all hitstr tot

L84 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:780930 HCAPLUS
DN 135:331678
TI Methods for preparing phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups
IN **Uhlmann, Eugen; Breipohl, Gerhard; Will, David William**
PA **Aventis Pharma** Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2

Related works

DT Patent
LA German
IC ICM C07H021-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079249	A2	20011025	WO 2001-EP4027	20010407
	WO 2001079249	A3	20020328		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10019136	A1	20011031	DE 2000-10019136	20000418
	BR 2001010111	A	20030211	BR 2001-10111	20010407
	EP 1282639	A2	20030212	EP 2001-919443	20010407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003022172	A1	20030130	US 2001-835370	20010417
	NO 2002004960	A	20021112	NO 2002-4960	20021015
PRAI	DE 2000-10019136	A	20000418		
	WO 2001-EP4027	W	20010407		

Amino hydroxyl

AB The invention relates to **PNA** derivs. which carry a phosphoryl radical on the N terminus of the **PNA** backbone, for example a phosphate or a substituted phosphoryl radical, substituted phosphoryl derives optionally carrying one or more marker groups or groups for crosslinking or groups which favor intracellular take-up or groups which increase the binding affinity of the **PNA** deriv. to nucleic acids. The invention also relates to a method for producing the aforementioned **PNA** derivs. and to their use as medicaments and diagnostic agents. Thus, several **PNA** chains were prepd.using solid phase peptide synthesis techniques, in which the C-terminal was capped by NH(CH2)6OH and the N-terminal H2N- group was replaced by HO-, and functionalized to H2O3PO- or ROP(O)(OH)O- (R = biotin or fluorescein tag group or alkyl cap). Hybridization tests with complementary DNA or RNA showed increased binding, compared to a normal **PNA** chain N-capped with H3CC(O)- and C-capped with NH(CH2)6OH. In vitro cellular uptake studies were done with fluorescein-tagged **PNA** (no data). In vitro cell proliferation studies were done with a H3C(CH2)15OP(O)(OH)- capped **PNA** using human pre-B leukemia cells or A549-tumor cells (no data).

ST **PNA** deriv prepn antiviral antimicrobial antitumor diagnostic hybridization

IT Diagnosis
(agents; prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT Solid phase synthesis
(peptide; prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT Antimicrobial agents
Antitumor agents
Antiviral agents
Biosensors
Nucleic acid hybridization
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **Peptide nucleic acids**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **368944-36-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **368944-38-1P 368944-39-2P 368944-40-5P**
368944-41-6P 368944-42-7P 368944-43-8P
368944-44-9P 368944-45-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **368506-25-6P 368944-35-8P 368944-37-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT 367255-38-7P 367255-39-8P 367985-52-2P 367985-53-3P 367985-54-4P
367985-55-5P **368506-26-7P 368506-27-8P**
368506-28-9P 368506-29-0P 368506-30-3P 368506-31-4P
368944-46-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT 110616-00-7 **116364-61-5** 147178-75-4 159845-57-5
169025-57-4, GenBank AR029142 181988-02-3 181988-09-0 185831-42-9
186070-79-1, GenBank A42375 186071-78-3 186108-31-6, 3: PN: WO0004034 SEQID: 3 unclaimed DNA 186123-93-3, GenBank A44395 186162-52-7
186162-55-0, GenBank A42368 189356-60-3 195184-07-7, GenBank A42342
195184-11-3, GenBank A42347 195184-12-4 195184-14-6, GenBank A42351
195184-15-7, GenBank A42352 195184-16-8, GenBank A44386 195184-17-9, GenBank A42354 195184-18-0, GenBank A42355 195184-19-1, GenBank A42356
195184-20-4, GenBank A42357 195184-21-5, GenBank A42358 195184-22-6, GenBank A42359 195184-23-7, GenBank A42361 195184-24-8, GenBank A42362
195184-25-9, GenBank A42363 195184-26-0, GenBank A47186 195184-27-1
195184-28-2, GenBank A47179 197103-72-3 197831-18-8 246223-25-6
257601-47-1, GenBank AX283184 325605-36-5, GenBank AX283169
325605-37-6, GenBank AX283174 325605-38-7 325605-39-8 325605-40-1
325605-41-2 325605-42-3 325605-43-4 325605-44-5 325605-45-6
325605-46-7 325605-47-8 325605-48-9 325605-49-0 325605-50-3
325605-51-4 325605-52-5 368952-79-8 368952-80-1 368952-81-2
368952-82-3 368952-83-4 **368952-84-5** 368952-85-6
RL: PRP (Properties)
(unclaimed nucleotide sequence; methods for prepg. phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

IT 81742-60-1 143189-17-7
RL: PRP (Properties)
(unclaimed sequence; methods for prepg. phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

IT **368944-36-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

RN 368944-36-9 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **368944-38-1P 368944-39-2P 368944-40-5P**
368944-41-6P 368944-42-7P 368944-43-8P
368944-44-9P 368944-45-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)
RN 368944-38-1 HCAPLUS
CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-39-2 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-40-5 HCAPLUS
CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-41-6 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-42-7 HCAPLUS
CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-[[[[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-43-8 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-44-9 HCAPLUS
CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-45-0 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **368506-25-6P 368944-35-8P 368944-37-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)
RN 368506-25-6 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-35-8 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368944-37-0 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **368506-26-7P 368506-27-8P 368506-28-9P**
368506-29-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)
RN 368506-26-7 HCAPLUS
CN Peptide nucleic acid, ([[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]-A-C-T-G-A-T-G-T-A-G-T-C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368506-27-8 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]G-C-T-G-A-T-G-T-A-G-T-C)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

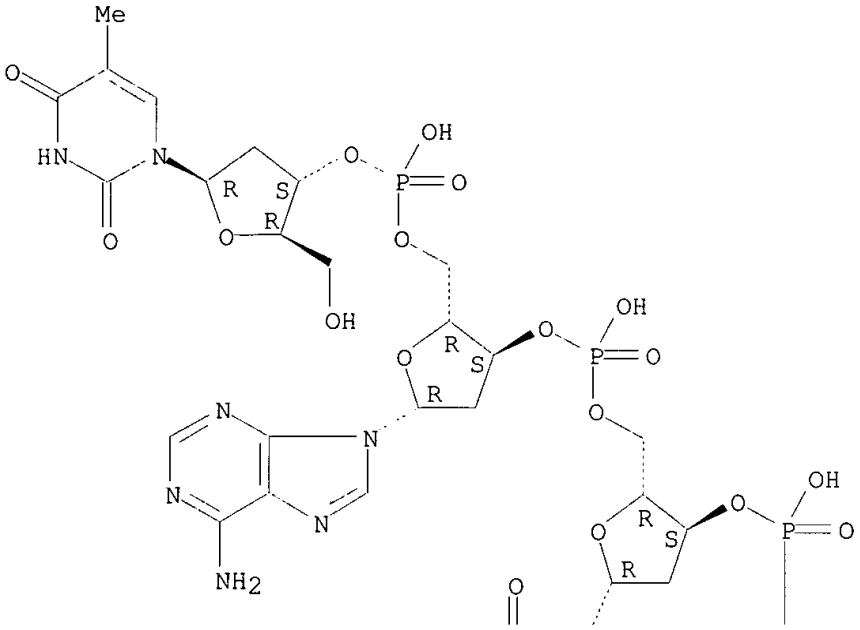
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368506-28-9 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]G-G-T-A-T-G-G-G-A-T-A-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368506-29-0 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-G-A-A-G-G-A-A-G-A-G-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

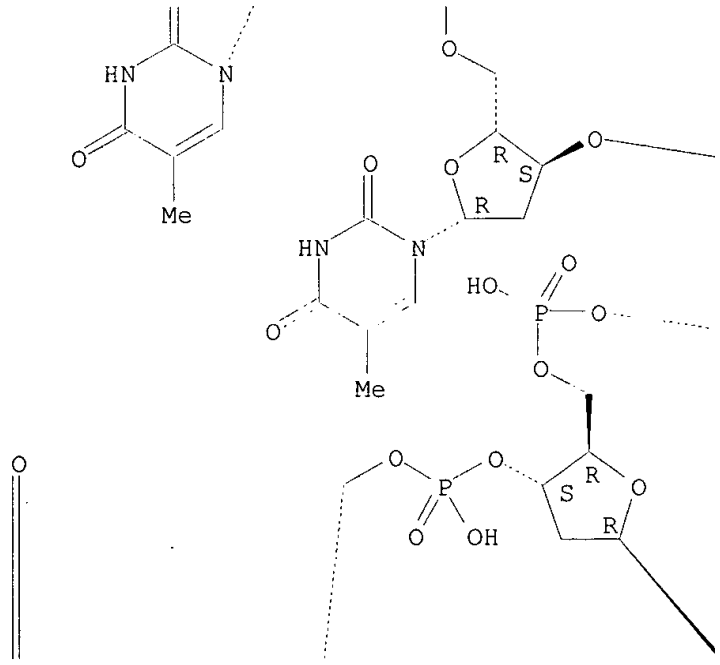
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **116364-61-5 368952-84-5**
RL: PRP (Properties)
(unclaimed nucleotide sequence; methods for prepg. phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)
RN 116364-61-5 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

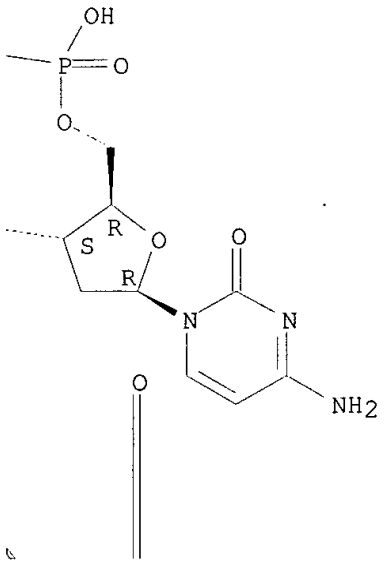
PAGE 1-A



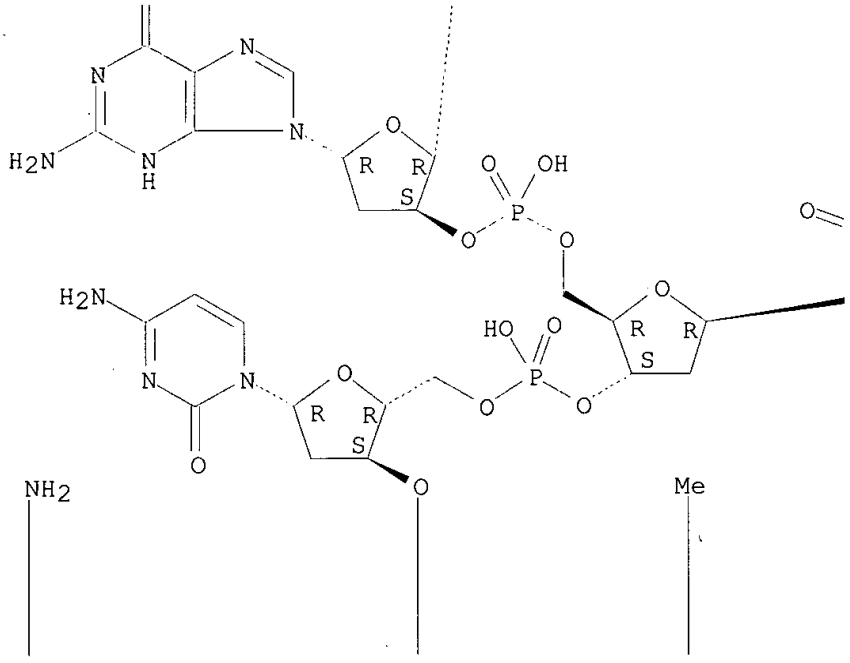
PAGE 2-A



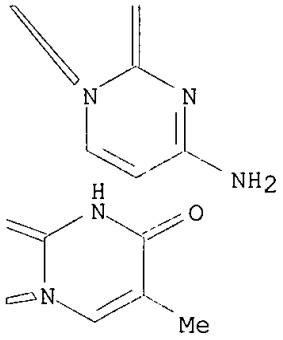
PAGE 2-B



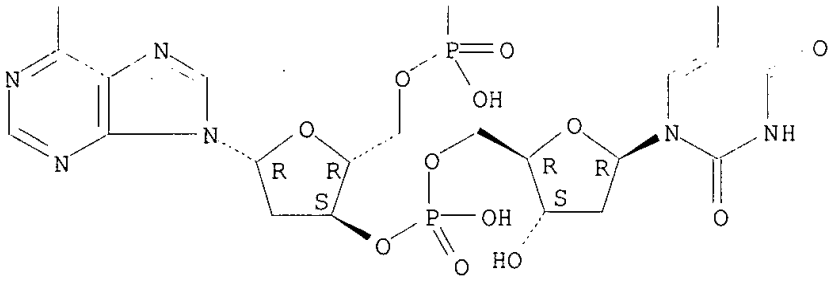
PAGE 3-A



PAGE 3-B



PAGE 4-A



RN 368952-84-5 HCAPLUS
CN DNA, d(G-G-T-A-T-G-G-G-A-T-A-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L84 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:780897 HCAPLUS
DN 135:331677
TI Methods for preparing phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups
IN **Uhlmann, Eugen; Breipohl, Gerhard; Will, David William**
PA **Aventis Pharma** Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07H
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 33, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001079216	A2	20011025	WO 2001-EP4030	20010407
WO 2001079216	A3	20020228		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	DE 10019135	A1	20011031	DE 2000-10019135	20000418
	AU 2001054795	A5	20011030	AU 2001-54795	20010407
	EP 1276760	A2	20030122	EP 2001-927897	20010407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001010110	A	20030211	BR 2001-10110	20010407
	US 2002187473	A1	20021212	US 2001-835371	20010417
	NO 2002004959	A	20021015	NO 2002-4959	20021015
PRAI	DE 2000-10019135	A	20000418		
	WO 2001-EP4030	W	20010407		

OS MARPAT 135:331677

AB The invention relates to **PNA** derivs. that carry one or more phosphoryl groups at the C terminus or at the C and N terminus of the **PNA** backbone, said phosphoryl groups optionally carrying one or more marker groups, or groups for crosslinking, or groups that promote the intracellular uptake, or groups that improve the binding affinity of the **PNA** deriv. to nucleic acids. The invention further relates to a method for producing the above **PNA** derivs. and to the use thereof as a medicament or diagnostic agent. Thus, title compd. CH3(CH2)15OP(O)(OH)-T(oeg)[ATTCCGTCAT](CH2)6NHP(O)(OH)O-CH2CH(CH2OH)(CH2)4NHC(S)NH-fluorescein (I) [T(oeg) = O(CH2)2N(C(O)CH2-Base)CH2C(O)-; remainder of chain = normal **peptide nucleic acid** backbone] was prepd. using solid-phase peptide synthesis techniques. Hybridization tests of I with complementary DNA and RNA showed better complexation with DNA than with RNA, though both were stronger than with **PNA** Ac-NH-TATTCCGTCAT-(CH2)6NH2 ref. In vitro cell proliferation studies using I and human pre-B leukemia cells showed stronger inhibition than a known phosphorothioate oligonucleotide (no data).

ST **PNA** deriv prepn antiviral antimicrobial antitumor diagnostic hybridization

IT Diagnosis
(agents; prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT Solid phase synthesis
(peptide; prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT Antimicrobial agents
Antitumor agents
Antiviral agents
Biosensors
Nucleic acid hybridization
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **Peptide nucleic acids**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **368505-39-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **367985-20-4P 367985-21-5P 367985-22-6P 367985-23-7P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **367985-17-9P 367985-19-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT **367985-18-0P 368505-37-7P 368505-38-8P 368505-40-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

IT 110616-00-7 **116364-61-5** 147178-75-4 159845-57-5 169025-57-4, GenBank AR029142 181988-02-3 181988-09-0 186070-79-1, GenBank A42375 186071-78-3 186108-31-6, 3: PN: WO0004034 SEQID: 3 unclaimed DNA 186123-93-3, GenBank A44395 186162-52-7 186162-55-0, GenBank A42368 189356-60-3 195184-07-7, GenBank A42342 195184-11-3, GenBank A42347 195184-12-4 195184-14-6, GenBank A42351 195184-15-7, GenBank A42352 195184-16-8, GenBank A44386 195184-17-9, GenBank A42354 195184-18-0, GenBank A42355 195184-19-1, GenBank A42356 195184-20-4, GenBank A42357 195184-21-5, GenBank A42358 195184-22-6, GenBank A42359 195184-23-7, GenBank A42361 195184-24-8, GenBank A42362 195184-25-9, GenBank A42363 195184-26-0, GenBank A47186 195184-27-1 195184-28-2, GenBank A47179 197831-18-8 246223-25-6 257601-47-1, GenBank AX283184 325605-36-5, GenBank AX283169 325605-37-6, GenBank AX283174 325605-38-7 325605-39-8 325605-40-1 325605-41-2 325605-42-3 325605-43-4 325605-44-5 325605-45-6 325605-46-7 325605-47-8 325605-48-9 325605-49-0 325605-50-3 325605-51-4 325605-52-5
RL: PRP (Properties)
(unclaimed nucleotide sequence; methods for prepg. phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

IT **368505-39-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

RN 368505-39-9 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **367985-20-4P 367985-21-5P 367985-22-6P 367985-23-7P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)

RN 367985-20-4 HCAPLUS
CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 367985-21-5 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 367985-22-6 HCAPLUS

CN DNA, d(A-T-G-A-C-G-G-A-A-T-A), complex with peptide nucleic acid ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 367985-23-7 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[(hexadecyloxy)hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **367985-17-9P 367985-19-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)
RN 367985-17-9 HCAPLUS
CN Peptide nucleic acid, (acetyl-T-A-T-T-C-C-G-T-C-A-T)-[6-(phosphonooxy)hexyl]NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 367985-19-1 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-(phosphonooxy)]T-A-T-T-C-C-G-T-C-A-T)-[17-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-8-hydroxy-11-(hydroxymethyl)-8-oxido-17-thioxo-7,9-dioxa-16-aza-8-phosphaheptadec-1-yl]NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **367985-18-0P 368505-37-7P 368505-38-8P 368505-40-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **PNA** derivs. as therapeutic or diagnostic agents)
RN 367985-18-0 HCAPLUS
CN Peptide nucleic acid, ([5'-[[[(6-aminohexyl)oxy]hydroxyphosphinyl]oxy]-5'-deamino]T-A-T-T-C-C-G-T-C-A-T)-[6-(phosphonooxy)hexyl]NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368505-37-7 HCAPLUS
CN Peptide nucleic acid, (acetyl-T-A-T-T-C-C-G-T-C-A-[3'-de(carboxymethyl)-3'-[2-(phosphonooxy)ethyl]]T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368505-38-8 HCAPLUS
CN Peptide nucleic acid, ([5'-deamino-5'-[[[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]hexyl]oxy]hydroxyphosphinyl]oxy]]T-A-T-T-C-C-G-T-C-A-T)-[2-(phosphonooxy)ethyl]NH (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 368505-40-2 HCAPLUS
CN Peptide nucleic acid, ([5'-[(28-amino-1,21-dihydroxy-1,21-dioxido-2,5,8,11,14,17,20,22-octaoxa-1,21-diphosphaoctacos-1-yl)oxy]-5'-deamino]T-A-T-T-C-C-G-T-C-A-T)-[6-(phosphonooxy)hexyl]NH, complex with RNA (A-U-G-A-C-G-G-A-A-U-A) (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **116364-61-5**
RL: PRP (Properties)

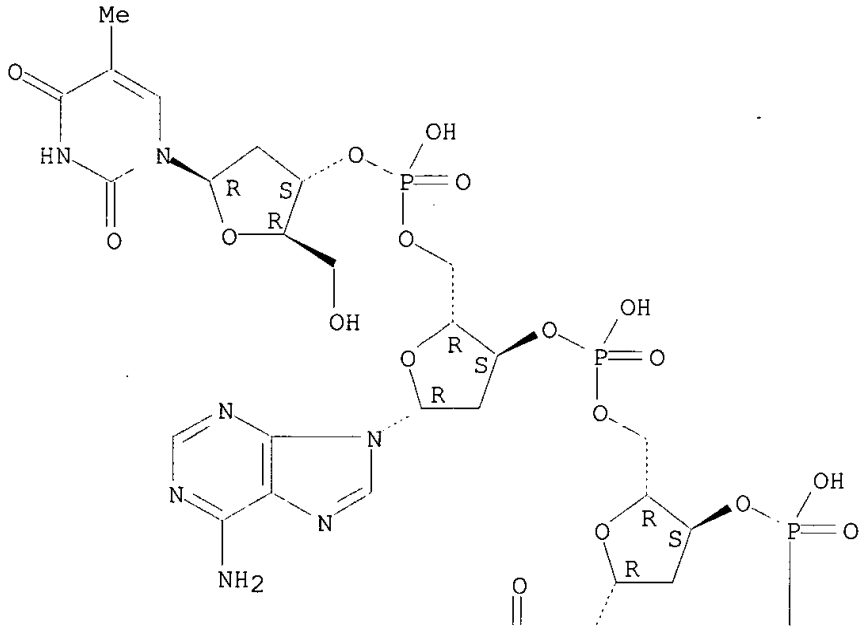
(unclaimed nucleotide sequence; methods for prepg. phosphorylated **peptide nucleic acids** carrying one or more marker, crosslinking, intracellular uptake, or binding affinity groups)

RN 116364-61-5 HCAPLUS

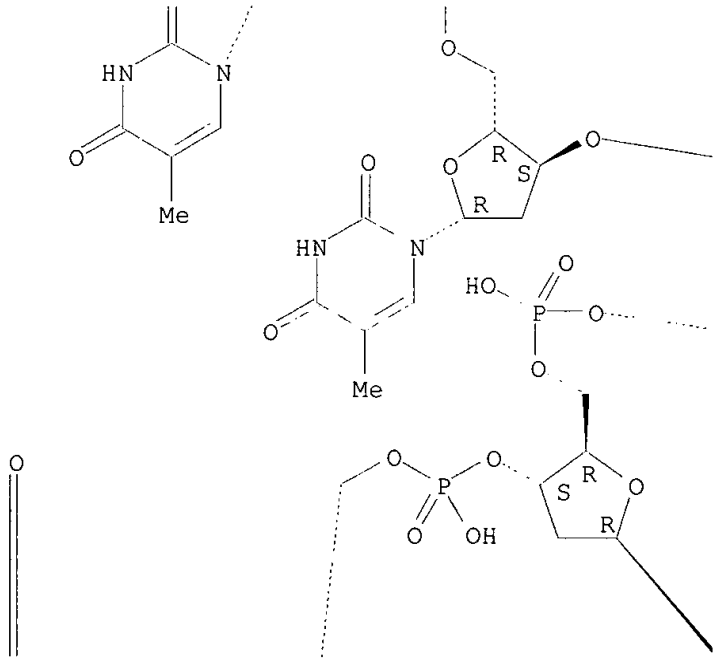
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

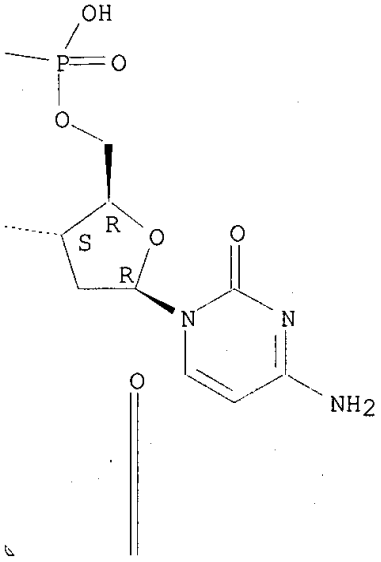
PAGE 1-A



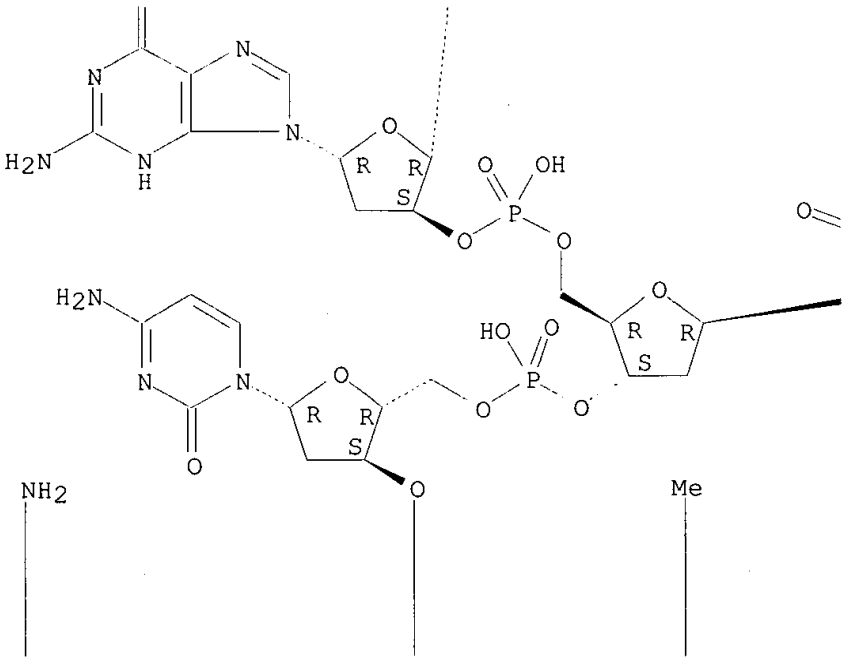
PAGE 2-A



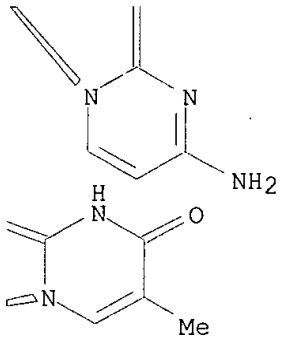
PAGE 2-B



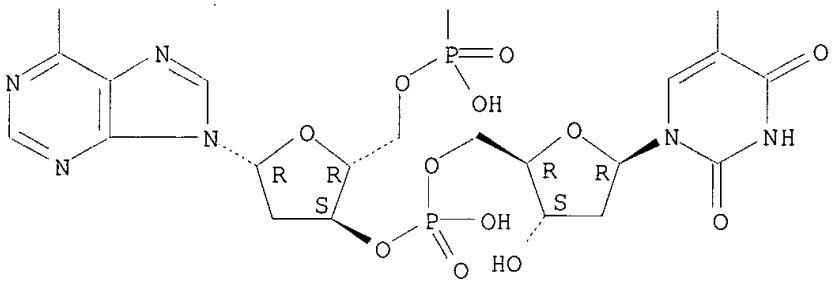
PAGE 3-A



PAGE 3-B



PAGE 4-A



L84 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:101001 HCAPLUS
DN 134:183461
TI Conjugates and methods for the production thereof for transporting molecules across biological membranes
IN **Uhlmann, Eugen**; Greiner, Beate; Unger, Eberhard; Gothe, Gislinde; Schwerdel, Marc
PA **Aventis Pharma** Deutschland Gmbh, Germany
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM A61K047-48
ICS A61K049-00
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 9
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001008707	A2	20010208	WO 2000-EP6936	20000720
	WO 2001008707	A3	20011108		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19935302	A1	20010208	DE 1999-19935302	19990728

BR 2000012757 A 20020402 BR 2000-12757 20000720
EP 1204430 A2 20020515 EP 2000-956220 20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
JP 2003505517 T2 20030212 JP 2001-513437 20000720
NO 2002000367 A 20020326 NO 2002-367 20020123
PRAI DE 1999-19935302 A 19990728
WO 2000-EP6936 W 20000720
OS MARPAT 134:183461

AB The invention relates to conjugates, methods for their prodn., and to the use of these conjugates for transporting low mol. wt. compds. and macromols. across biol. membranes, in particular, for transporting mols. into cells. The invention also relates to medicaments, diagnostic agents and test kits in which these conjugates are present or introduced.

ST drug delivery conjugate oligonucleotide membrane transport

IT Diagnosis
(agents; conjugates for transporting mols. across biol. membranes)

IT Drug delivery systems
(carriers; conjugates for transporting mols. across biol. membranes)

IT Antitumor agents
Bacteria (Eubacteria)
Biological transport
Cell membrane
Eukaryote (Eukaryotae)
Mammal (Mammalia)
Molecular weight distribution
Neoplasm
Prokaryote
Test kits
Yeast
(conjugates for transporting mols. across biol. membranes)

IT Macromolecular compounds
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(conjugates; conjugates for transporting mols. across biol. membranes)

IT Nucleotides, biological studies
Oligonucleotides
Polynucleotides
Polysaccharides, biological studies
Proteins, general, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(transport of; conjugates for transporting mols. across biol. membranes)

IT 89962-57-2P 325760-02-9P 325760-03-0P 325760-04-1P 325760-05-2P 325760-06-3P 325760-07-4P 325760-08-5P 325760-09-6DP, conjugate with Cy3 325760-10-9P
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(conjugates for transporting mols. across biol. membranes)

IT 146397-20-8D, Cy3, conjugate with oligonucleotides
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(transport of; conjugates for transporting mols. across biol. membranes)

IT 110616-00-7 **116364-61-5** 146216-12-8 147178-75-4
159845-57-5 161415-79-8 161415-81-2 163665-40-5 164910-61-6
165447-62-1 166436-80-2 173432-53-6 173432-56-9 173432-57-0

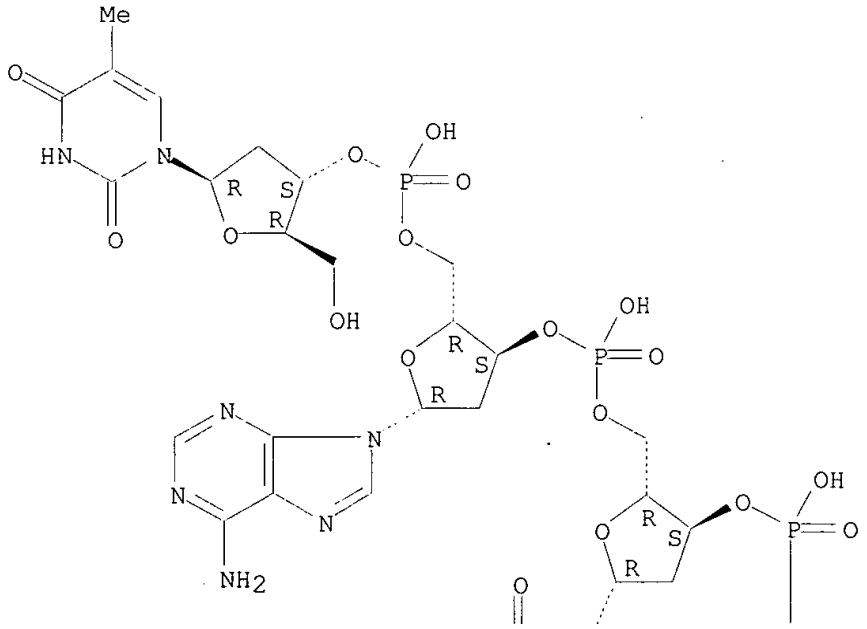
173432-58-1 173432-59-2 173432-60-5 173432-61-6 173432-62-7
173432-63-8 173432-67-2 173432-68-3 173432-69-4 173432-70-7
173432-71-8 181988-02-3 181988-09-0, 1: PN: WO0004034 SEQID: 1
unclaimed DNA 186071-78-3 186162-52-7 186162-55-0, GenBank A42368
189356-60-3 195184-12-4 195184-27-1 246223-25-6 257601-47-1,
GenBank AX283184 325605-36-5, GenBank AX283169 325605-37-6, GenBank
AX283174 325605-38-7 325605-39-8 325605-40-1 325605-41-2
325605-42-3 325605-43-4 325605-44-5 325605-45-6 325605-46-7
325605-47-8 325605-48-9 325605-49-0 325605-50-3 325605-51-4
325605-52-5 325761-26-0
RL: PRP (Properties)
(unclaimed nucleotide sequence; conjugates and methods for the prodn. thereof for transporting mols. across biol. membranes)

IT **116364-61-5**
RL: PRP (Properties)
(unclaimed nucleotide sequence; conjugates and methods for the prodn. thereof for transporting mols. across biol. membranes)

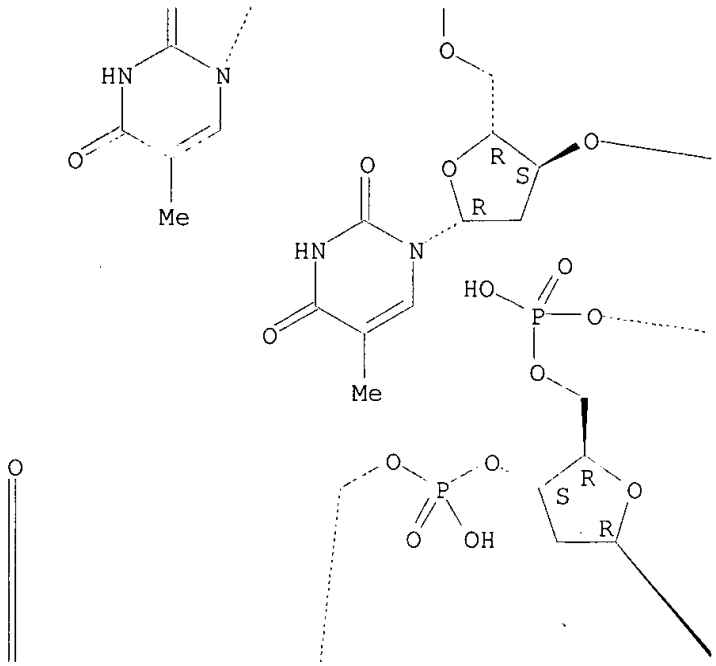
RN 116364-61-5 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

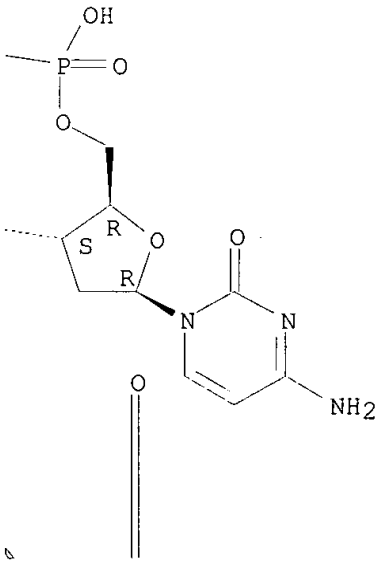
PAGE 1-A



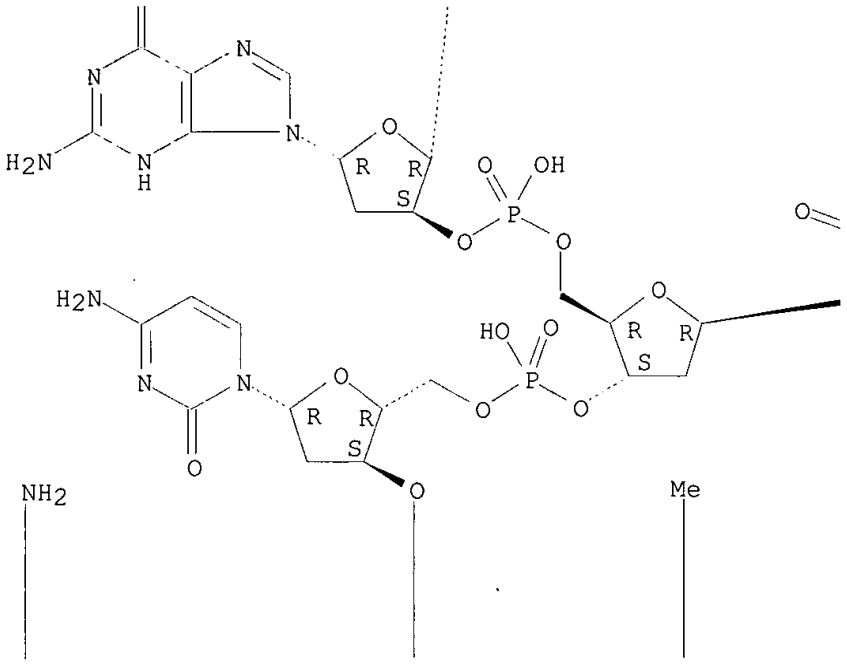
PAGE 2-A



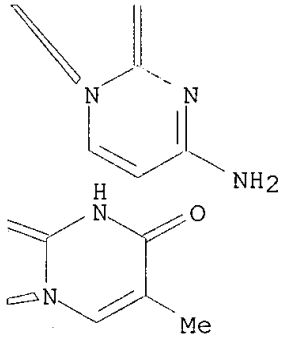
PAGE 2-B



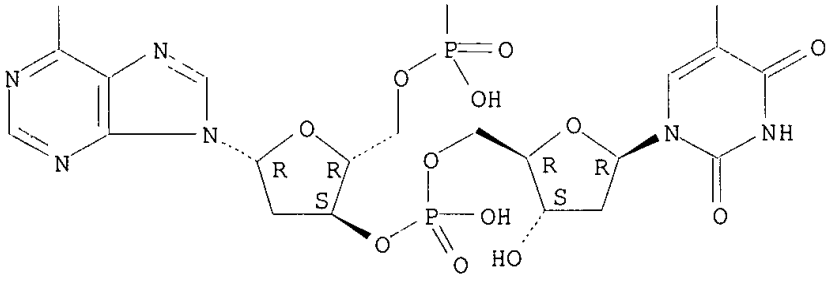
PAGE 3-A



PAGE 3-B

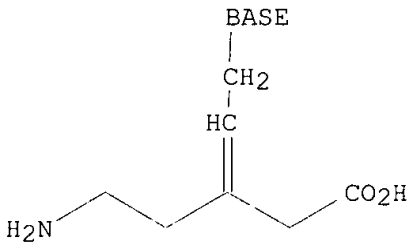


PAGE 4-A



L84 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:258582 HCAPLUS

DN 133:89771
TI Olefinic **peptide nucleic acids** (OPAs): new aspects of the molecular recognition of DNA by **PNA**
AU Schutz, Rolf; Cantin, Michel; Roberts, Christopher; Greiner, Beate; **Uhlmann, Eugen**; Leumann, Christian
CS Department of Chemistry and Biochemistry, University of Bern, Bern, 3012, Switz.
SO Angewandte Chemie, International Edition (2000), 39(7), 1250-1253
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
GI



I

AB In order to study the structural and electrostatic effect of the **PNA** rotameric forms, the authors have synthesized olefinic polyamide nucleic acids (OPAs) in which the central amide functionality was replaced by an isostructural, configurationally stable C-C double bond in either the Z or E configuration (I; BASE = thymidine or adenine), and used them to prep. (E)- or (Z)-OPA oligomers. A series of mono-substituted **PNAs** and fully-modified (E) and (Z)-OPAs were synthesized and their duplex-forming behavior with DNA studied. Both (E)- and (Z)-OPAs bound to complementary DNA with similar affinities as DNA itself, but in contrast to **PNA**, OPA2/DNA triplexes were not formed, and OPA preferentially bound in the parallel mode to DNA. Results led to the conclusion that amide functionality in the base-linked unit in **PNA** detd. significantly the affinity and preferred strand orientation in **PNA**/DNA duplexes, and seemed to be responsible for the propensity to form PNA2/DNA triplexes; these properties do not depend on the conformational constraints that the amide functionality exerts on the base-linker unit, but rather on its electrostatic properties.

ST olefinic **peptide nucleic acid PNA** analog prepn hybridization DNA; mol recognition DNA OPA conformation
IT Quaternary structure
(DNA triplex; prepn. and characteristics of olefinic **peptide nucleic acids** as **PNA** analogs for mol. recognition of DNA)
IT Conformation
Nucleic acid hybridization
(prepn. and characteristics of olefinic **peptide nucleic acids** as **PNA** analogs for mol. recognition of DNA)
IT DNA
Nucleic acids
RL: PRP (Properties)
(prepn. and characteristics of olefinic **peptide**

nucleic acids as **PNA** analogs for mol.
recognition of DNA)

IT Alkenes, preparation
Peptide nucleic acids
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and characteristics of olefinic **peptide**
nucleic acids as **PNA** analogs for mol.
recognition of DNA)

IT 161353-44-2P 178036-67-4P 279264-60-7P 279264-61-8P 279264-62-9P
279694-96-1P 279694-97-2P 280587-99-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and characteristics of olefinic **peptide**
nucleic acids as **PNA** analogs for mol.
recognition of DNA)

IT 166877-37-8P 226949-23-1P 277322-59-5P 277322-62-0P
277322-64-2P 277322-66-4P 277322-72-2P
277322-74-4P 277322-76-6P 277322-77-7P **277322-79-9P**
277322-80-2P 277322-82-4P 279694-94-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and characteristics of olefinic **peptide**
nucleic acids as **PNA** analogs for mol.
recognition of DNA)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Almarsson, O; Proc Natl Acad Sci USA 1993, V90, P7518 HCAPLUS
(2) Almarsson, O; Proc Natl Acad Sci USA 1993, V90, P9542 HCAPLUS
(3) Anon; Peptide Nucleic Acids Protocols and Applications 1999
(4) Bannwarth, W; Helv Chim Acta 1988, V71, P1517 HCAPLUS
(5) Betts, L; Science 1995, V270, P1838 HCAPLUS
(6) Brown, S; Science 1994, V265, P777 HCAPLUS
(7) Cantin, M; Tetrahedron Lett 1997, V38, P4211 HCAPLUS
(8) Egholm, M; Nature 1993, V365, P566 HCAPLUS
(9) Hyrup, B; Bioorg Med Chem Lett 1996, V6, P1083 HCAPLUS
(10) Hyrup, B; J Am Chem Soc 1994, V116, P7964 HCAPLUS
(11) Leijon, M; Biochemistry 1994, V33, P9820 HCAPLUS
(12) Nielsen, P; Chem Soc Rev 1997, V26, P73 HCAPLUS
(13) Nielsen, P; Origins Life Evol Biosphere 1993, V23, P323 HCAPLUS
(14) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(15) Rasmussen, H; Nat Struct Biol 1997, V4, P98 HCAPLUS
(16) Roberts, C; Synlett 1999, P819 HCAPLUS
(17) Uhlmann, E; Angew Chem 1996, V108, P2793
(18) Uhlmann, E; Angew Chem 1998, V110, P2954
(19) Uhlmann, E; Angew Chem Int Ed 1998, V37, P2796 HCAPLUS
(20) Uhlmann, E; Angew Chem Int Ed Engl 1996, V35, P2632
(21) Uhlmann, E; Chemie Unserer Zeit 1998, V32, P150 HCAPLUS
(22) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

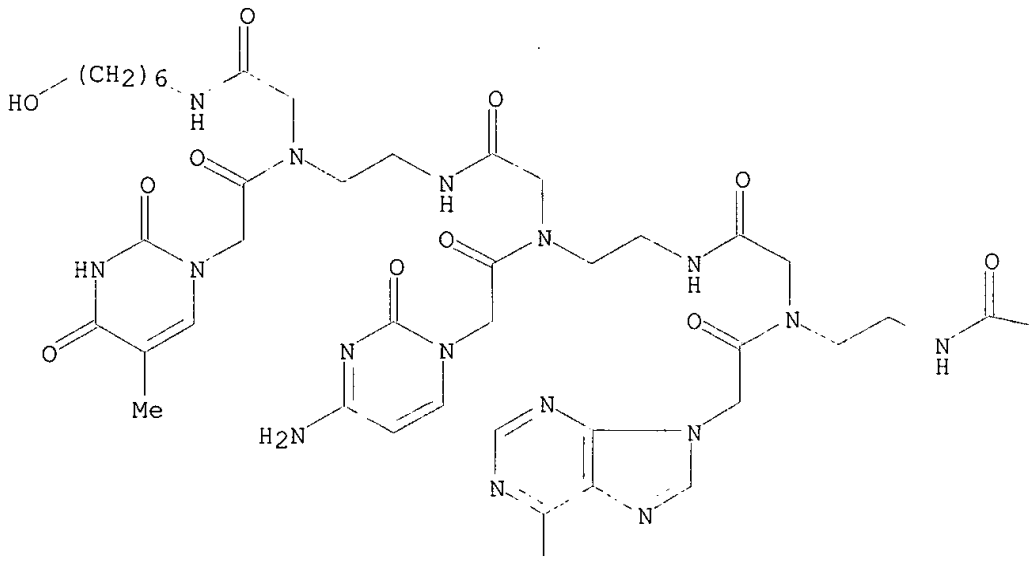
IT **277322-64-2P 277322-66-4P 277322-72-2P**
277322-79-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and characteristics of olefinic **peptide**
nucleic acids as **PNA** analogs for mol.
recognition of DNA)

RN 277322-64-2 HCAPLUS

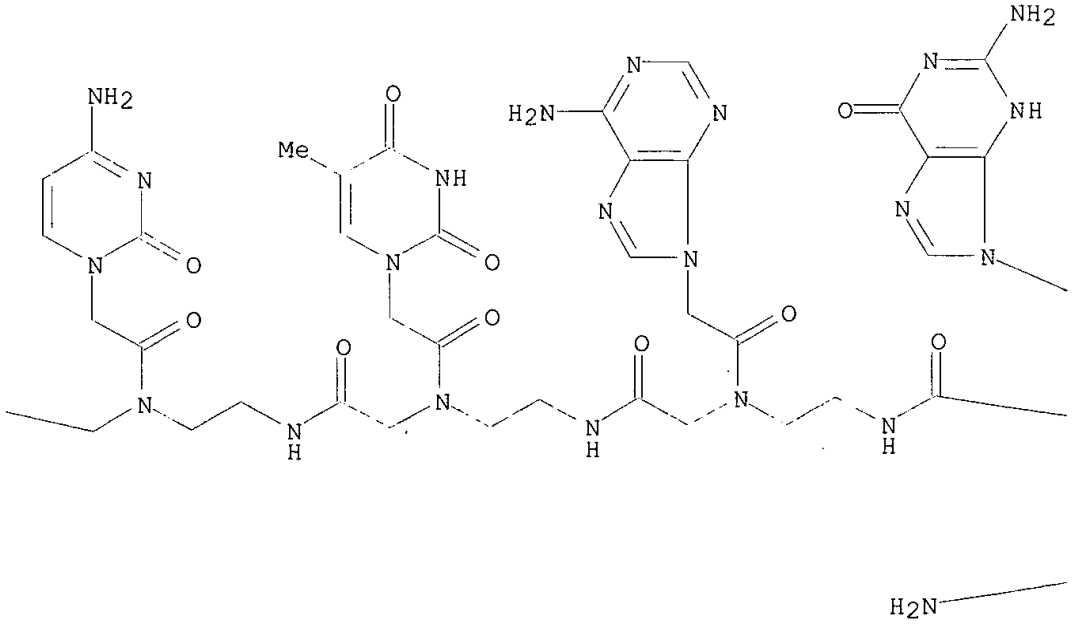
CN Peptide nucleic acid, (dT-(5'-deamino-5'-oxy)G[imino[(3Z)-3-[2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)ethylidene]-5-oxo-1,5-pentanediyl]]A-G-A-T-C-A-C-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

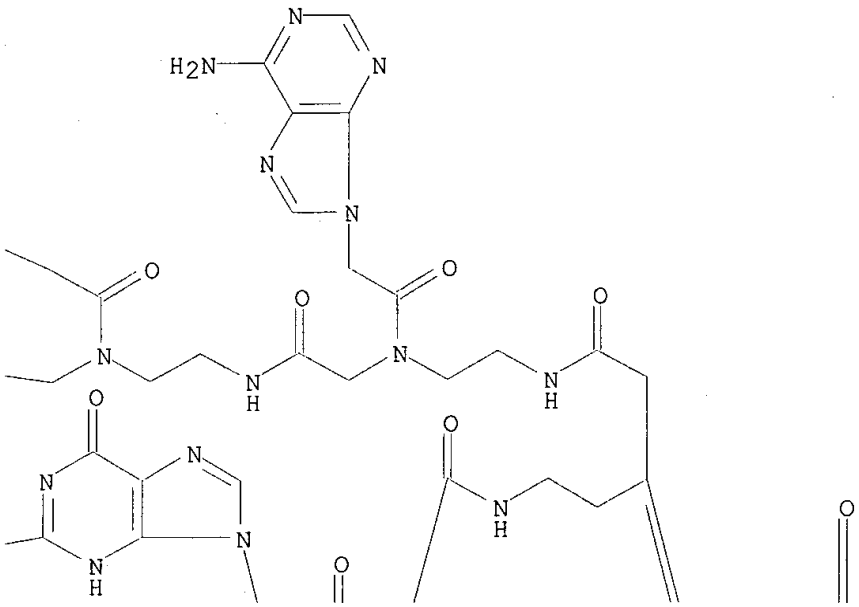
PAGE 1-A



PAGE 1-B

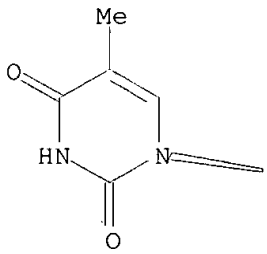


PAGE 1-C

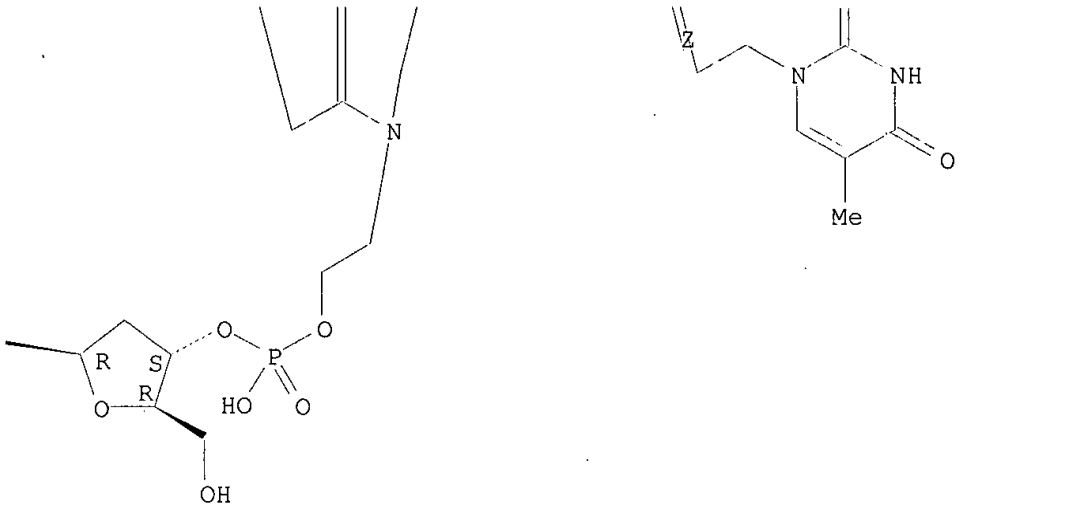


PAGE 2-A

PAGE 2-B



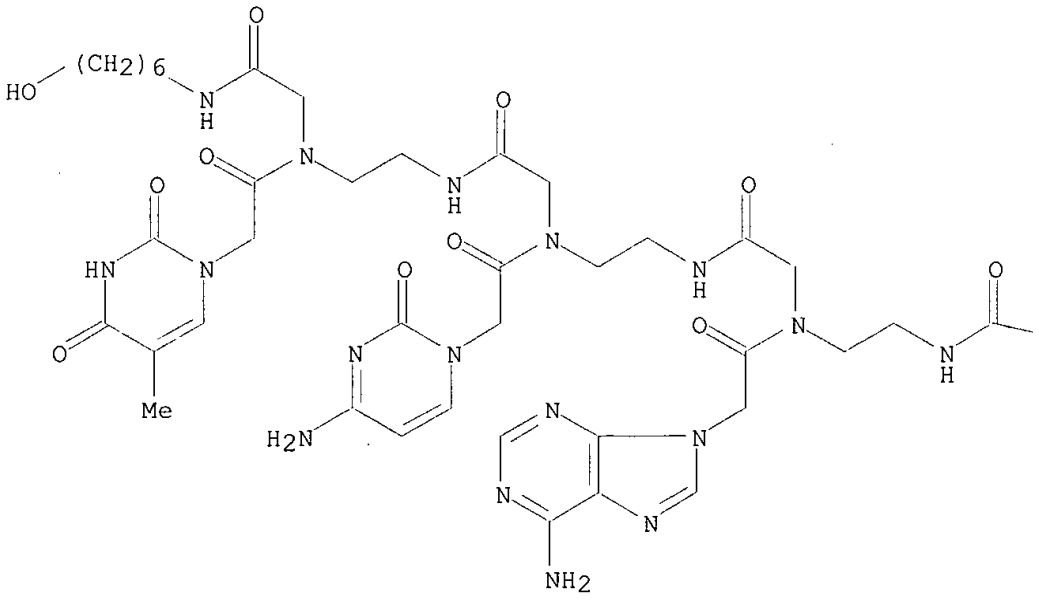
PAGE 2-C



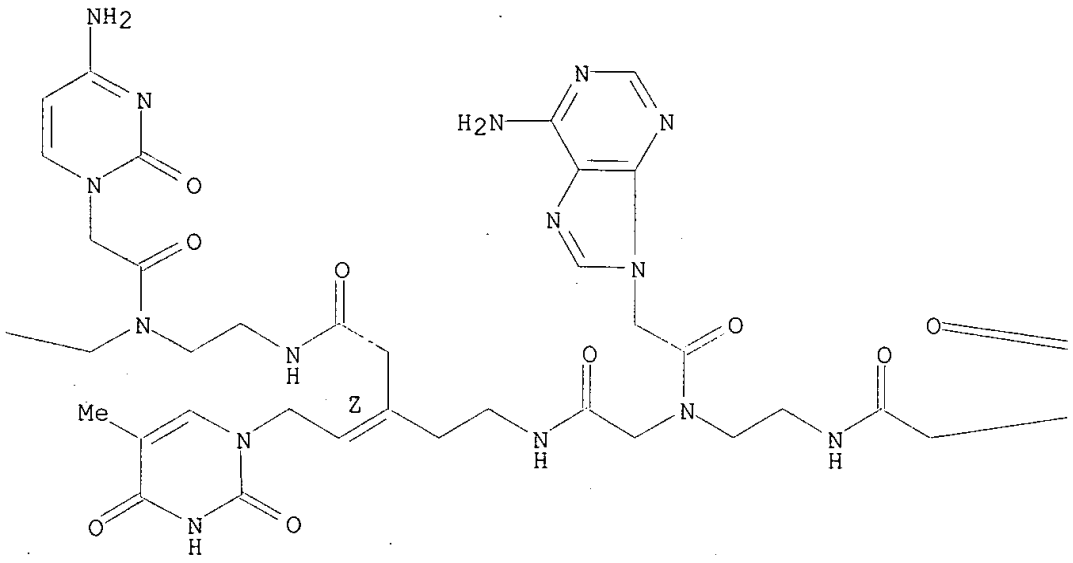
RN 277322-66-4 HCAPLUS
CN Peptide nucleic acid, (dT-(5'-deamino-5'-oxy)G-T-A-G-A[imino[(3Z)-3-[2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)ethylidene]-5-oxo-1,5-pentanediyl]]C-A-C-T)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

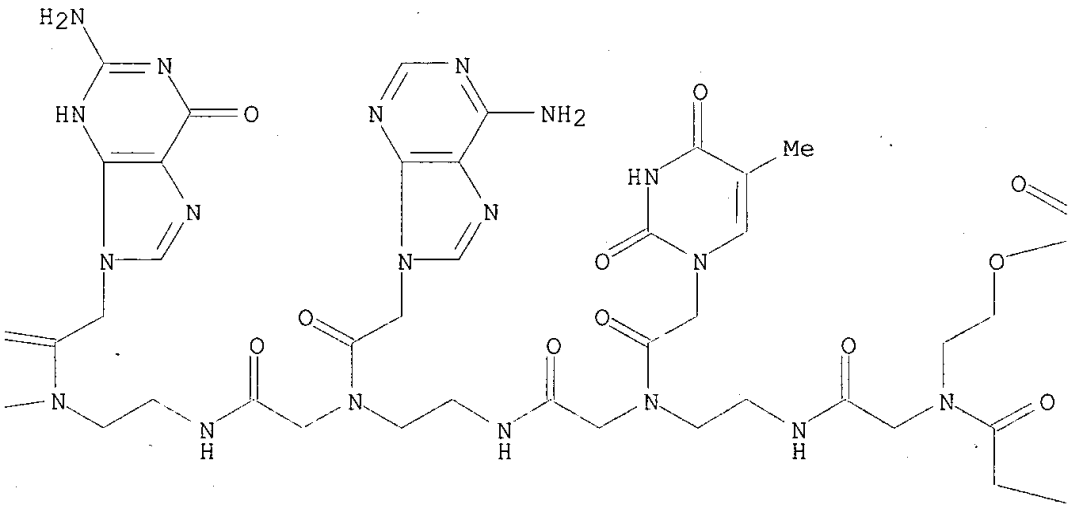
PAGE 1-A



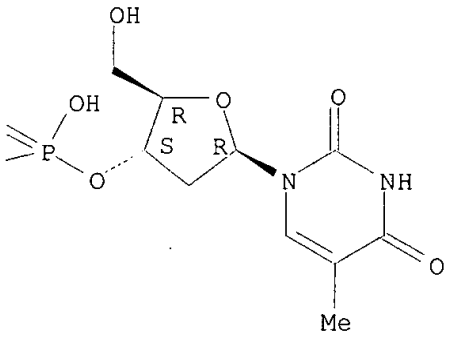
PAGE 1-B

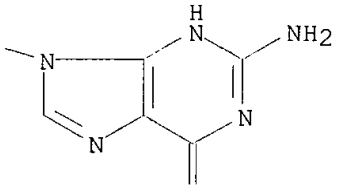


PAGE 1-C



PAGE 1-D



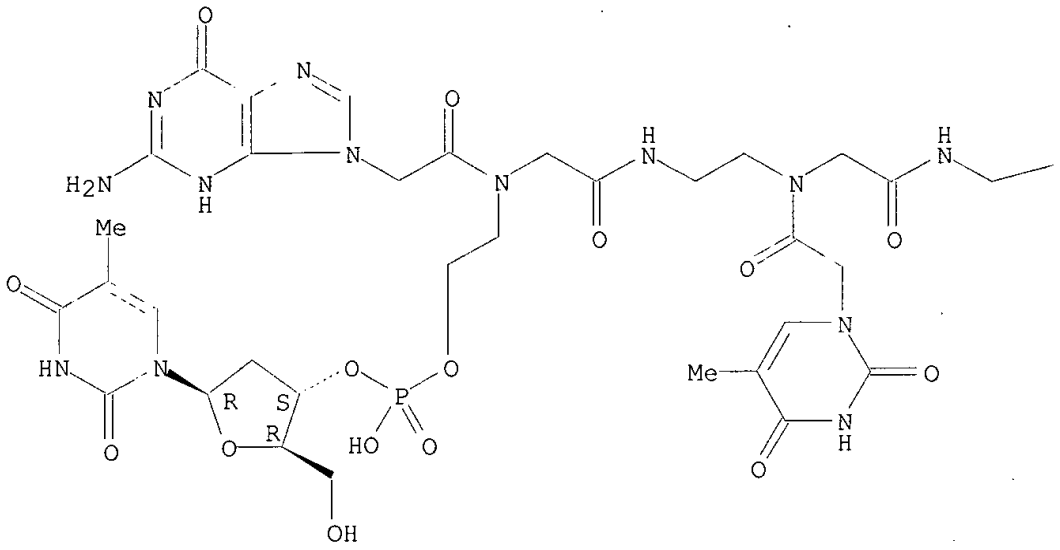


PAGE 2-D

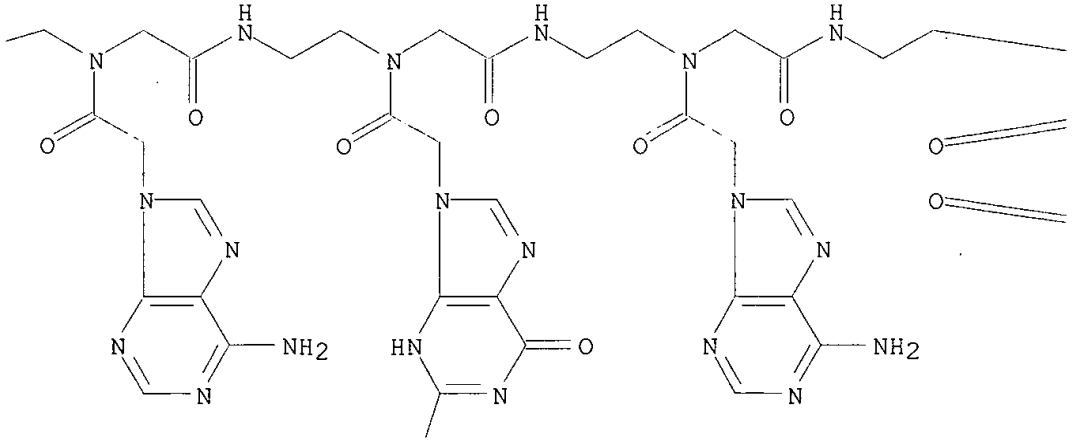
RN 277322-72-2 HCAPLUS
CN Peptide nucleic acid, (dT-(5'-deamino-5'-oxy)G-T-A-G-A-T-C-A-C)-[(3E)-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3-[2-[(6-hydroxyhexyl)amino]-2-oxoethyl]-3-pentenyl]NH (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

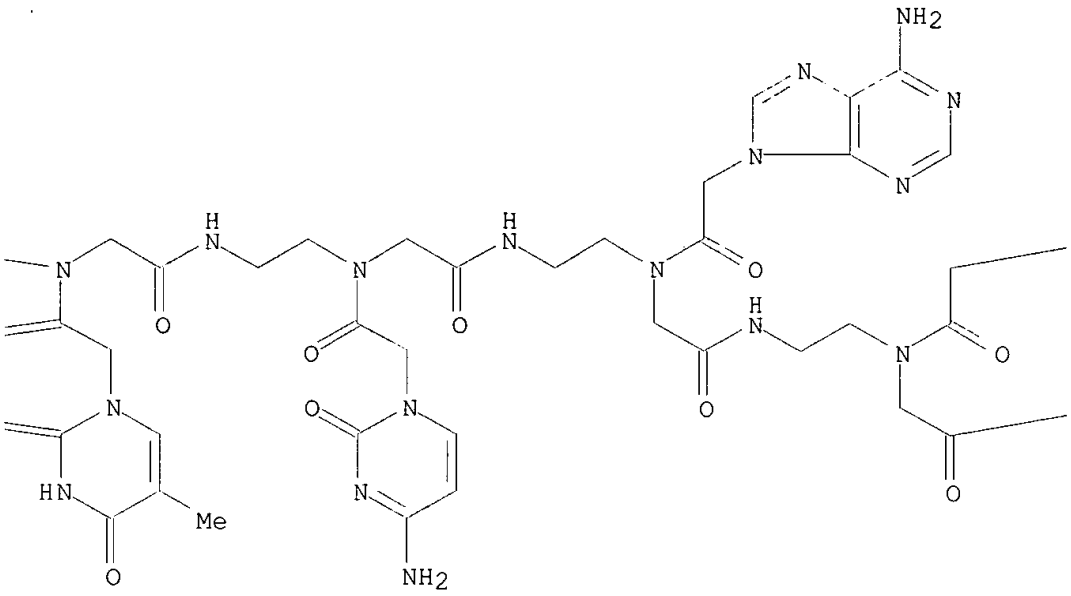
PAGE 1-A



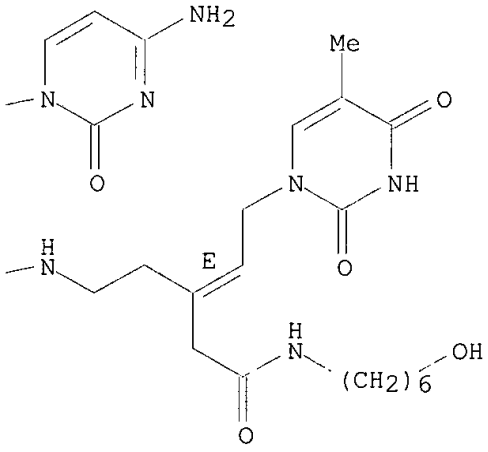
PAGE 1-B



PAGE 1-C



PAGE 1-D

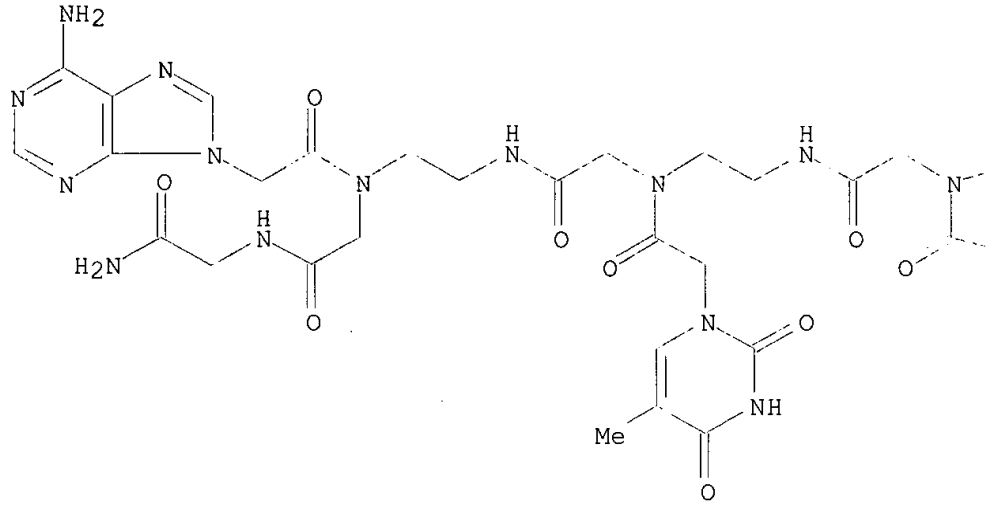


PAGE 2-B

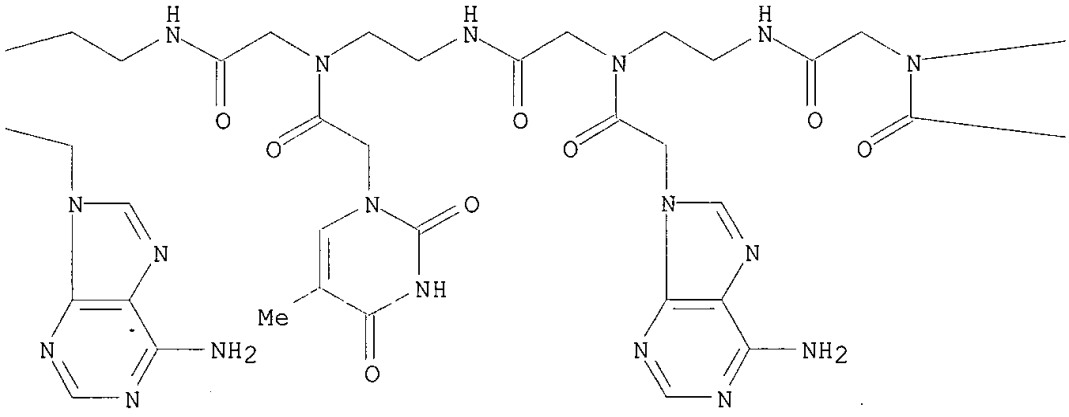
RN 277322-79-9 HCAPLUS
CN Peptide nucleic acid, (dC-T-T-T-T-A-A-T-A-T-A)-gly-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

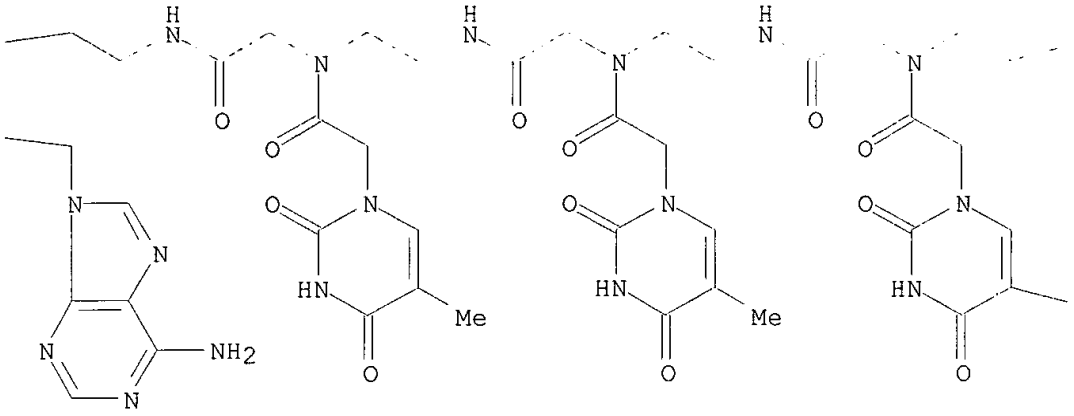
PAGE 1-A



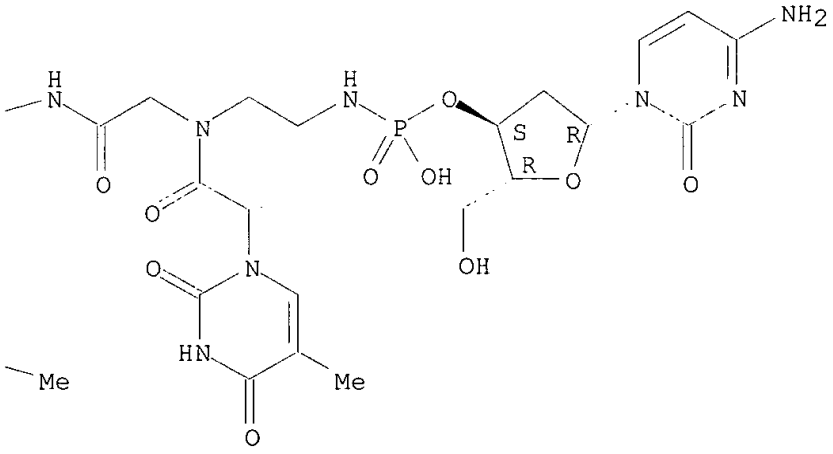
PAGE 1-B



PAGE 1-C



PAGE 1-D



L84 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:127528 HCAPLUS
DN 132:175816
TI Antisense oligonucleotide-based compositions and methods for reducing radiation and drug resistance in cells
IN Chang, Esther H.; Pirollo, Kathleen F.
PA USA
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C12Q001-68
ICS C12N009-00; C12N015-85; C07H021-04
NCL 435006000
CC 1-6 (Pharmacology)

Section cross-reference(s): 8, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6027892	A	20000222	US 1997-991830	19971216
PRAI	US 1996-34160P	P	19961230		

AB Provided are antisense oligonucleotides directed against the raf-1 gene, Ha-ras gene and HER-2 gene, components of a signal transduction pathway involving oncogenes and their normal counterparts and leading to the phenotype of cellular radioresistance. Administration of these antisense oligonucleotides is shown to reverse the radioresistance phenotype in cells overexpressing HER-2 or a mutant form of Ha-ras. Methods and compns. for reversing radiation resistance among other conditions involving these genes are disclosed.

ST antisense oligonucleotide drug radiation resistance redn

IT DNA sequences
Drug resistance
Radiation
Radiotherapy
Signal transduction, biological
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antisense oligonucleotides
Phosphorothioate oligonucleotides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT neu (receptor)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(bladder carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(c-Ha-ras; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(c-erbB2; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(c-raf-1; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Bladder
Bladder
Head
Head
Lung, neoplasm
Lung, neoplasm
Mammary gland
Mammary gland
Neck, anatomical
Neck, anatomical
Ovary, neoplasm

Ovary, neoplasm
Pancreas, neoplasm
Pancreas, neoplasm
Prostate gland
Prostate gland
Stomach, neoplasm
Stomach, neoplasm
(carcinoma, inhibitors; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Bladder
Head
Lung, neoplasm
Mammary gland
Neck, anatomical
Ovary, neoplasm
Pancreas, neoplasm
Prostate gland
Stomach, neoplasm
(carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(cervix carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Uterus, neoplasm
Uterus, neoplasm
(cervix, carcinoma, inhibitors; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Uterus, neoplasm
(cervix, carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(colon carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Intestine, neoplasm
Intestine, neoplasm
(colon, carcinoma, inhibitors; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Intestine, neoplasm
(colon, carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(head and neck squamous cell carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(head carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Drug delivery systems
(liposomes; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(lung carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(mammary gland carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(neck carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

IT Antitumor agents
(ovary carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)

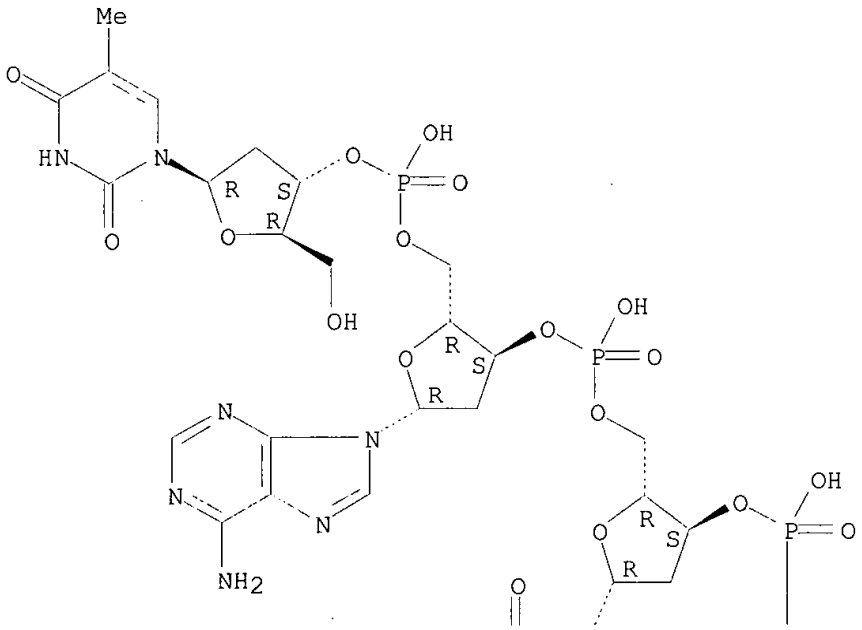
IT Antitumor agents

(pancreas carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT Antitumor agents
(prostate carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT Head
Head
Neck, anatomical
Neck, anatomical
(squamous cell carcinoma, inhibitors; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT Head
Neck, anatomical
(squamous cell carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT Antitumor agents
(stomach carcinoma; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT **116364-61-5** 125486-19-3 158768-80-0 259113-38-7
259158-20-8 259158-21-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT 139691-76-2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
IT 259168-28-0, 4: PN: US6027892 SEQID: 4 unclaimed DNA 259168-29-1, 5: PN: US6027892 SEQID: 5 unclaimed DNA 259168-30-4, 6: PN: US6027892 SEQID: 6 unclaimed DNA 259168-31-5, 8: PN: US6027892 SEQID: 8 unclaimed DNA
259168-32-6, 9: PN: US6027892 SEQID: 9 unclaimed DNA
RL: PRP (Properties)
(unclaimed nucleotide sequence; antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; WO 9532987 1995 HCAPLUS
(2) Betram, J; Biochem Biophys Res Commun 1994, V200, P661
(3) Bradley; Reversal of Transformed Phenotypes by Antisense fos 1992, P124 HCAPLUS
(4) Branch, A; TIBS 1998, V23, P45 HCAPLUS
(5) Calabretta; US 5734039 1998 HCAPLUS
(6) Crooke, S; Antisense Research And Application 1998, P1 HCAPLUS
(7) Daum; TIBS 1994, V19, P474 HCAPLUS
(8) Daum; Trends Biochem Sci 1994, V19, P474 HCAPLUS
(9) Dean, N; Biochem Soc Trans 1996, V24, P623 HCAPLUS
(10) Denner, I; WO 9415645 1998 HCAPLUS
(11) Gewirtz; PNAS 1996, V93, P3161 HCAPLUS
(12) Gura, T; Science 1997, V278, P1041 HCAPLUS
(13) Kasid; Science 1989, V243, P1354 HCAPLUS
(14) Kasid, U; Science 1987, V237, P1039 HCAPLUS
(15) Kasid, U; Science 1989, V243, P1354 HCAPLUS
(16) Kizaka-Kondoh; Mol Cell Biol 1992, V12, P5078 HCAPLUS
(17) Ledwith; Mol Cell Biol 1990, V10, P1545 HCAPLUS
(18) Maher; Archives of Biochemistry and Biophysics 1987, V253, P214 HCAPLUS
(19) Monia; US 5576208 1996 HCAPLUS
(20) Rojanasakul; Advanced Drug Delivery Review 1996, V18, P115 HCAPLUS
(21) Sepp-Lorenzino; Oncogene 1996, V12, P1679 HCAPLUS
(22) Soldatenkov; The Cancer Journal from Scientific American 1997, V3, P13

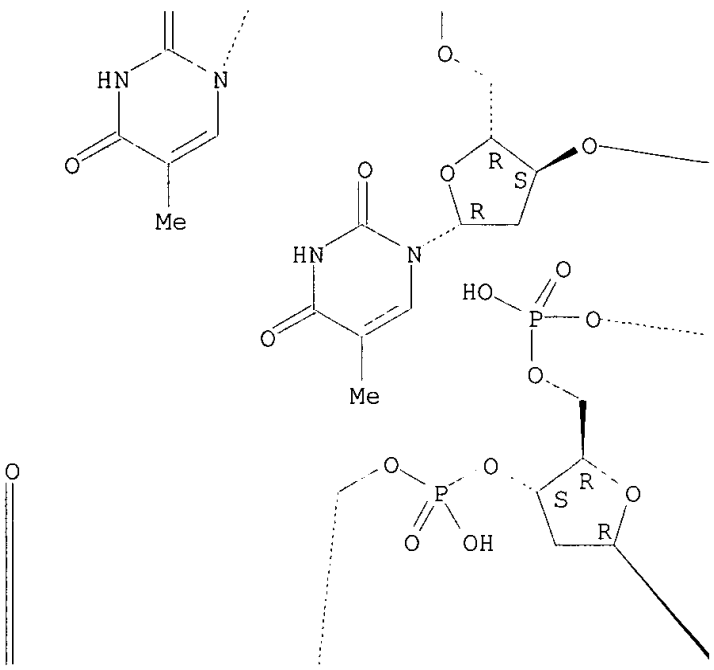
MEDLINE
(23) Suy; Oncogene 1997, V15, P53 HCAPLUS
(24) Thompson; US 5599704 1997 HCAPLUS
(25) Tseng; Cancer Gene Therapy 1994, V1(1), P65 HCAPLUS
(26) Vaughn; Nucleic Acids Res 1996, V24, P4558 HCAPLUS
IT **116364-61-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antisense oligonucleotide-based compns. and methods for reducing radiation and drug resistance in cells)
RN 116364-61-5 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

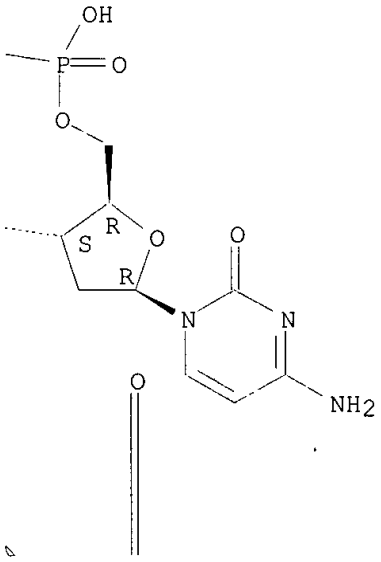
PAGE 1-A



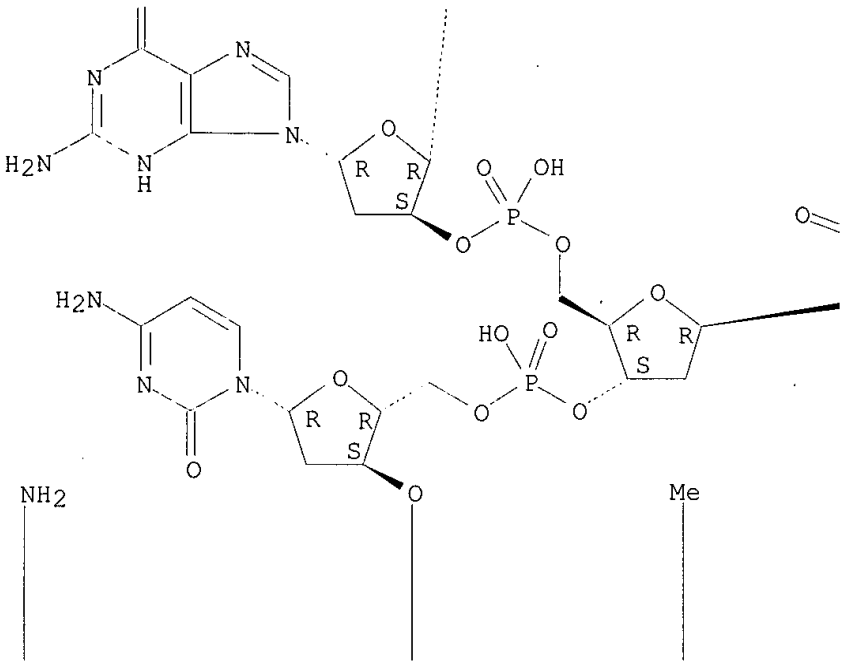
PAGE 2-A



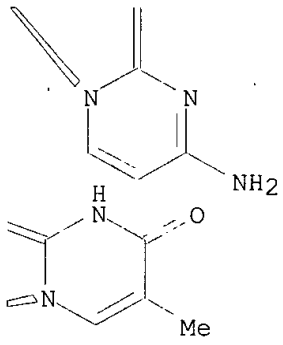
PAGE 2-B



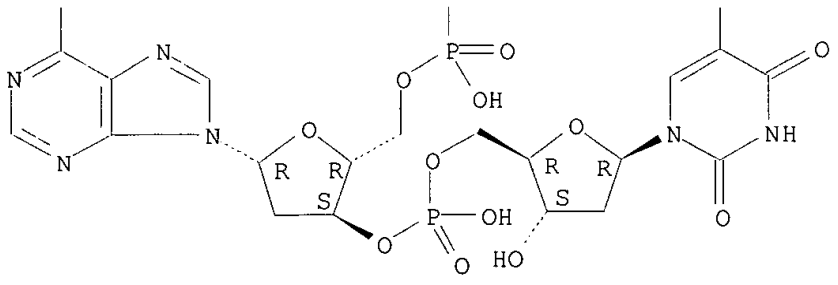
PAGE 3-A



PAGE 3-B



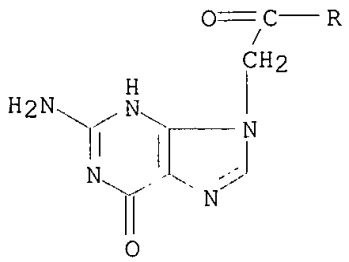
PAGE 4-A

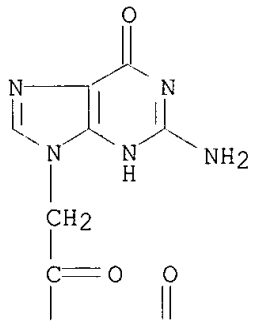


L84 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:380031 HCAPLUS

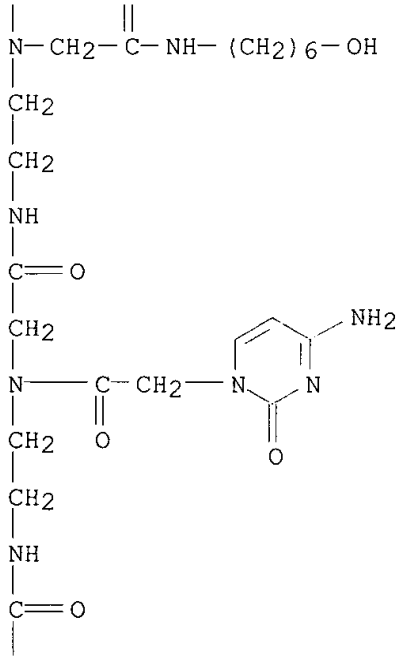
Correction of: 1996:755988
DN 127:2136
Correction of: 126:141081
TI Synthesis and properties of **PNA**/DNA chimeras
AU **Uhlmann, Eugen**; **Will, David W.**; **Breipohl, Gerhard**; Langner, Dietrich; Ryte, Antonina
CS Hoechst AG, Frankfurt/Main, D-65926, Germany
SO Angewandte Chemie, International Edition in English (1996), 35(22), 2632-2635
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
CC 6-2 (General Biochemistry)
Section cross-reference(s): 3, 9
AB We have developed a generally applicable method for the automated synthesis of DNA/**PNA** chimeras. This method is fully compatible with std. DNA synthesis methods and requires no addnl. deprotection steps at the end of oligomer synthesis. The binding affinity of DNA-**PNA** chimeras is higher than that of the comparable DNA-phosphorothioate chimeras or natural oligonucleotides. Unlike pure **PNAs**, the DNA-**PNA** chimeras investigated bind only in the antiparallel orientation to their complementary nucleic acids under physiol conditions.
ST **PNA** DNA chimera prepn automated
IT 104655-85-8 149376-29-4 170490-73-0 172316-36-8 172316-40-4
172316-41-5 172316-42-6 185810-72-4 185810-73-5 185810-74-6
185810-76-8 185810-78-0 185810-79-1 185810-80-4 185810-81-5
185810-82-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in synthesis of **PNA**/DNA chimeras)
IT **172316-39-1** 185831-40-7 185831-41-8 185831-42-9
185831-43-0 185831-44-1 185970-57-4 185970-58-5 185970-59-6
185970-60-9 185970-61-0 185970-62-1 186050-47-5 186050-48-6
186050-49-7 **186050-51-1** 186050-52-2 186050-53-3
186050-54-4 186050-55-5 186050-56-6 186050-57-7 186050-58-8
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)
(synthesis and properties of **PNA**/DNA chimeras)
IT 186050-42-0P 186050-43-1P 186050-44-2P 186050-45-3P 186050-46-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and properties of **PNA**/DNA chimeras)
IT **172316-39-1 186050-51-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)
(synthesis and properties of **PNA**/DNA chimeras)
RN 172316-39-1 HCAPLUS
CN Peptide nucleic acid, (H-A-C-A-T-C-A-T-G-G-T-C-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

PAGE 1-A

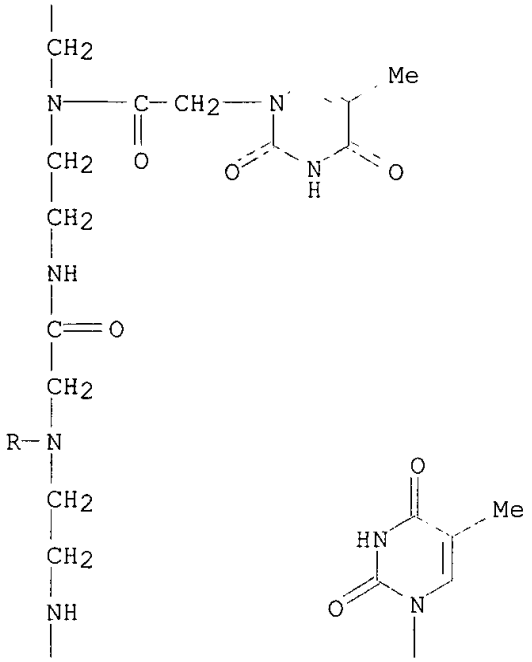




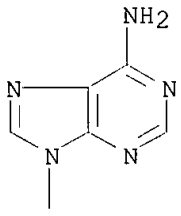
PAGE 2-A

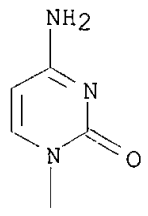


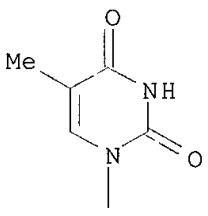
PAGE 3-A



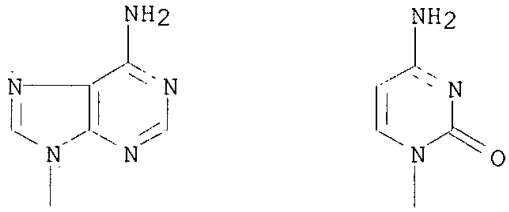
PAGE 3-B



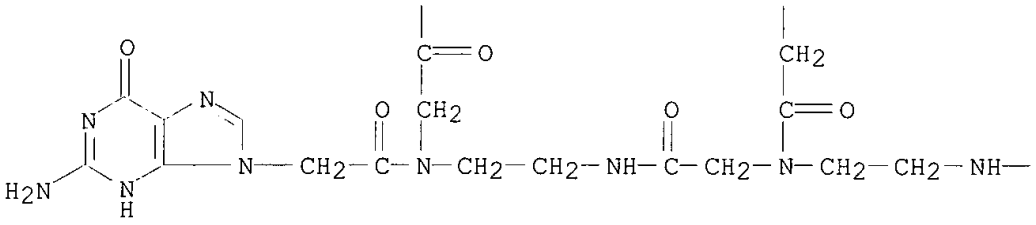




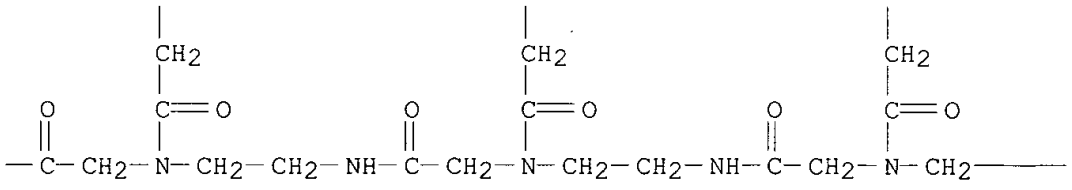
PAGE 3-C



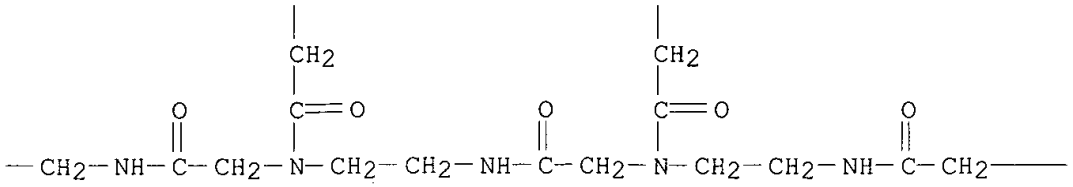
PAGE 4-A



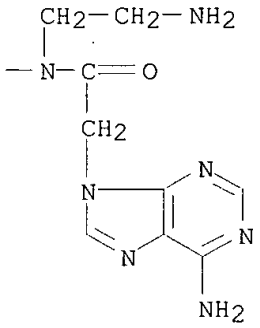
PAGE 4-B



PAGE 4-C



PAGE 4-D



RN 186050-51-1 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T), complex with peptide nucleic acid (dA-dT-dG-(5'-deamino-5'-oxy)A-C-G-G-A-A-T-A)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L84 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:755988 HCAPLUS
DN 126:141081
TI Synthesis and properties of **PNA**/DNA chimeras
AU **Uhlmann, Eugen; Will, David W.; Breiphohl, Gerhard**; Langner, Dietrich; Ryte, Antonina
CS Hoechst AG, Frankfurt/Main, D-65926, Germany
SO Angewandte Chemie, International Edition in English (1996), 35(22), 2632-2635
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
CC 6-2 (General Biochemistry)
Section cross-reference(s): 32, 33
AB We have developed a generally applicable method for the automated synthesis of DNA/**PNA** chimeras. This method is fully compatible with std. DNA synthesis methods and requires no addnl. deprotection steps at the end of oligomer synthesis. The binding affinity of DNA-**PNA** chimeras is higher than that of the comparable DNA-phosphorothioate chimeras or natural oligonucleotides. Unlike pure **PNAs**, the DNA-**PNA** chimeras investigated bind only in the antiparallel orientation to their complementary nucleic acids under physiol. conditions.
ST **PNA** DNA chimera prepn automated

IT 104655-85-8 149376-29-4 170490-73-0 172316-36-8 172316-40-4
172316-41-5 172316-42-6 185810-72-4 185810-73-5 185810-74-6
185810-76-8 185810-78-0 185810-79-1 185810-80-4 185810-81-5
185810-82-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in synthesis of **PNA**/DNA chimeras)

IT **172316-39-1** 185831-40-7 185831-41-8 185831-42-9
185831-43-0 185831-44-1 185970-57-4 185970-58-5 185970-59-6
185970-60-9 185970-61-0 185970-62-1 186050-47-5 186050-48-6
186050-49-7 **186050-51-1** 186050-52-2 186050-53-3
186050-54-4 186050-55-5 186050-56-6 186050-57-7 186050-58-8
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)
(synthesis and properties of **PNA**/DNA chimeras)

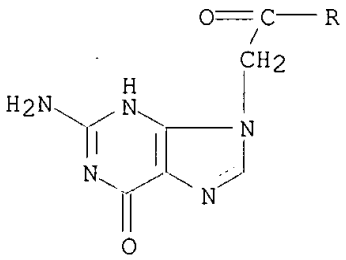
IT 186050-42-0P 186050-43-1P 186050-44-2P 186050-45-3P 186050-46-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and properties of **PNA**/DNA chimeras)

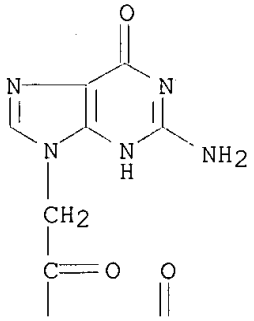
IT **172316-39-1 186050-51-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)
(synthesis and properties of **PNA**/DNA chimeras)

RN 172316-39-1 HCAPLUS

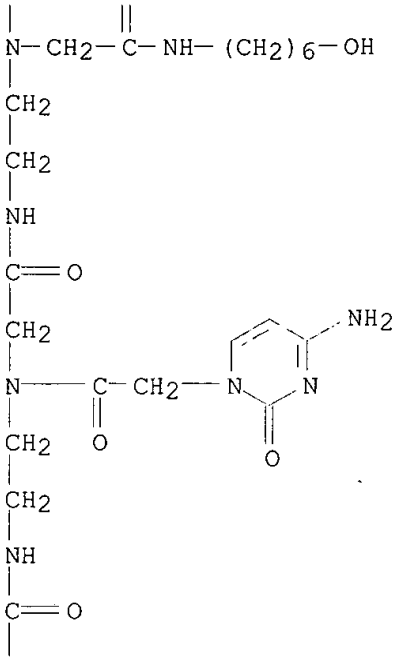
CN Peptide nucleic acid, (H-A-C-A-T-C-A-T-G-G-T-C-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

PAGE 1-A

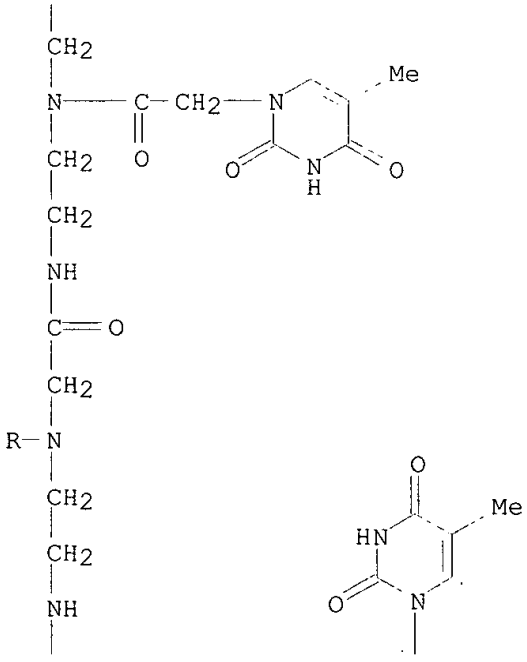




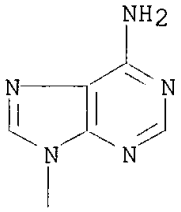
PAGE 2-A

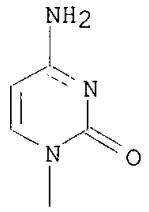


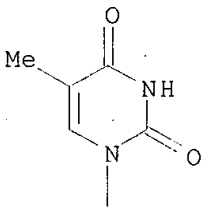
PAGE 3-A



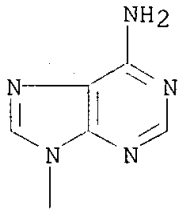
PAGE 3-B

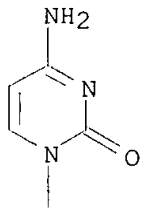




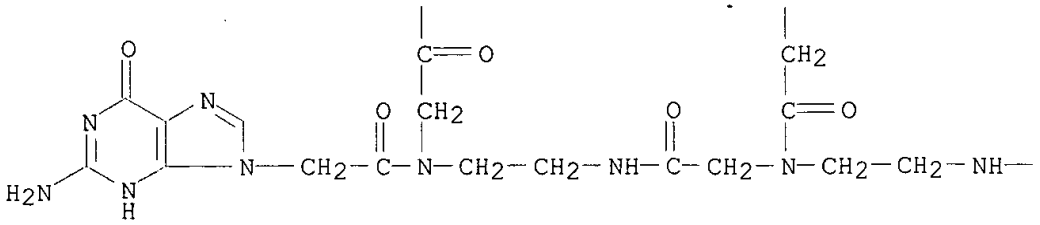


PAGE 3-C

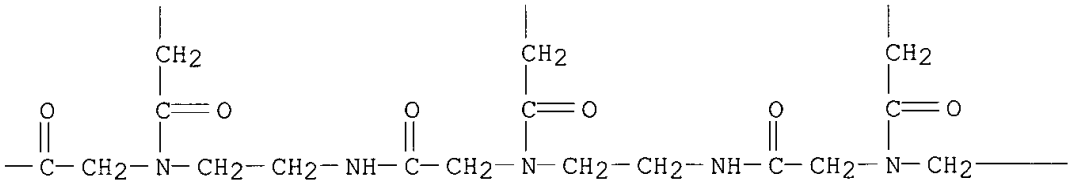




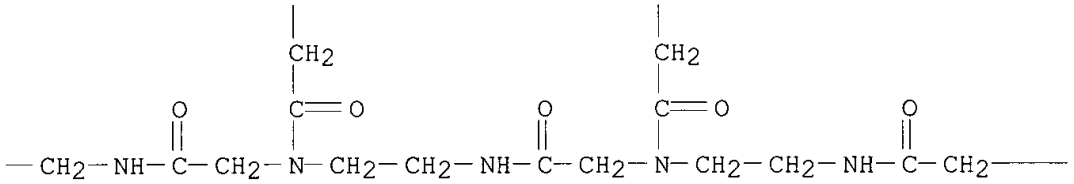
PAGE 4-A



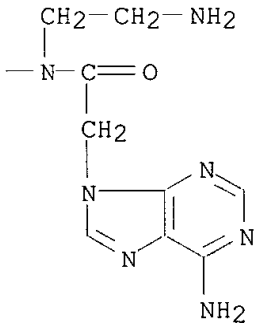
PAGE 4-B



PAGE 4-C



PAGE 4-D



RN 186050-51-1 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T), complex with peptide nucleic acid (dA-dT-dG-(5'-deamino-5'-oxy)A-C-G-G-A-A-T-A)-(6-hydroxyhexyl)NH (1:1) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L84 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:994428 HCAPLUS
DN 124:87805
TI **Peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids.
IN **Breipohl, Gerhard Dr; Uhlmann, Eugen Dr**
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C08G069-06
ICS C07D239-54; C07D239-46; C07D473-18; C07D473-34; C08G069-10
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 672700	A1	19950920	EP 1995-103318	19950308
	EP 672700	B1	19990602		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4408531	A1	19950928	DE 1994-4408531	19940314
	AT 180805	E	19990615	AT 1995-103318	19950308
	ES 2132450	T3	19990816	ES 1995-103318	19950308
	FI 9501130	A	19950915	FI 1995-1130	19950310
	AU 9514801	A1	19950921	AU 1995-14801	19950310
	AU 695931	B2	19980827		
	CA 2144477	AA	19950915	CA 1995-2144477	19950313
	NO 9500957	A	19950915	NO 1995-957	19950313
	JP 07285989	A2	19951031	JP 1995-54642	19950314
	US 6046306	A	20000404	US 1997-927178	19970911
PRAI	DE 1994-4408531		19940314		
	US 1995-402385		19950313		

AB RAk(XB1)nQlQ1 [XB = NH(CH2)fCH2N(COCH2B)(CH2)fO, NHCH[(CH2)fB]CONHCH2CO, NHCH[(CH2)fB](CH2)3CO, etc.; f = 1-4; k, l = 0-10; A, Q = amino acid residue; B = (un)natural nucleic acid base or prodrug or replacement forms thereof; Q1 = OH, amino], were prepd. by solid phase synthesis. Thus, H-[Aeg(T)]3hex [Aeg(T) = N-(2-aminoethyl)-N-[(1-thyminyl)acetyl]glycyl, hex = HN(CH2)6OH] was prepd. on hex-succ-tentagel (succ = succinoyl) (prepn. given) on a DNA synthesizer.
ST **peptide nucleic acid** synthesis protecting group
IT Protective groups
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)
IT Nucleopeptides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)
IT 172316-43-7P
RL: BYP (Byproduct); PREP (Preparation)
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)
IT 172316-37-9P 172316-38-0P **172316-39-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)
IT 108-30-5, reactions 4048-33-3, 6-Amino-1-hexanol 14470-28-1 172316-36-8 172316-40-4 172316-41-5 172316-42-6 172316-44-8 172316-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)

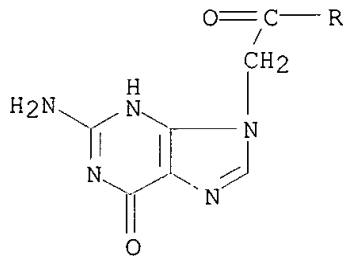
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)

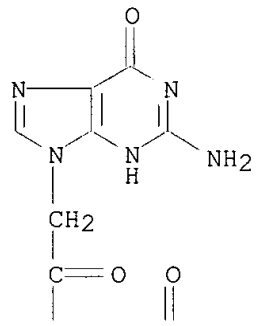
IT 114729-83-8P 172316-34-6P 172316-35-7DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)

IT **172316-39-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using an amino protecting group which is labile to weak acids)

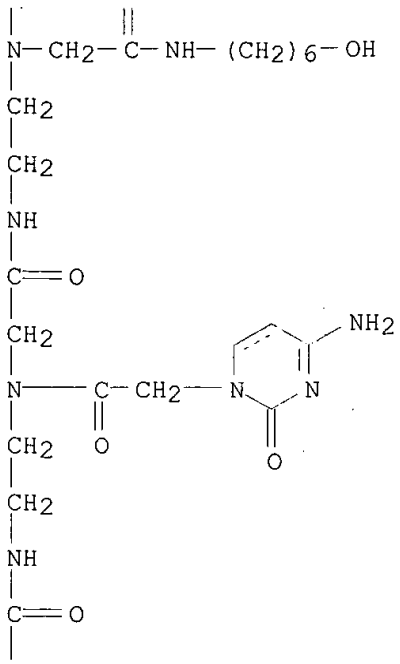
RN 172316-39-1 HCAPLUS
CN Peptide nucleic acid, (H-A-C-A-T-C-A-T-G-G-T-C-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

PAGE 1-A

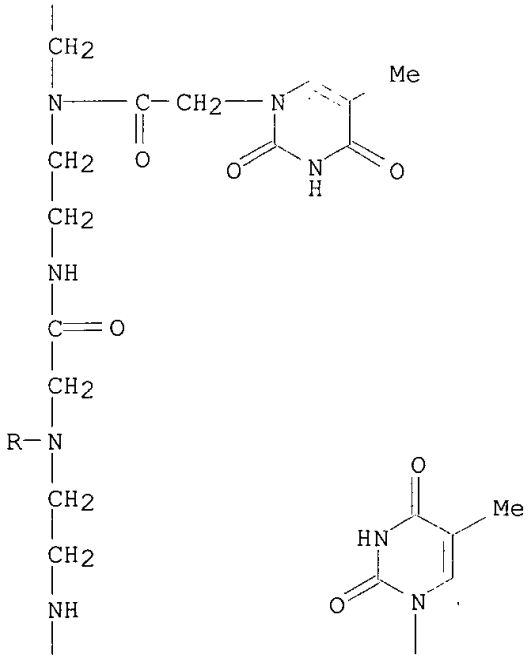




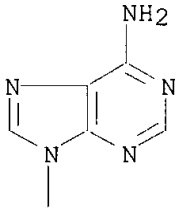
PAGE 2-A

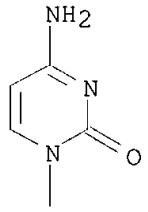


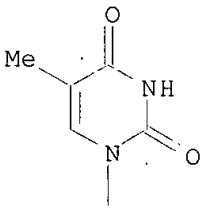
PAGE 3-A



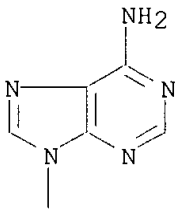
PAGE 3-B

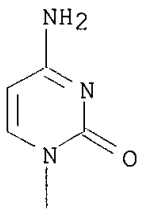




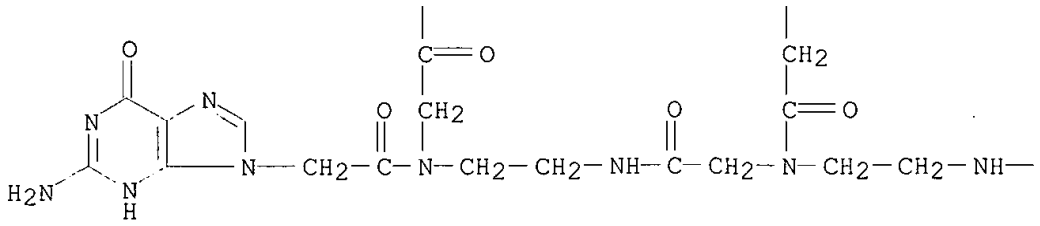


PAGE 3-C

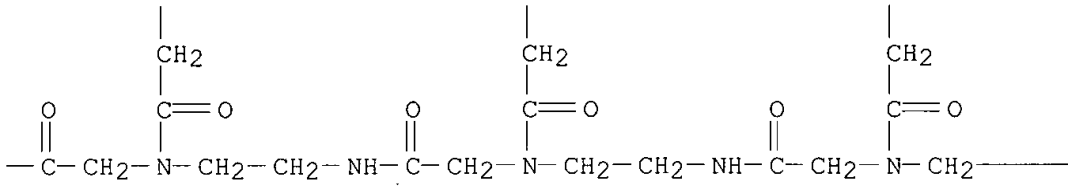




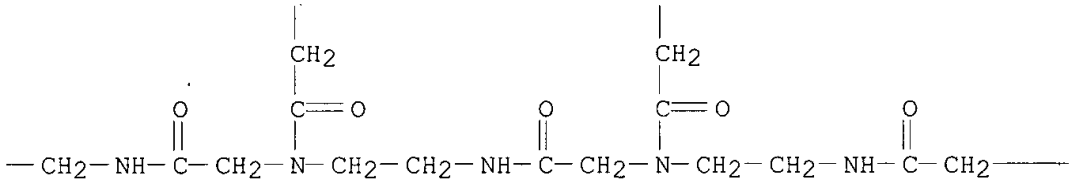
PAGE 4-A



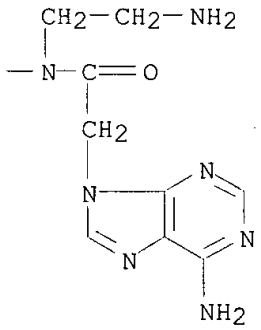
PAGE 4-B



PAGE 4-C



PAGE 4-D



L84 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:994427 HCAPLUS
DN 124:87804
TI **Peptide nucleic acid** synthesis using a base labile amino protecting group.
IN **Breipohl, Gerhard Dr; Uhlmann, Eugen Dr;** Knolle,

Jochen Dr
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C08G069-06
ICS C07D473-18; C07D473-34; C07D239-54; C07D239-46; C08G069-10
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 672701	A1	19950920	EP 1995-103319	19950308
	EP 672701	B1	19990728		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4408533	A1	19950928	DE 1994-4408533	19940314
	AT 182602	E	19990815	AT 1995-103319	19950308
	ES 2136755	T3	19991201	ES 1995-103319	19950308
	FI 9501129	A	19950915	FI 1995-1129	19950310
	AU 9514800	A1	19950921	AU 1995-14800	19950310
	AU 683714	B2	19971120		
	CA 2144473	AA	19950915	CA 1995-2144473	19950313
	NO 9500958	A	19950915	NO 1995-958	19950313
	JP 07291909	A2	19951107	JP 1995-54641	19950314
	US 6121418	A	20000919	US 1997-967197	19971029
	US 6316595	B1	20011113	US 2000-495457	20000201
PRAI	DE 1994-4408533	A	19940314		
	US 1995-402844	B1	19950313		
	US 1997-967197	A3	19971029		

AB RAk[NHCH2CH2N(COCH2B)CH2CO]nQ1Q1 (R = H, alkanoyl, alkoxycarbonyl, cycloalkanoyl, aroyl, heteroaroyl, group which promotes intracellular uptake or interacts with target nucleic acids; A, Q = amino acid residue; Q1 = OH, amino; B = nucleobase or prodrug form thereof; l = 0-20; n = 1-50), were prepd. by solid phase synthesis. Thus, H-[Aeg(T)]8-Lys-NH2 [Aeg(T) = N-(2-aminoethyl)-N-[(1-thyminyl)acetyl]glycyl] was prepd. by coupling of FMOC-Lys(BOC)-OH and FMOC-Aeg(T)-OH (prepn. given) on 5-(FMOC-amino-4-methoxybenzyl)-2,4-dimethoxyphenylpropionic acid-derivatized aminomethylpolystyrene resin using an activator soln. of PyBOP (PyBOP = benzotriazolyl-1-oxytripyrrolidiniophosphonium hexafluorophosphate) in DMF, NEM (N-ethylmorpholine) in DMF as base for activation, and 20% piperidine in DMF for deprotection.

ST **peptide nucleic acid** synthesis base labile; base labile protecting group **pna** synthesis

IT Merrifield synthesis
(**peptide nucleic acid** synthesis using a base labile amino protecting group)

IT Nucleopeptides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using a base labile amino protecting group)

IT 139166-84-0P 172405-66-2P 172405-67-3P **172405-68-4P** **172405-69-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using a base labile amino protecting group)

IT 65-71-4, Thymine 71-30-7, Cytosine 73-24-5, 6-Aminopurine, reactions 96-32-2, Methyl bromoacetate 108-53-2 10310-21-1, 2-Amino-6-chloropurine 18907-79-4 20924-05-4 24123-14-6 71989-14-5 71989-26-9
RL: RCT (Reactant); RACT (Reactant or reagent)

(**peptide nucleic acid** synthesis using a base labile amino protecting group)

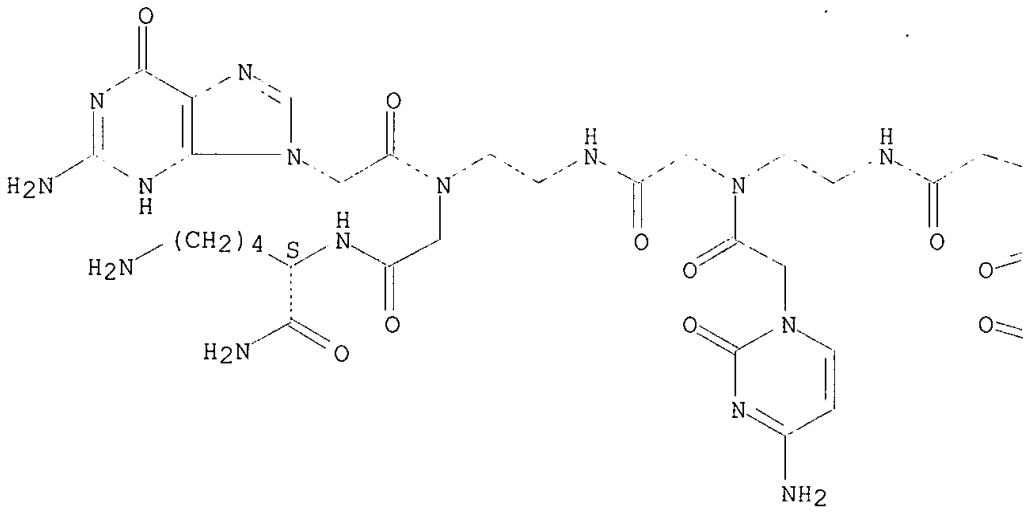
IT 13251-16-6P 55036-34-5P 67826-12-4P 119451-90-0P 169396-92-3P
172405-14-0P 172405-15-1P 172405-16-2P 172405-27-5P 172405-43-5P
172405-44-6P 172405-45-7P 172405-46-8P 172405-47-9P 172405-48-0P
172405-49-1P 172405-50-4P 172405-51-5P 172405-52-6P 172405-53-7P
172405-54-8P 172405-55-9P 172405-56-0P 172405-57-1P 172405-58-2P
172405-59-3P 172405-60-6P 172405-61-7P 172405-62-8P 172405-63-9P
172405-64-0P 172405-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**peptide nucleic acid** synthesis using a base labile amino protecting group)

IT **172405-68-4P 172405-69-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**peptide nucleic acid** synthesis using a base labile amino protecting group)

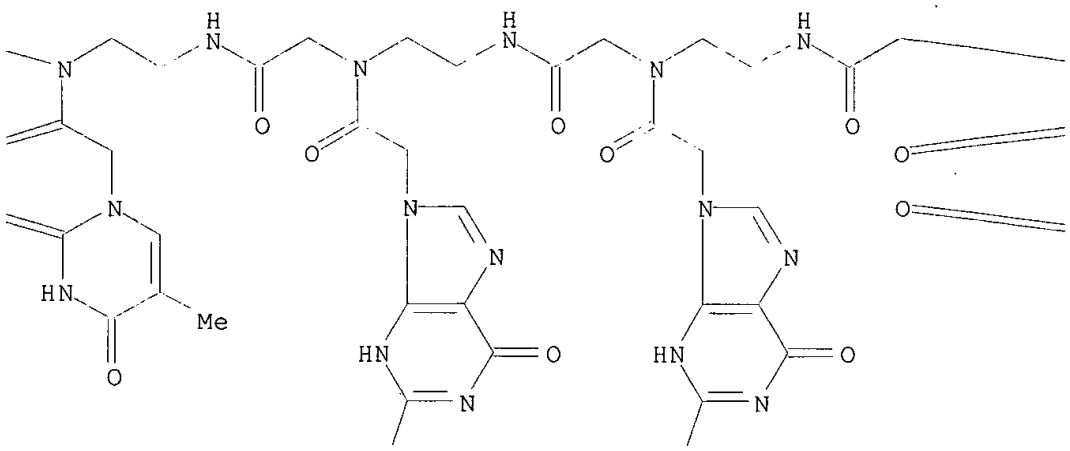
RN 172405-68-4 HCAPLUS
CN Peptide nucleic acid, (acetyl-A-C-A-T-C-A-T-G-G-T-C-G)-Lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

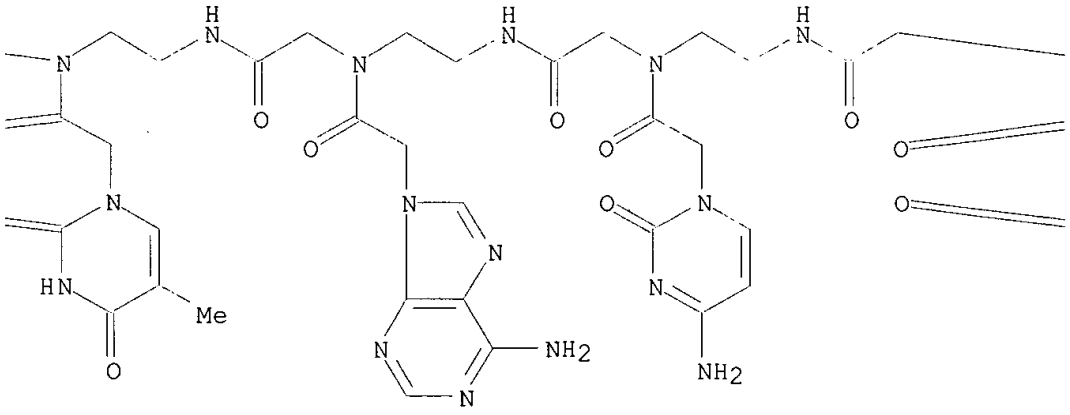
PAGE 1-A



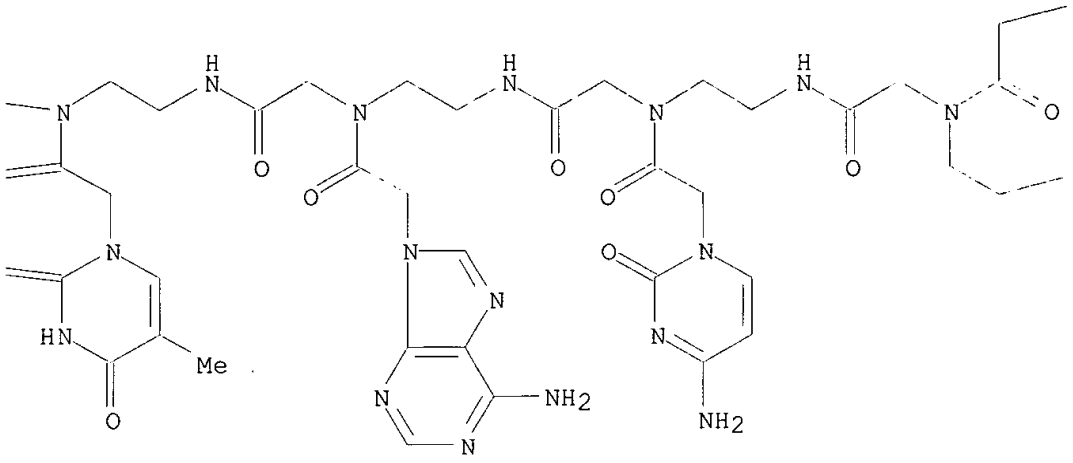
PAGE 1-B



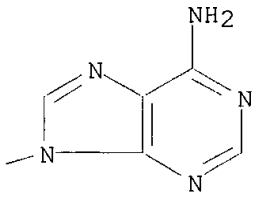
PAGE 1-C



PAGE 1-D



PAGE 1-E



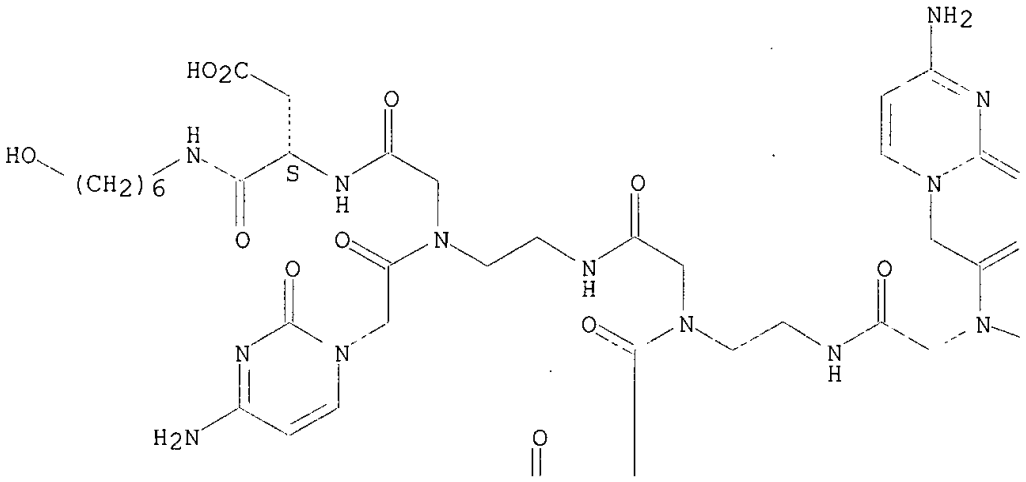
NHAc

PAGE 2-B

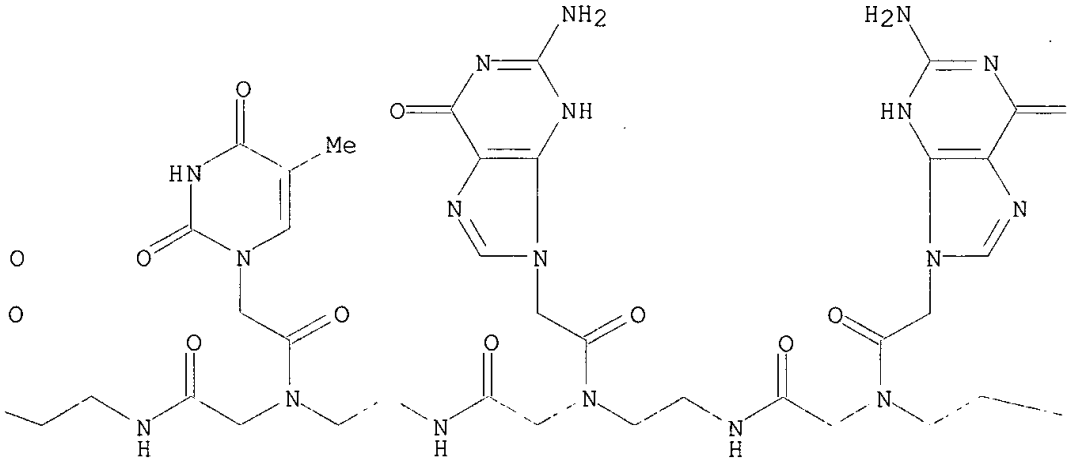
RN 172405-69-5 HCAPLUS
CN Peptide nucleic acid, (Asp-C-C-A-T-G-G-T-C-C-C)-Asp-[N-(6-hydroxyhexyl)]NH (9CI) (CA INDEX NAME)

Absolute stereochemistry.

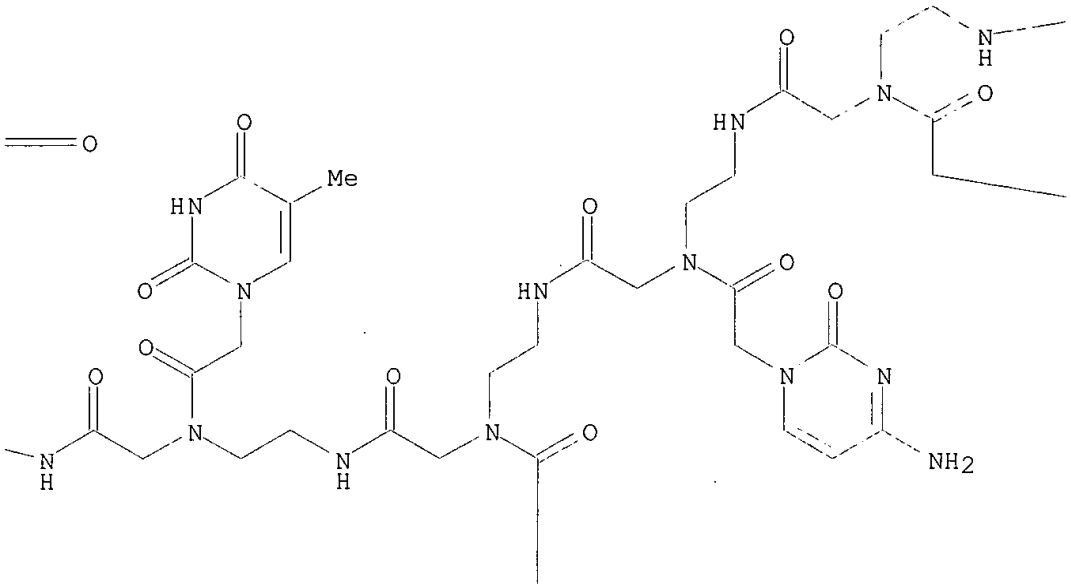
PAGE 1-A



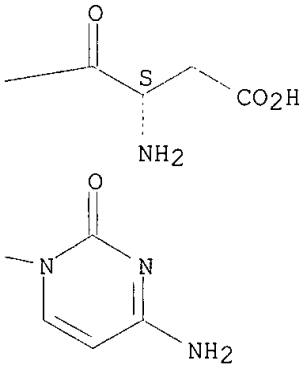
PAGE 1-B



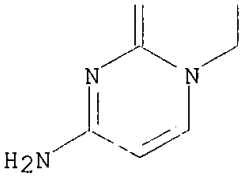
PAGE 1-C



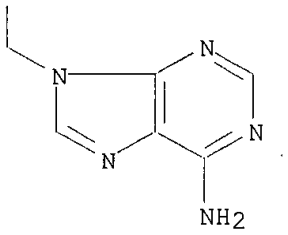
PAGE 1-D



PAGE 2-A



PAGE 2-C



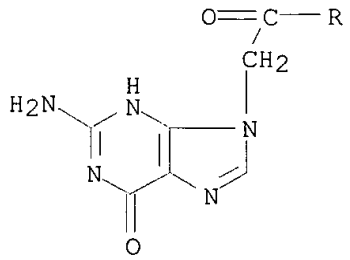
L84 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:908968 HCAPLUS
DN 124:117857
TI The synthesis of polyamide nucleic acids using a novel monomethoxytrityl protecting-group strategy
AU **Will, David W.**; **Breipohl, Gerhard**; Langner, Dietrich; Knolle, Jochen; **Uhlmann, Eugen**
CS Hoechst AG, Allgemeine Pharma Forschung G838, Frankfurt am Main, D-65926, Germany
SO Tetrahedron (1995), 51(44), 12069-82
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier
DT Journal
LA English
CC 33-9 (Carbohydrates)
OS CASREACT 124:117857
AB The prepn. of 4-MeOC6H4CPh2NHCH2CH2N(COCH2R)CH2CO2Me (R = thymine, N4-tert-butylbenzoylcytosine, N6-anisoyladenine, N2-isobutanoylguanine) for the synthesis of polyamide nucleic acids (**PNAs**) is described. The use of base-labile acyl-type nucleobase protecting groups, including monomethyltrityl N-protection of H2NCH2CH2NhCH2CO2Me, and of a succinyl-linked solid-support offers a synthetic strategy similar to std. oligonucleotide synthesis conditions. This strategy has been successfully applied for the synthesis of **PNAs** of mixed base sequence.
ST polyamide nucleic acid analog prepn; monomethoxytrityl amine protecting group aminoethylglycine; solid phase synthesis polyamide oligonucleotide analog
IT Nucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(analogs, synthesis of polyamide nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)
IT Protective groups
(methoxytrityl, for amine in aminoethylglycine)
IT 71-30-7, Cytosine 73-24-5, Adenine, reactions 73-40-5 96-32-2, Methyl bromoacetate 107-15-3, 1,2-Ethanediamine, reactions 298-12-4 1710-98-1, 4-tert-Butylbenzoyl chloride 4048-33-3, 6-Aminohexan-1-ol 20924-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of polyamide nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)
IT 18907-79-4P 21047-89-2P 24123-14-6P, N-(2-Aminoethyl)glycine 97025-97-3P 114729-83-8P 135697-25-5P 170944-06-6P 172316-34-6DP, polymer bound 172316-34-6DP, polymer-bound 172316-34-6P 172316-36-8P 172316-40-4P 172316-42-6P 172316-45-9P 172405-11-7P 172405-12-8P 172405-17-3P 172405-18-4P 172405-19-5P 172405-20-8P 172405-21-9P 172405-39-9P 172405-41-3P 172405-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of polyamide nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

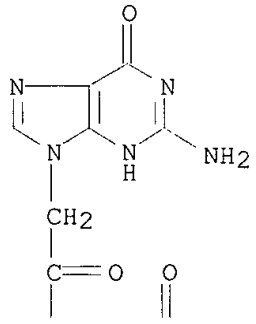
IT **172316-39-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of polyamide nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

IT **172316-39-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of polyamide nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

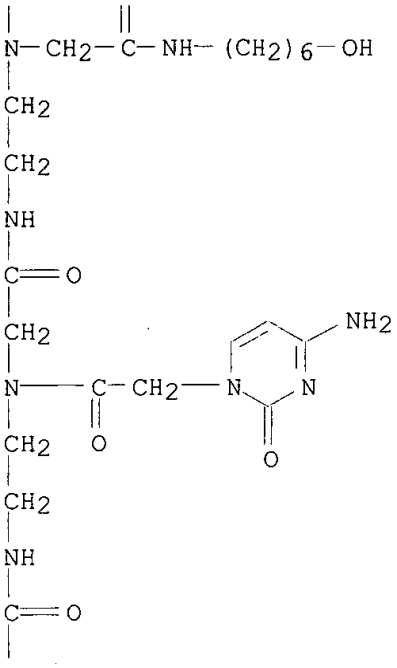
RN 172316-39-1 HCAPLUS
CN Peptide nucleic acid, (H-A-C-A-T-C-A-T-G-G-T-C-G)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

PAGE 1-A

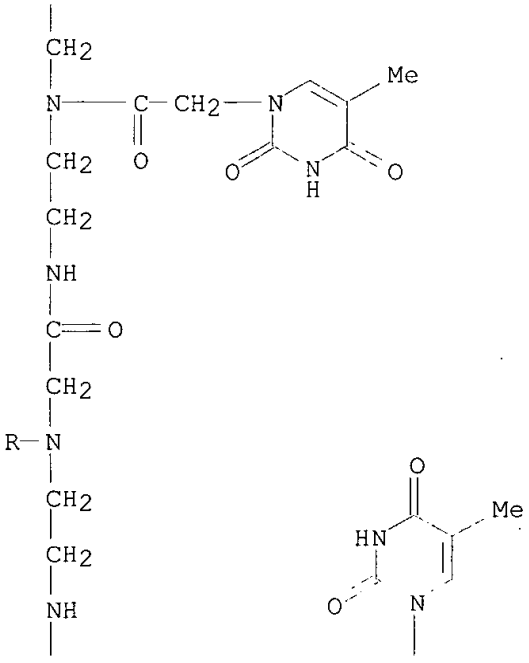




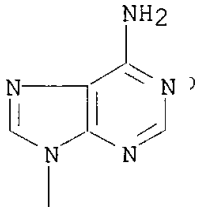
PAGE 2-A

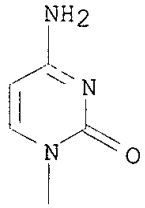


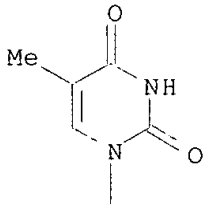
PAGE 3-A



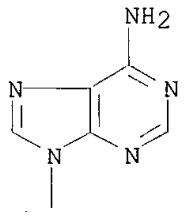
PAGE 3-B

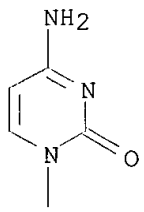




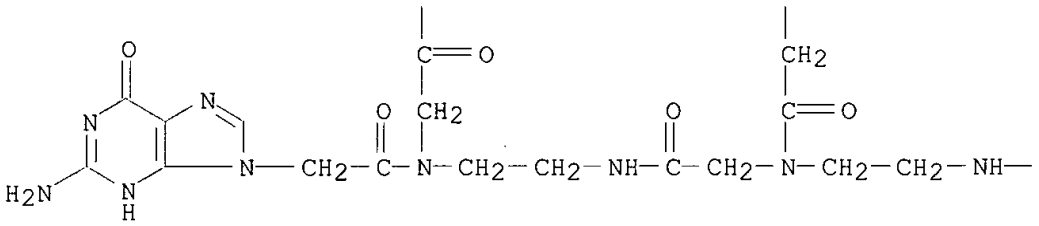


PAGE 3-C

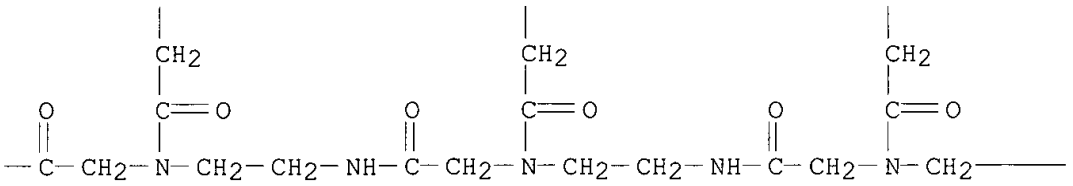




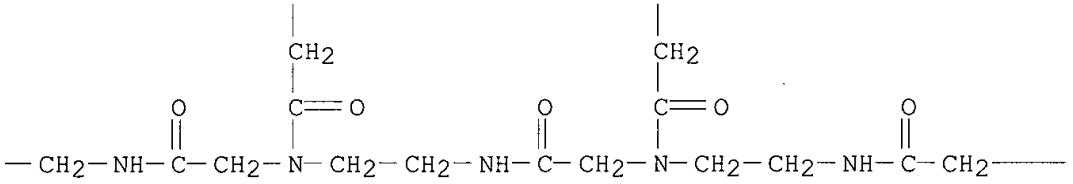
PAGE 4-A



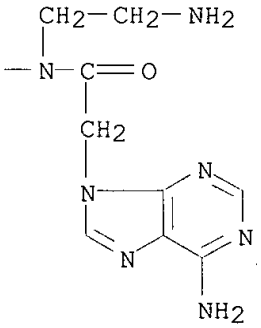
PAGE 4-B



PAGE 4-C



PAGE 4-D

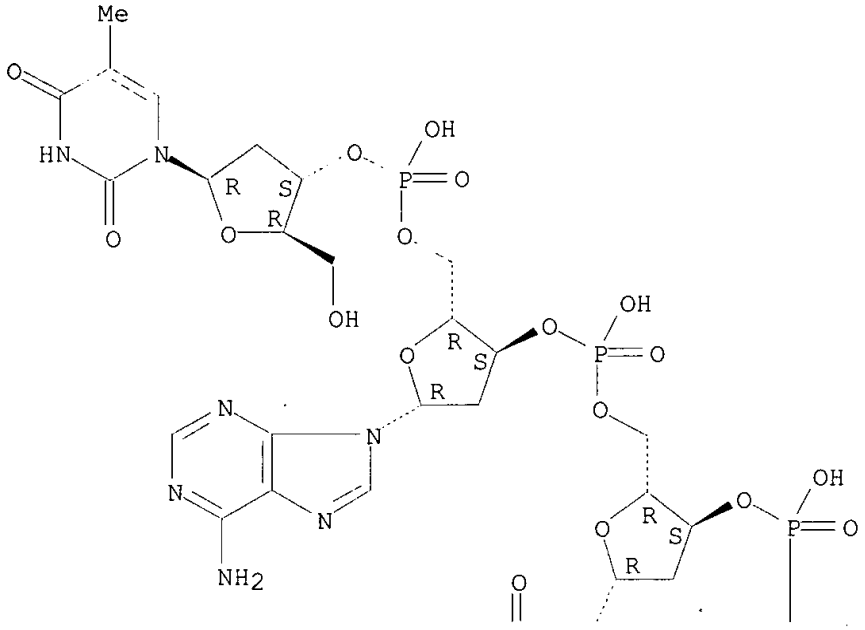


L84 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1990:111614 HCAPLUS
DN 112:111614
TI Comparative inhibition of ras p21 protein synthesis with phosphorus-modified antisense oligonucleotides
AU Chang, E. H.; Yu, Z.; Shinozuka, K.; Zon, G.; Wilson, W. D.; Strekowska,

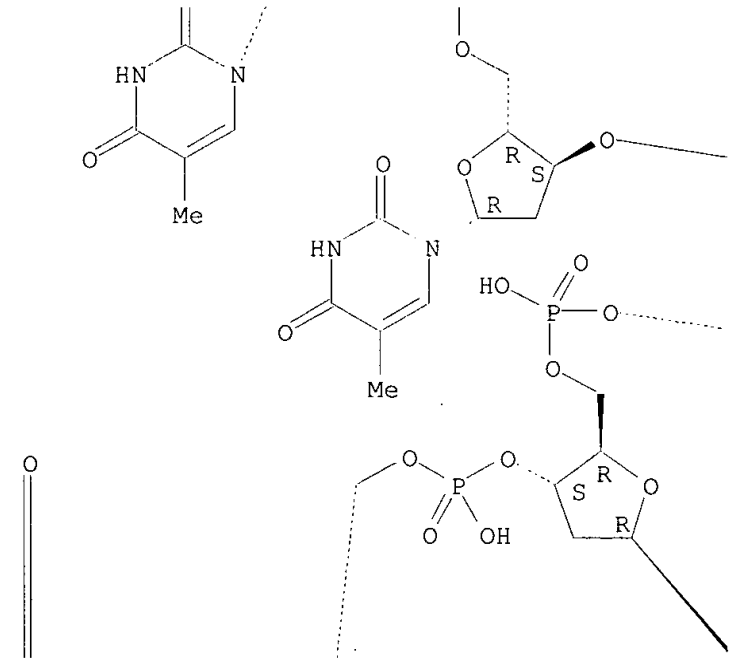
A.
CS Dep. Pathol., Uniformed Serv. Univ. Health Sci., Bethesda, MD, 20814, USA
SO Anti-Cancer Drug Design (1989), 4(3), 221-32
CODEN: ACDDEA; ISSN: 0266-9536
DT Journal
LA English
CC 1-6 (Pharmacology)
AB A rabbit reticulocyte lysate translation assay was used to quant. compare a series of antisense oligodeoxyribonucleotides (11-mers) having different internucleoside linkages and various degrees of complementarity (100-80%) with the start codon and downstream 8 bases of Balb-ras p21 mRNA. The oligomers had contiguous phosphodiester, alternating methylphosphonate-phosphodiester, contiguous methylphosphonate, or contiguous phosphorothioate linkages. The test compds. present in .apprx.103-104-fold excess over mRNA (15 nM mRNA) inhibited protein synthesis to a degree which was dependent on the concn. and the oligomer sequence. At low concns. (12.5-25 .mu.M), the phosphorothioate analogs were the most potent inhibitors of p21 protein synthesis but the sequence-nonspecific effect for these oligomers was dominant at higher concns. (100-200 .mu.M). The methylphosphonate oligomers were slightly more discriminant. Relative hybridization strengths were assessed by melting studies using a DNA oligomer target to mimic the mRNA.
ST oligodeoxyribonucleotide antisense ras p21 protein synthesis; antitumor oligodeoxyribonucleotide antisense p21 protein synthesis
IT Neoplasm inhibitors
(antisense oligodeoxyribonucleotides inhibition of ras p21 protein synthesis in relation to)
IT Protein formation
(of ras p21, antisense oligodeoxyribonucleotides inhibition of)
IT Nucleotides, polymers
RL: BIOL (Biological study)
(oligo-, deoxyribo-, protein ras p21 formation inhibition by antisense)
IT 116338-84-2 **116364-61-5** 124306-02-1 124306-03-2
124306-04-3 125486-17-1 125486-18-2 125486-19-3 125486-20-6
125486-21-7 125486-22-8 125486-23-9 125500-19-8
RL: BIOL (Biological study)
(protein ras p21 formation inhibition by)
IT **116364-61-5**
RL: BIOL (Biological study)
(protein ras p21 formation inhibition by)
RN 116364-61-5 HCAPLUS
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

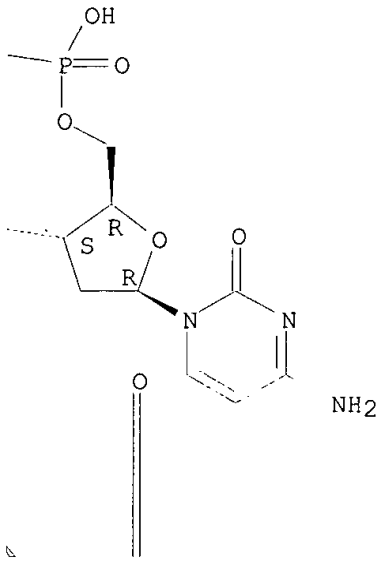
PAGE 1-A



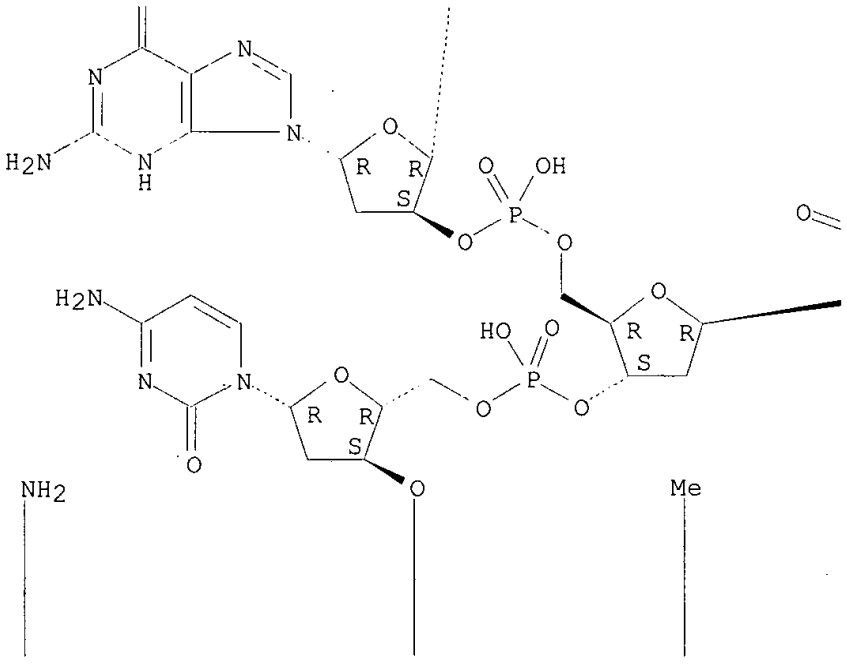
PAGE 2-A



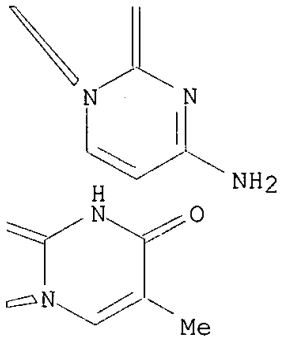
PAGE 2-B



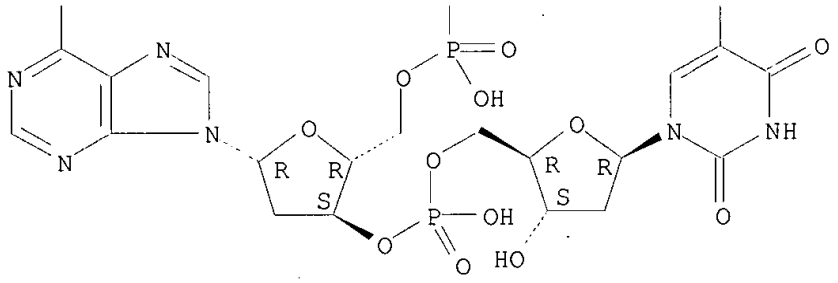
PAGE 3-A



PAGE 3-B



PAGE 4-A



L84 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2003 ACS
AN 1988:524551 HCAPLUS
DN 109:124551
TI Unusual duplex formation in purine rich oligodeoxyribonucleotides
AU Wilson, W. David; Do Trong Minh Hoa; Zuo, Elizabeth T.; Zon, Gerald
CS Dep. Chem., Georgia State Univ., Atlanta, GA, 30303-3083, USA
SO Nucleic Acids Research (1988), 16(11), 5137-51
CODEN: NARHAD; ISSN: 0305-1048
DT Journal
LA English
CC 6-2 (General Biochemistry)
AB The purine rich oligodeoxyribonucleotides 1C, [d(ATGACGGAATA)], and 2C, [d(ATGAGCGAATA)], alone exhibit highly cooperative melting transitions. Anal. of the concn. dependence of melting, and electrophoretic studies indicate that these oligomers can form an unusual purine rich offset double helix. The unusual duplex is predicted to contain 4 A.cntdot.T, 2 G.cntdot.C, and 4 G.cntdot.A mismatch base pairs as well as a single A base stacked on the 3' end of each chain of the helix. Other possible models for the duplex are unlikely because they are predicted to contain many base pairs of low stability. Changing the central sequence to CGG or GGG should destabilize the duplex and this is obsd. The unusual duplex of 2C is more stable than the duplex of 1C, indicating that the stability of G.cntdot.A base pairs is quite sensitive to the surrounding sequence. Addn. of 1C and 2C to their complementary pyrimidine strands results in normal duplexes of similar stability. Apparently, the unusual duplexes are significantly stabilized by the intrinsic stacking tendency of purine bases.
ST oligodeoxyribonucleotide duplex formation purine rich; DNA oligodeoxyribonucleotide duplex formation
IT Entropy
Free energy

Thermodynamics
(of duplex formation by oligodeoxyribonucleotides, unusual purine offset double helix formation in relation to)

IT Heat of formation
(of duplex formation in oligodeoxyribonucleotides, unusual purine offset double helix formation in relation to)

IT Quaternary structure
(of purine rich oligodeoxyribonucleotides)

IT Nucleotides, polymers
RL: BIOL (Biological study)
(oligo-, deoxyribo-, offset double helix formation by purine rich)

IT 73-40-5, Guanine
RL: BIOL (Biological study)
(adenine base pair with, in unusual duplex in purine rich oligodeoxynucleotide)

IT 73-24-5, Adenine, biological studies
RL: BIOL (Biological study)
(guanine base pair with, in unusual duplex of purine rich oligodeoxynucleotides)

IT 116338-84-2 **116364-61-5** 116364-62-6 116364-63-7
116364-64-8 116374-13-1
RL: BIOL (Biological study)
(melting curve of, unusual purine rich offset double helix formation in relation to)

IT 116338-85-3 116338-86-4
RL: BIOL (Biological study)
(self-complementary duplex formation by, unusual purine rich offset double helix formation in)

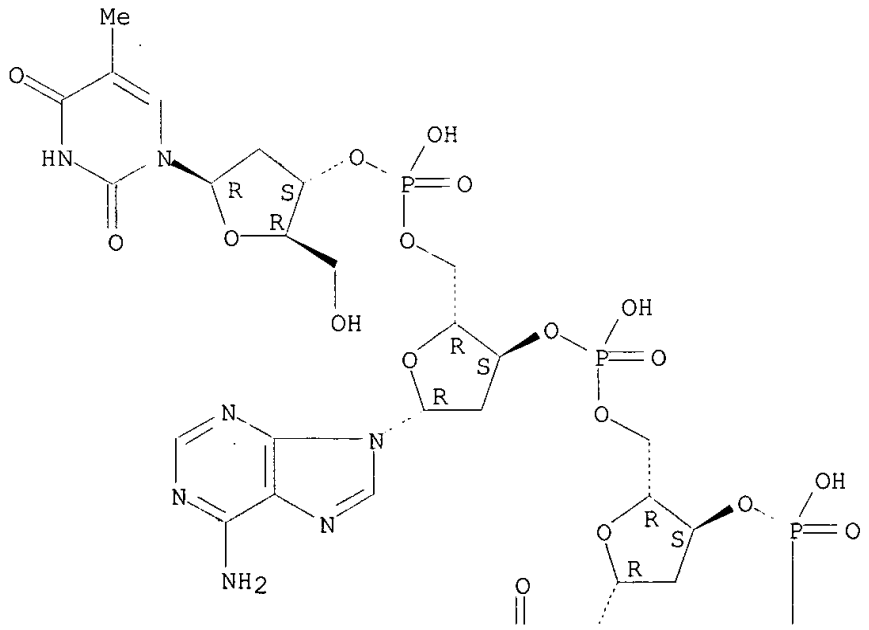
IT **116364-61-5**
RL: BIOL (Biological study)
(melting curve of, unusual purine rich offset double helix formation in relation to)

RN 116364-61-5 HCAPLUS

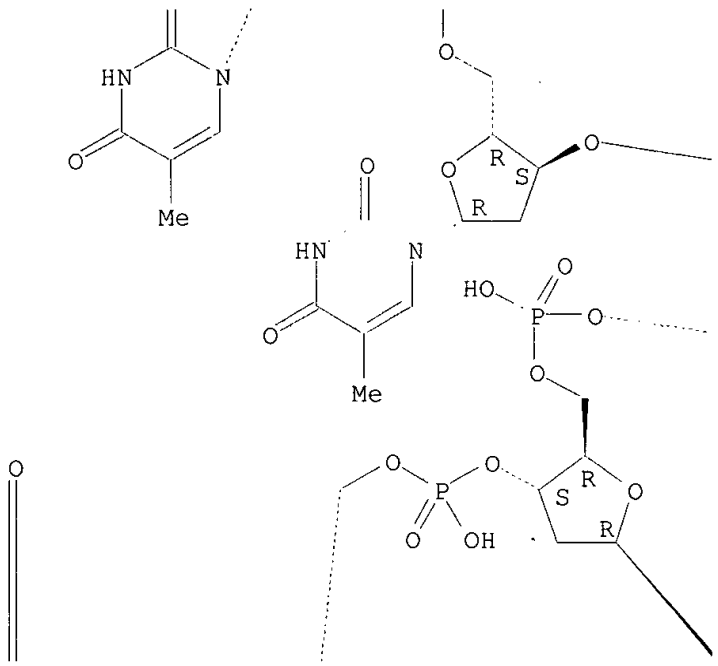
CN DNA, d(T-A-T-T-C-C-G-T-C-A-T) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

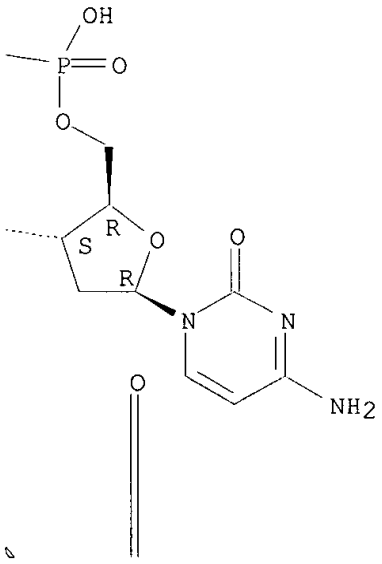
PAGE 1-A



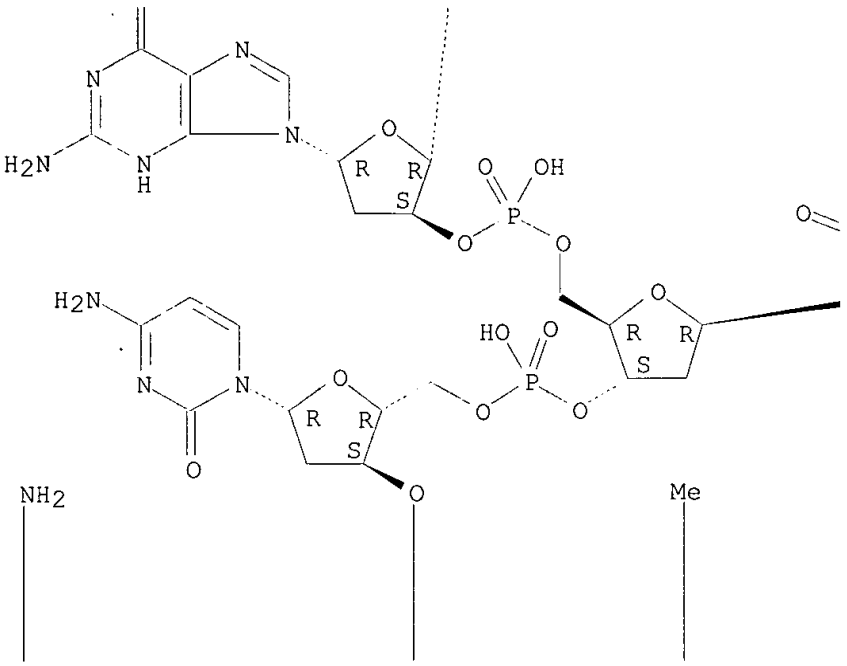
PAGE 2-A



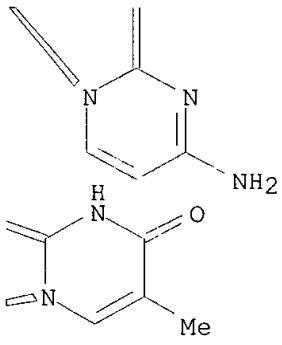
PAGE 2-B



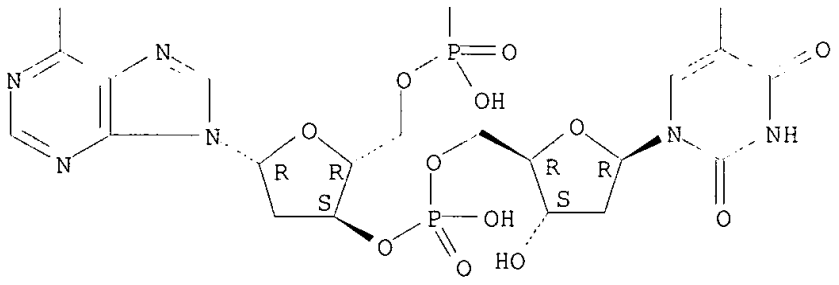
PAGE 3-A



PAGE 3-B



PAGE 4-A



=> s l72 not l69,l84

L85 26 L72 NOT (L69 OR L84)

=> d all tot l85

L85 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 2002:805617 HCAPLUS
TI (2'-O-methyl-RNA)-3'-**PNA** chimeras: A new class of mixed backbone oligonucleotide analogues with high binding affinity to RNA
AU Greiner, Beate; **Breipohl, Gerhard**; **Uhlmann, Eugen**
CS **Aventis Pharma** Deutschland GmbH, Frankfurt a.M., D-65926, Germany
SO Helvetica Chimica Acta (2002), 85(9), 2619-2626
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
CC 63 (Pharmaceuticals)
AB The automated online synthesis of DNA-3'-**PNA** chimeras 1-4 and (2'-O-methyl-RNA)-3'-**PNA** chimeras 5-8 is described, in which the 3'-terminal part of the oligonucleotide is linked to the N-terminal part of the **PNA** via N-(.omega.-hydroxyalkyl)-N-[(thymin-1-yl)acetyl]glycine units (alkyl=Et, Ph, Bu, and pentyl). By means of UV thermal denaturation, the binding affinities of all chimeras were directly compared by detg. their Tm values in the duplex with complementary DNA and RNA. All investigated DNA-3'-**PNA** chimeras and (2'-O-methyl-RNA)-3'-**PNA** chimeras form more-stable duplexes with complementary DNA and RNA than the corresponding unmodified DNA. Interestingly, a N-(3-hydroxypropyl)glycine linker resulted in the highest binding affinity for DNA-3'-**PNA** chimeras, whereas the (2'-O-methyl-RNA)-3'-**PNA** chimeras showed optimal binding with the homologous N-(4-hydroxybutyl)glycine linker. The duplexes of (2'-O-methyl-RNA)-3'-**PNA** chimeras and RNA were significantly more stable than those contg. the corresponding DNA-3'-**PNA** chimeras. Surprisingly, we found that the charged (2'-O-methyl-RNA)-3'-**PNA** chimera with a N-(4-hydroxybutyl)glycine-based unit at the junction to the **PNA** part shows the same binding affinity to RNA as uncharged **PNA**. Potential applications of (2'-O-methyl-RNA)-3'-**PNA** chimeras include their use as antisense agents acting by a RNase-independent mechanism of action, a prerequisite for antisense-oligonucleotide-mediated correction of aberrant splicing of pre-mRNA.
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Breipohl, G; Tetrahedron 1997, V53, P14671
(2) Breipohl, G; ` Innovation and Perspectives in Solid Phase Synthesis' 1996, P61 HCAPLUS
(3) Freier, S; Nucleic Acids Res 1997, V25, P4429 HCAPLUS
(4) Greiner, B; Helv Chim Acta 1999, V82, P2151 HCAPLUS
(5) Matteucci, M; J Am Chem Soc 1981, V103, P3185 HCAPLUS
(6) Nielsen, P; Chem Soc Rev 1997, V26, P73 HCAPLUS
(7) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(8) Uhlmann, E; Angew Chem, Int Ed 1996, V35, P2632
(9) Uhlmann, E; Angew Chem, Int Ed 1998, V37, P2796 HCAPLUS
(10) Uhlmann, E; Biol Chem 1998, V379, P1045 HCAPLUS
(11) Uhlmann, E; Curr Opin Drug Discov Dev 2000, V3, P203 HCAPLUS
(12) Uhlmann, E; ` Peptide Nucleic Acids:Protocols and Applications' 1999, P51 HCAPLUS
(13) van der Laan, A; Bioorg Med Chem Lett 1998, V8, P663 HCAPLUS
(14) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

L85 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:748116 HCAPLUS
DN 135:269645

TI Method and device for detecting molecules by means of impedance spectroscopy
IN Escher, Claus; Windhab, Norbert; Muth, Jochen
PA **Aventis** Research & Technologies Gmbh & Co. K.-G., Germany
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM G01N033-543
ICS C12Q001-00; C12Q001-68; G01N027-327
CC 9-7 (Biochemical Methods)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001075445	A1	20011011	WO 2001-EP1899	20010220
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	DE 10015547	A1	20011031	DE 2000-10015547	20000330
	DE 10015547	C2	20020214		
	EP 1272851	A1	20030108	EP 2001-929349	20010220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRAI	DE 2000-10015547	A	20000330		
	WO 2001-EP1899	W	20010220		

AB A method for detecting target structures is characterized in that a three-dimensional porous support consisting of a non-conducting material is provided with a soln. contg. mols. to be detected and the extent to which the support is charged with these mols. is then detd. by measuring elec. impedance. The invention also relates to a device for detecting target structures by means of impedance measurement. This device consists of a chip with a layered structure contg. at least one layer that contains electrodes which can be switched in relation to each other, and the porous support consists of the non-conducting material, which is placed on and(or) under this layer. Thus, oligonucleotides may be used with a nylon membrane support.
ST impedance spectroscopy biochip electrode
IT Proteins, specific or class
RL: ARG (Analytical reagent use); DEV (Device component use); ANST (Analytical study); USES (Uses)
(DNA-binding; method and device for detecting mols. by means of impedance spectroscopy)
IT Biotechnology
(biochips; method and device for detecting mols. by means of impedance spectroscopy)
IT Nucleic acids
RL: ARG (Analytical reagent use); DEV (Device component use); ANST (Analytical study); USES (Uses)
(fragments; method and device for detecting mols. by means of impedance spectroscopy)
IT Enzymes, uses
RL: ARG (Analytical reagent use); DEV (Device component use); ANST (Analytical study); USES (Uses)
(inhibitors and activators; method and device for detecting mols. by means of impedance spectroscopy)
IT Fluoropolymers, uses
Polyamides, uses
RL: DEV (Device component use); USES (Uses)
(membrane; method and device for detecting mols. by means of impedance spectroscopy)
IT Biosensors
Electric impedance
Electrodes

(method and device for detecting mols. by means of impedance spectroscopy)
IT Coenzymes
Enzymes, uses
Oligonucleotides
Peptide nucleic acids
Peptides, uses
Proteins, general, uses
Receptors
Transcription factors
cDNA
RL: ARG (Analytical reagent use); DEV (Device component use); ANST (Analytical study); USES (Uses)
(method and device for detecting mols. by means of impedance spectroscopy)
IT Membranes, nonbiological
(supports; method and device for detecting mols. by means of impedance spectroscopy)
IT 9003-07-0, Polypropylene 9004-35-7, Cellulose acetate 9004-70-0, Nitrocellulose 24937-79-9, PVDF
RL: DEV (Device component use); USES (Uses)
(membrane; method and device for detecting mols. by means of impedance spectroscopy)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Australian Membrane And Biotechnology Research Institute; WO 9744651 A 1997 HCAPLUS
(2) Cambridge Life Sciences Plc; WO 9428414 A 1994 HCAPLUS
(3) Commissariat A L'Energie Atomique Etabliss de Caract Scient Tech; FR 2757949 A 1998 HCAPLUS
(4) Innogenetics N V; WO 9721094 A 1997 HCAPLUS
(5) Stetter, J; US 5567301 A 1996 HCAPLUS
(6) The John Hopkins University; WO 8809499 A 1988 HCAPLUS
(7) The Victoria University Of Manchester; WO 9819153 A 1998 HCAPLUS

L85 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:747816 HCAPLUS
DN 135:302893
TI Immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses thereof
IN Pedyczak, Arthur; Chong, Pele; Sia, Charles Dwo Yuan
PA **Aventis** Pasteur Limited, Can.
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K007-00
CC 15-2 (Immunochemistry)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001074845	A2	20011011	WO 2001-CA411	20010330
	WO 2001074845	A3	20020510		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2003027246	A1	20030206	US 2001-821734	20010330

PRAI US 2000-193386P P 20000331

AB The identification of immunogenic peptides of PSMA, nucleic acids coding therefor, and recombinant nucleic acids into which are inserted said nucleic acids coding for PSMA peptides are disclosed. These **peptides, nucleic acids** and recombinant nucleic acids may be used in isolation, or as compns. thereof to modulate immune responses in animals. The invention further encompasses methods per se of modulating immune responses in animals.

ST vaccine prostate cancer PMSA peptide cytotoxic T lymphocyte

IT Histocompatibility antigens
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(HLA, class I; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Histocompatibility antigens
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(HLA-A; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Fusion proteins (chimeric proteins)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PMSA peptide-contg.; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Immunostimulants
(adjuvants; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT T cell (lymphocyte)
(cytotoxic; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Oligodeoxyribonucleotides
Oligonucleotides
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(encoding PMSA peptide; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Antitumor agents
Genetic vectors
Immunity
Transformation, genetic
(immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Peptides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Prostate-specific antigen
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Prostate gland
(neoplasm, inhibitors; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Antitumor agents
(prostate gland; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Vaccines

(tumor; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT Antitumor agents
(vaccines; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT 365470-51-5 365470-52-6 365470-53-7 365470-54-8 365470-55-9 365470-56-0
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(encoding PMSA peptide; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT 187968-03-2 187968-05-4 187968-07-6 187968-08-7 187968-14-5 187968-15-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses in treatment of prostate cancer)

IT 365490-33-1 365490-34-2 365490-35-3 365490-36-4 365490-37-5 365490-38-6
RL: PRP (Properties)
(unclaimed nucleotide sequence; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses thereof)

IT 187968-06-5 187968-09-8 187968-11-2 187968-12-3 187968-13-4 365424-41-5
RL: PRP (Properties)
(unclaimed sequence; immunogenic peptides derived from prostate-specific membrane antigen (PSMA) and uses thereof)

L85 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:598169 HCAPLUS
DN 135:175408
TI Substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases
IN Maury, Isabelle; Mercken, Luc; Fournier, Alain
PA **Aventis Pharma** S.A., Fr.
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA French
IC ICM C12N015-12
ICS C07K014-47; C12Q001-68; C12N015-11; A61K038-00
CC 1-11 (Pharmacology)
Section cross-reference(s): 3, 6
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001059104	A1	20010816	WO 2001-FR361	20010207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2804962	A1	20010817	FR 2000-1628	20000210
BR 2001008247	A	20021105	BR 2001-8247	20010207
EP 1257642	A1	20021120	EP 2001-907727	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

US 2002061553 A1 20020523 US 2001-780996 20010209
NO 2002003783 A 20020909 NO 2002-3783 20020809
PRAI FR 2000-1628 A 20000210
US 2000-198500P P 20000418
WO 2001-FR361 W 20010207

AB Substances (**peptides**, **nucleic acids**, sugars, lipids, antibodies) which modulate the interaction of amyloid precursor protein-binding protein FE65 with proteins hnRNPL and FEBP1 and their use for treatment of neurodegenerative diseases are disclosed. Thus, using the yeast two hybrid system, fragments of hnRNPL and FEBP1 which bind to the PTB1 domain of FE65 were identified.

ST hnRNPL FEBP1 fragment FE65 binding neurodegenerative disease treatment

IT Proteins, specific or class
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(FE65, PTB1 domain of; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT Antibodies
Carbohydrates, biological studies
Lipids, biological studies
Nucleic acids
Peptides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(FE65-hnRNPL/FEBP1 interaction-modulating; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT Proteins, specific or class
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(FEBP1; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT Nervous system
(degeneration; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT cDNA sequences
(for FE65-binding fragments of human proteins hnRNPL and FEBP1)

IT Proteins, specific or class
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(heterogeneous nuclear RNA-contg. ribonucleoprotein-assocd., hnRNPL; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT Genetic vectors
Virus vectors
(hnRNPL/FEBP1 fragment-encoding; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT Protein sequences
(of FE65-binding fragments of human proteins hnRNPL and FEBP1)

IT 354643-19-9 354643-21-3
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(amino acid sequence; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT 354643-18-8 354643-20-2
RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(nucleotide sequence; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT 354645-01-5, 1: PN: WO0159104 SEQID: 1 unclaimed DNA 354645-03-7 354645-04-8 354645-05-9
RL: PRP (Properties)
(unclaimed nucleotide sequence; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)

IT 354645-02-6
RL: PRP (Properties)
(unclaimed protein sequence; substances modulating FE65 interaction with hnRNPL and FEBP1 for treatment of neurodegenerative diseases)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Borg, J; MEDECINE/SCIENCES 1997, V13/5, P647
(2) Borg, J; MOLECULAR AND CELLULAR BIOLOGY 1996, V16/11, P6229
(3) Fiore, F; JOURNAL OF BIOLOGICAL CHEMISTRY 1995, V270/52, P30853
(4) Genetics Inst; WO 9926961 A 1999 HCAPLUS
(5) McLoughlin, D; FEBS LETTERS 1996, V397/2-3, P197
(6) PiNol-Roma, S; THE JOURNAL OF CELL BIOLOGY 1989, V109, P2575 HCAPLUS
(7) Rasmussen, H; ELECTROPHORESIS 1992, V13, P960 HCAPLUS
(8) Zambrano, N; JOURNAL OF BIOLOGICAL CHEMISTRY 1997, V272/10, P6399
(9) Zambrano, N; JOURNAL OF BIOLOGICAL CHEMISTRY 1998, V273/32, P20128

L85 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:41751 HCAPLUS
DN 132:304723
TI Influence of the type of junction in DNA-3'-**peptide nucleic acid** (**PNA**) chimeras on their binding affinity to DNA and RNA
AU Greiner, Beate; **Breipohl, Gerhard; Uhlmann, Eugen**
CS Hoechst Marion Roussel Deutschland GmbH, Chemical Research G 838, Frankfurt, D-65926, Germany
SO Helvetica Chimica Acta (1999), 82(12), 2151-2159
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
CC 6-2 (General Biochemistry)
Section cross-reference(s): 33
AB The automated online synthesis of a series of three DNA-3'-**PNA** (**PNA** = Polyamide Nucleic Acids) chimeras is described, in which the 3'-terminus of the oligonucleotide is linked to the amino terminus of the **PNA** via an N-(2-mercaptoethyl)- (X=S), N-(2-hydroxyethyl)- (X=O), or N-(2-aminoethyl)- (X=NH) N-[(thymin-1-yl)acetyl]glycine unit. In addn., the DNA-3'-**PNA** chimera with no nucleobase at the linking unit was prepd. The binding affinities of all chimeras were directly compared by detg. their Tm values in duplexes with complementary DNA, RNA, or DNA contg. a mismatch or abasic site opposite to the linker unit. We found that all chimeras in this study which have a nucleobase at the junction were able to form more stable duplexes with complementary DNA and RNA than the corresponding unmodified DNA. The influence of X on duplex stabilization was detd. to be O > S .apprxeq. NH, thus demonstrating the phosphodiester bridge to be the most favored linkage at the DNA/**PNA** junction. The strong duplex-destabilizing effects obsd. when base mismatches or non-basic sites were introduced opposite the nucleobase at the DNA/**PNA** junction, suggest that the base situated at the linking unit contributes significantly to duplex stabilization.
ST **peptide nucleic acid PNA** binding DNA RNA
IT Molecular association
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**) chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT DNA
RNA
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**) chimeras is influenced by nature of oligonucleotide-**PNA**

junction)
IT **Peptide nucleic acids**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**)
chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT Glass, biological studies
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)
(controlled pore, CPG; **peptide nucleic acid** conjugates; binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**
) chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT 186050-42-0P 264893-89-2P 264893-91-6P 265075-78-3P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**)
chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT 172405-23-1P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**)
chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT 105-36-2, Ethyl bromoacetate 141-43-5, reactions 156-57-0, 2-Mercaptoethylamine hydrochloride 563-96-2, Glyoxylic acid monohydrate 14470-28-1 20924-05-4 40615-36-9 82911-69-1 259827-32-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**)
chimeras is influenced by nature of oligonucleotide-**PNA** junction)
IT 5835-28-9P, N-Hydroxyethyl glycine 141743-19-3P 172405-08-2P 172405-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(binding affinity to complementary DNA and RNA sequences by DNA-3'-**peptide nucleic acid** (**PNA**)
chimeras is influenced by nature of oligonucleotide-**PNA** junction)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Bergmann, F; Tetrahedron Lett 1995, V36, P6823 HCAPLUS
(2) Breipohl, G; Tetrahedron 1997, V53, P14671
(3) Egholm, M; Nature 1993, V365, P566 HCAPLUS
(4) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(5) Matteucci, M; J Am Chem Soc 1981, V103, P3185 HCAPLUS
(6) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(7) Petersen, K; Bioorg Med Chem Lett 1995, V5, P1119 HCAPLUS
(8) Uhlmann, E; Angew Chem, Int Ed 1996, V35, P2632
(9) Uhlmann, E; Angew Chem, Int Ed 1998, V37, P2796 HCAPLUS
(10) Uhlmann, E; Biol Chem 1998, V379, P1045 HCAPLUS
(11) Uhlmann, E; Peptide Nucleic Acids: Protocols and Applications 1999, P51 HCAPLUS
(12) van der Laan, A; Bioorg Med Chem Lett 1998, V8, P663 HCAPLUS
(13) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

L85 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2003 ACS

AN 1999:501533 HCAPLUS
DN 132:194633
TI **PNA**/DNA chimeras
AU **Uhlmann, Eugen**; Greiner, Beate; **Breipohl, Gerhard**
CS Hoechst Marion Roussel Deutschland GmbH Chemical Research G 838, Frankfurt am Main, D-65926, Germany
SO Peptide Nucleic Acids (1999), 51-70. Editor(s): Nielsen, Peter E.; Egholm, Michael. Publisher: Horizon Scientific Press, Norfolk, UK. CODEN: 67YLA6
DT Conference
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 33
AB A convenient method for the solid-support synthesis of **PNA**/DNA chimeras is described which makes use of monomethoxytrityl/acyl-protected monomeric building blocks. The acid-labile monomethoxytrityl (Mmt) group is employed for the temporary protection of the amino function of aminoethyl-glycine, while the exocyclic amino functions of the nucleobases are protected with ammonia-cleavable acyl protecting groups. This orthogonal protecting-group strategy is fully compatible with the std. phosphoramidite DNA synthesis method. The resulting **PNA**/DNA chimeras obey the Watson-Crick rules on binding to complementary DNA and RNA. Binding affinity of the **PNA**-DNA chimeras strongly depends on the **PNA**:DNA ratio. The **PNA**/DNA chimeras bind with higher affinity to RNA than to DNA, and the type of linking moiety between **PNA** and DNA could be adjusted to obtain optimal binding affinity. In addn. to their promising binding properties, **PNA**-DNA chimeras can also assume biol. functions, such as a primer function for DNA polymerases. Pure **PNAs** cannot induce RNase H cleavage of target RNA, which often supports the biol. efficacy of antisense agents. In contrast, the DNA-**PNA** chimeras are able to stimulate cleavage of the target RNA by RNase H on formation of a RNA chimera duplex.
ST **PNA** DNA chimera oligopeptide oligonucleotide prepn solid phase; DNA **PNA** chimera oligopeptide oligonucleotide prepn solid phase; chimera **PNA** DNA oligopeptide oligonucleotide prepn solid phase; oligopeptide oligonucleotide **PNA** DNA chimera prepn solid phase; oligonucleotide oligopeptide chimera **PNA** DNA prepn solid phase
IT Solid phase synthesis
(methods of prepn. of **PNA**/DNA chimeras using solid-phase synthesis)
IT DNA
Oligonucleotides
Peptide nucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods of prepn. of **PNA**/DNA chimeras using solid-phase synthesis)
IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(oligopeptides; methods of prepn. of **PNA**/DNA chimeras using solid-phase synthesis)
IT 259723-33-6P 259782-15-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as **PNA**/DNA chimeras using solid-phase synthesis)
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Bannwarth, W; Helv Chim Acta 1988, V71, P1517 HCAPLUS
(2) Bergmann, F; Tetrahedron Lett 1995, V36, P6823 HCAPLUS
(3) Betts, L; Science 1995, V270, P1838 HCAPLUS
(4) Bonham, M; Nucleic Acids Res 1995, V23, P1197 HCAPLUS
(5) Breipohl, G; In preparation 1999
(6) Breipohl, G; Innovation and Perspectives in Solid Phase Synthesis 1996, P61 HCAPLUS
(7) Breipohl, G; Tetrahedron 1997, V53, P14671

(8) Christensen, L; J Pept Sci 1995, V1, P175 MEDLINE
(9) Egholm, M; Nature 1993, V365, P566 HCAPLUS
(10) Egholm, M; Nucleic Acids Res 1995, V23, P217 HCAPLUS
(11) Finn, P; Nucleic Acids Res 1996, V24, P3357 HCAPLUS
(12) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(13) Koppelhus, U; Nucleic Acids Res 1997, V25, P2167 HCAPLUS
(14) Lutz, M; J Am Chem Soc 1997, V119, P3177 HCAPLUS
(15) Mag, M; Nucleic Acids Res 1989, V17, P5973 HCAPLUS
(16) Matteucci, M; J Am Chem Soc 1981, V103, P3185 HCAPLUS
(17) Nielsen, P; Anti-Cancer Drug Des 1993, V8, P53 HCAPLUS
(18) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(19) Petersen, K; Bioorg Med Chem Lett 1995, V5, P1119 HCAPLUS
(20) Peyman, A; Angew Chem Int Ed 1996, V35, P2636 HCAPLUS
(21) Peyman, A; Angew Chem Int Ed 1998, V36, P2809
(22) Peyman, A; Nucleosides Nucleotides V17, P1997 HCAPLUS
(23) Stetsenko, D; Tetrahedron Lett 1996, V37, P3571 HCAPLUS
(24) Thomson, S; Tetrahedron 1995, V51, P6179 HCAPLUS
(25) Torrence, P; Proc Natl Acad Sci 1993, V90, P1300 HCAPLUS
(26) Uhlmann, E; Angew Chem Int Ed 1996, V35, P2632
(27) Uhlmann, E; Angew Chem Int Ed 1998, V37, P2796 HCAPLUS
(28) Uhlmann, E; Biol Chem 1998, V379, P1045 HCAPLUS
(29) Uhlmann, E; Chem Rev 1990, V90, P543 HCAPLUS
(30) Uhlmann, E; Encyclopedia of Cancer 1997, P64
(31) Uhlmann, E; Nucleosides Nucleotides 1997, V16, P603 HCAPLUS
(32) van der Laan, A; Bioorg Med Chem Lett 1998, V8, P663 HCAPLUS
(33) van der Laan, A; Recl Trav Chim Pays-Bas 1995, V114, P295 HCAPLUS
(34) van der Laan, A; Tetrahedron Lett 1997, V38, P2249 HCAPLUS
(35) Will, D; Innovation and Perspectives in Solid Phase Synthesis 1996, P65 HCAPLUS
(36) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS
(37) Woolf, T; Proc Natl Acad Sci 1992, V89, P7305 HCAPLUS

L85 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1999:310246 HCAPLUS
DN 131:88176
TI Synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog and its recognition as substrate by DNA polymerases
AU Lutz, M. J.; **Will, D. W.**; **Breipohl, G.**; Benner, S. A.; **Uhlmann, E.**
CS Department of Chemistry, Swiss Federal Institute of Technology, Zurich, CH-8092, Switz.
SO Nucleosides & Nucleotides (1999), 18(3), 393-401
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
AB The prepn. of a novel phosphoramidite monomer based on thyminyl acetic acid coupled to the secondary nitrogen of 2-(2-amino-ethyl-amino)ethanol is described. This monomer can be used to attach a deoxy-nucleotide to the carboxy terminus of a **PNA** oligomer by solid-phase synthesis. The resulting **PNA** primer is recognized as a substrate by various DNA polymerases.
ST DNA transcription monocharged **PNA** primer; thyminyl acetic acid phosphoramidite prepn **PNA** DNA oligomer solidphase
IT Avian myeloblastosis virus
Coliphage T7
Murine leukemia virus
Pyrococcus furiosus
Pyrococcus woesei
Thermus aquaticus

Thermus flavus
Thermus thermophilus
(recognition of monocharged **peptide nucleic acid** (**PNA**) analog substrate by DNA polymerase from)

IT Escherichia coli
(recognition of monocharged **peptide nucleic acid** (**PNA**) analog substrate by DNA polymerase from Klenow fragment of)

IT DNA formation
(replication; synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog and its recognition as substrate by DNA polymerases)

IT Reverse transcription
Solid phase synthesis
(synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog and its recognition as substrate by DNA polymerases)

IT Nucleic acids
RL: MSC (Miscellaneous)
(synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog and its recognition as substrate by DNA polymerases)

IT **Peptide nucleic acids**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog and its recognition as substrate by DNA polymerases)

IT 204692-16-0P 204692-17-1P 206435-20-3P 229323-75-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of in the synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog for use as substrate by DNA polymerases)

IT 229323-76-6P 229323-77-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of for use as substrate of DNA polymerases for DNA transcription)

IT 111-41-1 20924-05-4 74405-42-8D, solid-supported 89992-70-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of in the synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog for use as substrate by DNA polymerases)

IT 9012-90-2 9068-38-6
RL: CAT (Catalyst use); USES (Uses)
(synthesis of a monocharged **peptide nucleic acid** (**PNA**) analog for use as substrate by)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Breipohl, G; EP 0460446 HCAPLUS
(2) Breipohl, G; Tetrahedron 1997, V53, P14671
(3) Egholm, M; J Am Chem Soc 1992, V114, P1895 HCAPLUS
(4) Engels, J; DNA Sythesis in Biotechnology 1993, V2, P317
(5) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(6) Lutz, M; J Am Chem Soc 1997, V119, P3177 HCAPLUS
(7) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(8) Uhlmann, E; Angew Chem Int Ed Engl 1996, V108, P2793
(9) Uhlmann, E; Angew Chem Int Ed Engl 1998, V37, P2796 HCAPLUS
(10) Uhlmann, E; Chem Rev 1990, V90, P543 HCAPLUS
(11) Uhlmann, E; Nucleosides & Nucleotides 1997, V16, P603 HCAPLUS
(12) Van der Laan, A; Bioorg Med Chem Lett 1998, V8, P663 HCAPLUS
(13) Van der Laan, A; Tetrahedron Lett 1997, V38, P2249 HCAPLUS
(14) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

L85 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1999:91165 HCAPLUS
TI Minimal modification of antisense oligonucleotides
AU **Uhlmann, E.**
CS Chemical Research, Hoechst Marion Roussel, Frankfurt, 65926, Germany
SO Book of Abstracts, 217th ACS National Meeting, Anaheim, Calif., March 21-25 (1999), CARB-005 Publisher: American Chemical Society, Washington, D. C.
CODEN: 67GHA6
DT Conference; Meeting Abstract
LA English
AB Uniformly phosphorothioate (PS) modified oligodeoxynucleotides (ODN) are antisense agents of the first generation. Although a no. of PS-ODN are in advanced stages of clin. development and the first antisense drug (Vitravene; Isis Pharmaceuticals) has been approved by the FDA, certain limitations of PS-ODN have emerged. Our approach to overcome these limitations is to reduce the no. of PS linkages within the ODN to a min. which is necessary to stabilize against nucleotlytic degrdn. We have developed a novel protection strategy which is a combination of the end-capping technique and the PS protection of internal pyrimidine positions which are the major sites of endonuclease degrdn. This protection scheme has successfully been used for specific inhibition of expression of various genes. Advantageously, it can also be combined with secondary modifications at the carbohydrate moieties, such as 2'-O-alkyl-modifications, or with partial replacement of the sugar phosphate backbone by 2-aminoethylglycine-based **PNA** units (**peptide nucleic acid**) leading to DNA-**PNA** chimeras.

L85 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:745539 HCAPLUS
DN 130:66670
TI **PNA**: synthetic polyamide nucleic acids with unusual binding properties
AU **Uhlmann, Eugen**; Peyman, Anusch; **Breipohl, Gerhard**; **Will, David W.**
CS Hoechst Marion Rouseel Deutschland GmbH, Frankfurt am Main, D-65926, Germany
SO Angewandte Chemie, International Edition (1998), 37(20), 2796-2823
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal; General Review
LA English
CC 33-0 (Carbohydrates)
AB A review with 160 refs. : since the investigation of oligonucleotides as potential therapeutics that target nucleic acids was initiated, the search for nucleic acid mimetics with improved properties, such as strengthened binding-affinity to complementary nucleic acids, increased biol. stability, and improved cellular uptake, has accelerated rapidly. In 1991 Nielsen et al. first described what is undoubtedly one of the most interesting of the new derivs., the polyamide or **peptide nucleic acids** (**PNAs**), in which the entire sugar-phosphate backbone is replaced by an N-(2-aminoethyl)glycine polyamide structure. Since even minor structural changes in oligonucleotides, such as the replacement of an oxygen atom by sulfur (phosphorothioates), or by a neutral Me group (Me phosphonates), result in a decrease in binding affinity, it was even more astonishing to find that the drastic structural changes in **PNAs** result in nucleic acid mimetics with higher binding-affinity to complementary DNA and RNA than unmodified oligonucleotides. The remarkable binding properties of **PNAs** have spawned a rapidly expanding new field of research, where the targets are the synthesis of **PNAs** and **PNA** analogs, and their application as therapeutics, DNA diagnostics, and tools in

biotechnol. In add., investigation of **PNAs** and **PNA** /DNA chimeras can be used to generate information on the structural and biol. properties of DNA and RNA themselves. Furthermore, they may trigger the generation of new ideas on models for alternative living systems and potential transitions between different genetic systems.

ST review synthetic polyamide nucleic acid; polyamide nucleic acid review

IT DNA
RL: MSC (Miscellaneous); PNU (Preparation, unclassified); PREP (Preparation)
(**PNA**/DNA-chimeras; review of synthetic polyamide nucleic acids with unusual binding properties)

IT Nucleic acids
RL: MSC (Miscellaneous)
(review of synthetic polyamide nucleic acids with unusual binding properties)

IT **Peptide nucleic acids**
RL: MSC (Miscellaneous); PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation)
(review of synthetic polyamide nucleic acids with unusual binding properties)

RE.CNT 171 THERE ARE 171 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Akhtar, S; Trends Pharm Sci 1997, V18, P12 HCAPLUS
(2) Albericio, F; Pept Proc Eur Pept Symp 1994, V23, P271
(3) Almarsson, O; Proc Natl Acad Sci USA 1993, V90, P7518 HCAPLUS
(4) Almarsson, O; Proc Natl Acad Sci USA 1993, V90, P9542 HCAPLUS
(5) Arlinghaus, H; Anal Chem 1997, V69, P3747 HCAPLUS
(6) Bannwarth, W; Helv Chim Acta 1988, V71, P1517 HCAPLUS
(7) Basu, S; Bioconjugate Chem 1997, V8, P481 HCAPLUS
(8) Bergmann, F; Tetrahedron Lett 1995, V36, P6823 HCAPLUS
(9) Betts, L; Science 1995, V270, P1838 HCAPLUS
(10) Boffa, L; J Biol Chem 1996, V271, P13228 HCAPLUS
(11) Boffa, L; Proc Natl Acad Sci USA 1995, V92, P1901 HCAPLUS
(12) Bohler, C; Nature 1995, V376, P578 HCAPLUS
(13) Bonham, M; Nucleic Acids Res 1995, V23, P1197 HCAPLUS
(14) Breipohl, G; Bioorg Med Chem Lett 1996, V6, P665 HCAPLUS
(15) Breipohl, G; Innovation and Perspectives in Solid Phase Synthesis 1996, PS 61
(16) Breipohl, G; Tetrahedron 1997, V53, P14671
(17) Brown, S; Science 1994, V265, P777 HCAPLUS
(18) Buchardt, O; Trends Biotechnol 1993, V11, P384 HCAPLUS
(19) Cantin, M; Tetrahedron Lett 1997, V38, P4211 HCAPLUS
(20) Carlsson, C; Nature 1996, V380, P207 HCAPLUS
(21) Castro, B; Pept Chem Struct Biol Proc Am Pept Symp 1990, V11, P900
(22) Chen, S; Tetrahedron Lett 1994, V35, P5105 HCAPLUS
(23) Cherny, D; Proc Natl Acad Sci USA 1993, V90, P1667 HCAPLUS
(24) Christensen, L; J Pept Sci 1995, V1, P175 MEDLINE
(25) Christensen, L; Pept Proc Eur Pept Symp 1994, V23, P283
(26) Clivio, P; J Am Chem Soc 1997, V119, P5255 HCAPLUS
(27) Cook, R; Tetrahedron Lett 1994, V35, P6777 HCAPLUS
(28) Coste, J; Tetrahedron Lett 1990, V31, P205 HCAPLUS
(29) Crooke, S; Annu Rev Pharmacol Toxicol 1996, V36, P107 HCAPLUS
(30) de Mesmaeker, A; Curr Opin Struct Biol 1995, V5, P343 HCAPLUS
(31) Demers, D; Nucleic Acids Res 1995, V23, P3050 HCAPLUS
(32) Demidov, V; Biochem Pharmacol 1994, V48, P1310 HCAPLUS
(33) Demidov, V; Nucleic Acids Res 1993, V21, P2103 HCAPLUS
(34) Demidov, V; Nucleic Acids Res 1994, V22, P5218 HCAPLUS
(35) Demidov, V; Proc Natl Acad Sci USA 1995, V92, P2637 HCAPLUS
(36) Diederichsen, U; Angew Chem 1996, V108, P458
(37) Diederichsen, U; Angew Chem Int Ed Engl 1996, V35, P445 HCAPLUS
(38) Diederichsen, U; Tetrahedron Lett 1996, V37, P475 HCAPLUS
(39) Dueholm, K; Bioorg Med Chem Lett 1994, V4, P1077 HCAPLUS
(40) Dueholm, K; J Org Chem 1994, V59, P5767 HCAPLUS

(41) Dueholm, K; Org Prep Proced Int 1993, V25, P457 HCAPLUS
(42) Efimov, V; Collect Czech Chem Commun 1996, V61, PS262 HCAPLUS
(43) Egholm, M; J Am Chem Soc 1992, V114, P1895 HCAPLUS
(44) Egholm, M; J Am Chem Soc 1992, V114, P9677 HCAPLUS
(45) Egholm, M; J Chem Soc Chem Commun 1993, P800 HCAPLUS
(46) Egholm, M; Nature 1993, V365, P566 HCAPLUS
(47) Egholm, M; Nucleic Acids Res 1995, V23, P217 HCAPLUS
(48) Englisch, U; Angew Chem 1991, V103, P629 HCAPLUS
(49) Englisch, U; Angew Chem Int Ed Engl 1991, V30, P613
(50) Eriksson, M; Nature Struct Biol 1996, V3, P410 HCAPLUS
(51) Famulok, M; Angew Chem 1992, V104, P1001 HCAPLUS
(52) Famulok, M; Angew Chem Int Ed Engl 1992, V31, P979
(53) Finn, P; Nucleic Acids Res 1996, V24, P3357 HCAPLUS
(54) Footer, M; Biochemistry 1996, V35, P10673 HCAPLUS
(55) Gambacorti-Passerini, C; Blood 1996, V88, P1411 HCAPLUS
(56) Gangamani, B; Tetrahedron 1996, V52, P15017
(57) Griffith, M; J Am Chem Soc 1995, V117, P831 HCAPLUS
(58) Haaima, G; Angew Chem 1996, V108, P2068
(59) Haaima, G; Angew Chem Int Ed Engl 1996, V35, P1939 HCAPLUS
(60) Hamilton, S; Biochemistry 1997, V36, P11873 HCAPLUS
(61) Hansen, M; J Immunol Methods 1997, V203, P199 HCAPLUS
(62) Hanvey, J; Science 1992, V258, P1481 HCAPLUS
(63) Heimer, E; Int J Pept Protein Res 1984, V23, P203 HCAPLUS
(64) Helene, C; Curr Opin Biotechnol 1993, V4, P29 HCAPLUS
(65) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(66) Hyrup, B; Bioorg Med Chem Lett 1996, V6, P1083 HCAPLUS
(67) Hyrup, B; J Am Chem Soc 1994, V116, P7964 HCAPLUS
(68) Hyrup, B; J Chem Soc Chem Commun 1993, P518 HCAPLUS
(69) Iyer, M; J Biol Chem 1995, V270, P14712 HCAPLUS
(70) Jankowsky, E; Nucleic Acids Res 1997, V25, P2690 HCAPLUS
(71) Jensen, K; Biochemistry 1997, V36, P5072 HCAPLUS
(72) Jensen, K; Pept Proc Eur Pept Symp 1994, V23, P757
(73) Jordan, S; Bioorg Med Chem Lett 1997, V7, P681 HCAPLUS
(74) Jordan, S; Bioorg Med Chem Lett 1997, V7, P687 HCAPLUS
(75) Kastrup, J; FEBS Lett 1995, V363, P115 HCAPLUS
(76) Kim, S; J Am Chem Soc 1993, V115, P6477 HCAPLUS
(77) Knudsen, H; Nucleic Acids Res 1996, V24, P494 HCAPLUS
(78) Koch, T; J Pept Res 1997, V49, P80 HCAPLUS
(79) Koch, T; Tetrahedron Lett 1995, V36, P6933 HCAPLUS
(80) Konig, W; Chem Ber 1970, V103, P2034 MEDLINE
(81) Konig, W; Chem Ber 1970, V103, P788 MEDLINE
(82) Konig, W; Pept Proc Eur Pept Symp 1991, V21, P143
(83) Koppelhus, U; Nucleic Acids Res 1997, V25, P2167 HCAPLUS
(84) Kosynkina, L; Tetrahedron Lett 1994, V35, P5173 HCAPLUS
(85) Krotz, A; Tetrahedron Lett 1995, V36, P6937 HCAPLUS
(86) Lagriffoul, P; Bioorg Med Chem Lett 1994, V4, P1081 HCAPLUS
(87) Lagriffoule, P; Chem Eur J 1997, V3, P912 HCAPLUS
(88) Lansdorp, P; Hum Mol Genet 1996, V5, P685 HCAPLUS
(89) Larsen, H; Nucleic Acids Res 1996, V24, P458 HCAPLUS
(90) Le-Nguyen, D; Pept Chem 1988, P231
(91) Leijon, M; Biochemistry 1994, V33, P9820 HCAPLUS
(92) Lesnik, E; Nucleic Acids Res 1997, V25, P568 HCAPLUS
(93) Lioy, E; Liebigs Ann 1996, P201 HCAPLUS
(94) Lowe, G; J Chem Soc Perkin Trans 1 1997, P539 HCAPLUS
(95) Lowe, G; J Chem Soc Perkin Trans 1 1997, P547 HCAPLUS
(96) Lutz, M; J Am Chem Soc 1997, V119, P3177 HCAPLUS
(97) Mag, M; Nucleic Acids Res 1989, V17, P5973 HCAPLUS
(98) Martinez, C; Abstr Pap 213rd ACS National Meeting 1997, CARB-095
(99) Matteucci, M; J Am Chem Soc 1981, V103, P3185 HCAPLUS
(100) Meier, C; Angew Chem 1992, V104, P1039 HCAPLUS
(101) Meier, C; Angew Chem Int Ed Engl 1992, V31, P1008
(102) Mollegaard, N; Proc Natl Acad Sci USA 1994, V91, P3892 HCAPLUS
(103) Nielsen, P; Anti-Cancer Drug Des 1993, V8, P53 HCAPLUS

(104) Nielsen, P; Gene 1994, V149, P139 HCAPLUS
(105) Nielsen, P; J Am Chem Soc 1996, V118, P2287 HCAPLUS
(106) Nielsen, P; J Mol Recognit 1994, V7, P165 HCAPLUS
(107) Nielsen, P; Methods Enzymol 1996, V267, P426 HCAPLUS
(108) Nielsen, P; Nucleic Acids Res 1993, V21, P197 HCAPLUS
(109) Nielsen, P; Origins Life Evol Biosphere 1993, V23, P323 HCAPLUS
(110) Nielsen, P; Science 1991, V254, P1497 HCAPLUS
(111) Noble, S; Drug Dev Res 1995, V34, P184 HCAPLUS
(112) Norton, J; Nature Biotechnol 1996, V14, P615 HCAPLUS
(113) Oerum, H; BioTechniques 1995, V19, P472 HCAPLUS
(114) Oerum, H; Nucleic Acids Res 1993, V21, P5332 HCAPLUS
(115) Ono, A; J Am Chem Soc 1991, V113, P4032 HCAPLUS
(116) Ono, A; J Org Chem 1991, V57, P3225
(117) Peffer, N; Proc Natl Acad Sci USA 1993, V90, P10648 HCAPLUS
(118) Perry-O'Keefe, H; Proc Natl Acad Sci USA 1996, V93, P14670 HCAPLUS
(119) Petersen, K; Bioorg Med Chem Lett 1995, V5, P1119 HCAPLUS
(120) Petersen, K; Bioorg Med Chem Lett 1996, V6, P793 HCAPLUS
(121) Peyman, A; Angew Chem 1996, V108, P2797
(122) Peyman, A; Angew Chem 1997, V109, P2919
(123) Peyman, A; Angew Chem Int Ed Engl 1996, V35, P2636 HCAPLUS
(124) Peyman, A; Angew Chem Int Ed Engl 1997, V36, P2809 HCAPLUS
(125) Peyman, A; Antiviral Res 1997, V33, P135 HCAPLUS
(126) Peyman, A; Biol Chem Hoppe-Seyler 1996, V377, P67 HCAPLUS
(127) Praseuth, D; Biochim Biophys Acta 1996, V1309, P226 HCAPLUS
(128) Ramasamy, K; Bioorg Med Chem Lett 1996, V6, P1799 HCAPLUS
(129) Rasmussen, H; Nature Struct Biol 1997, V4, P98 HCAPLUS
(130) Reiter, M; unpublished results
(131) Richter, L; Bioorg Med Chem Lett 1995, V5, P1159 HCAPLUS
(132) Rose, D; Anal Chem 1993, V65, P3545 HCAPLUS
(133) Roush, W; Science 1997, V276, P1192 HCAPLUS
(134) Schmidt, J; Anal Biochem 1996, V235, P239 HCAPLUS
(135) Stetsenko, D; Tetrahedron Lett 1996, V37, P3571 HCAPLUS
(136) Strobel, S; Nature 1991, V350, P172 HCAPLUS
(137) Taylor, R; Nature Genet 1997, V15, P212 HCAPLUS
(138) Thiede, C; Nucleic Acids Res 1996, V24, P983 HCAPLUS
(139) Thisted, M; Cell Vision 1996, V3, P358 HCAPLUS
(140) Thomson, S; Tetrahedron 1995, V51, P6179 HCAPLUS
(141) Thuong, N; Angew Chem 1993, V105, P697
(142) Thuong, N; Angew Chem Int Ed Engl 1993, V32, P666
(143) Tomac, S; J Am Chem Soc 1996, V118, P5544 HCAPLUS
(144) Uhlmann, E; Angew Chem 1996, V108, P2793
(145) Uhlmann, E; Angew Chem Int Ed Engl 1996, V35, P2632
(146) Uhlmann, E; Chem Rev 1990, V90, P543 HCAPLUS
(147) Uhlmann, E; Encyclopedia of Cancer 1997, V1, P64
(148) Uhlmann, E; Helv Chim Acta 1981, V64, P1688 HCAPLUS
(149) Uhlmann, E; Nucleosides Nucleotides 1997, V16, P603 HCAPLUS
(150) van der Laan, A; Recl Trav Chim Pays-Bas 1995, V114, P295 HCAPLUS
(151) van der Laan, A; Tetrahedron Lett 1996, V37, P7857 HCAPLUS
(152) van der Laan, A; Tetrahedron Lett 1997, V38, P2249 HCAPLUS
(153) Veselkov, A; Nature 1996, V379, P214 HCAPLUS
(154) Veselkov, A; Nucleic Acids Res 1996, V24, P2483 HCAPLUS
(155) Vickers, T; Nucleic Acids Res 1995, V23, P3003 HCAPLUS
(156) Wang, J; J Am Chem Soc 1996, V118, P7667 HCAPLUS
(157) Watkins, B; J Am Chem Soc 1982, V104, P5702 HCAPLUS
(158) Weiler, J; Nucleic Acids Res 1997, V25, P2792 HCAPLUS
(159) Wenninger, D; Nucleosides Nucleotides 1997, V16, P761 HCAPLUS
(160) Wenninger, D; Nucleosides Nucleotides 1997, V16, P977 HCAPLUS
(161) Will, D; Innovation and Perspectives in Solid Phase Synthesis 1996, P65 HCAPLUS
(162) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS
(163) Wittung, P; Biochemistry 1997, V36, P7973 HCAPLUS
(164) Wittung, P; FEBS Lett 1995, V365, P27 HCAPLUS
(165) Wittung, P; J Am Chem Soc 1996, V118, P7049 HCAPLUS

(166) Wittung, P; J Am Chem Soc 1997, V119, P3189 HCAPLUS
(167) Wittung, P; Nature 1994, V368, P561 HCAPLUS
(168) Wittung, P; Nucleic Acids Res 1994, V22, P5371 HCAPLUS
(169) Xu, Y; J Org Chem 1992, V57, P3839 HCAPLUS
(170) Zou, R; Can J Chem 1987, V65, P1436 HCAPLUS
(171) Zuckermann, R; J Am Chem Soc 1992, V114, P10646 HCAPLUS

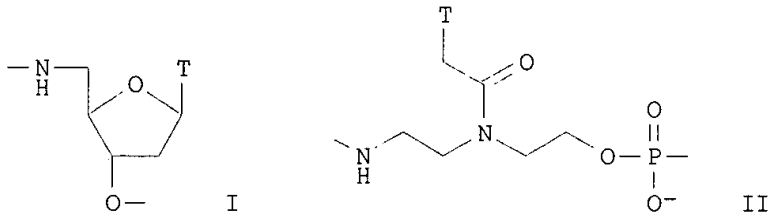
L85 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:667152 HCAPLUS
DN 130:66764
TI DNA-PHONA-**PNA** chimeric molecules: contributions to binding against complementary DNA
AU Peyman, A.; **Uhlmann, E.**; Wagner, K.; Augustin, S.; Weiser, C.; Hein, S.; Langner, D.; **Breipohl, G.**; **Will, D. W.**
CS Hoechst Marion Roussel Deutschland GmbH, Frankfurt, D-65926, Germany
SO Nucleosides & Nucleotides (1998), 17(9-11), 1997-2001
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
AB The synthesis of a DNA-phosphonate **peptide nucleic acid** analog (PHONA)-**peptide nucleic acid** (**PNA**) chimeric mol. using a monomethoxytrityl (Mmt) protection strategy is described. The chimeric oligomer shows duplex binding properties that are comparable to the corresponding **PNA**. Thus, PHONA building blocks can be incorporated into **PNAs** without distortion of the **PNA** structure.
ST **peptide nucleic acid** phosphonate analog prepn DNA binding
IT DNA
RL: PRP (Properties)
(complexes, with **peptide nucleic acid**-**peptide nucleic acid** phosphonate analogs; prepn. and DNA binding properties of DNA-**peptide nucleic acid** phosphonate analog-**peptide nucleic acid** chimeric mols.)
IT **Peptide nucleic acids**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(phosphonate backbone analogs; prepn. and DNA binding properties of DNA-**peptide nucleic acid** phosphonate analog-**peptide nucleic acid** chimeric mols.)
IT 217636-83-4P 217636-84-5P 217636-85-6P 217636-86-7P 217636-87-8P
217636-88-9P 217636-89-0P 217636-90-3P 217636-91-4P 217636-92-5P
217636-93-6P 217636-94-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and DNA binding properties of DNA-**peptide nucleic acid** phosphonate analog-**peptide nucleic acid** chimeric mols.)
IT 217636-80-1P 217636-81-2P 217636-82-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and DNA binding properties of DNA-**peptide nucleic acid** phosphonate analog-**peptide nucleic acid** chimeric mols.)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) de Mesmaker, A; Acc Chem Res 1995, V28, P366
(2) Englisch, U; Angew Chem Int Ed Engl 1991, V30, P613
(3) Hyrup, B; Bioorganic & Medicinal Chemistry 1996, V4, P5 HCAPLUS
(4) Peyman, A; Angew Chem Int Ed Engl 1996, V35, P2636 HCAPLUS

(5) Peyman, A; Angew Chem in the press
(6) Uhlmann, E; Angew Chem Int Ed Engl 1996, V35, P2632
(7) Uhlmann, E; Angew Chem submitted
(8) Uhlmann, E; Chem Rev 1990, V90, P543 HCAPLUS
(9) Uhlmann, E; Enzyclopedia of Cancer 1997, P64
(10) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

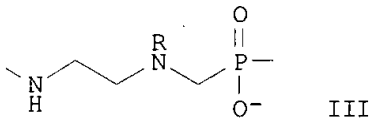
L85 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:618936 HCAPLUS
DN 129:227036
TI **Peptide nucleic acids** (**PNA**) and **PNA**-DNA chimeras. From high binding affinity towards biological function
AU **Uhlmann, Eugen**
CS Hoechst Marion Roussel Deutschland G.m.b.H., Frankfurt/Main, D-65926, Germany
SO Biological Chemistry (1998), 379(8/9), 1045-1052
CODEN: BICHF3; ISSN: 1431-6730
PB Walter de Gruyter & Co.
DT Journal; General Review
LA English
CC 6-0 (General Biochemistry)
AB A review is given with 45 refs. Oligonucleotide analogs are of major interest as tools in mol. biol., as diagnostics, and as potential pharmaceuticals which bind in a predictable way to certain nucleic acid target sequences, aiming at the inhibition of expression of disease-causing genes. One of the most promising nucleic acid mimetics are the peptide- or polyamide- nucleic acids (**PNA**) which bind with higher affinity to DNA and RNA than natural oligonucleotides. In these non-ionic **PNAs**, the entire sugar-phosphate backbone is replaced by an N-amino-ethylglycine-based polyamide structure. A unique property of **PNA** is its ability to displace one strand of a DNA double-helix. This strand displacement process, which is inefficient with DNA, is supported by the formation of an unusually stable internal (**PNA**), DNA triple helix. The combination of **PNA** and DNA in 1 mol. results in **PNA**/DNA chimeras with new properties. They show improved aq. soly. compared to pure **PNAs** due to their partially neg. charged structure. The cellular uptake of the chimeras is better than of pure **PNAs**. In contrast to **PNA**, the chimeras bind exclusively in the antiparallel orientation under physiol. conditions. The binding affinity is generally stronger when the **PNA**/DNA chimeras are hybridized to RNA than to DNA, whereby the strength of binding strongly depends on the **PNA**: DNA ratio. **PNA**/DNA chimeras are recognized as substrates by various nucleic acid processing enzymes, and consequently can also assume biol. functions, such as a primer function for DNA polymerases. Pure **PNA** cannot induce RNase H cleavage of target RNA, which is believed to support the biol. efficacy of antisense agents. DNA-**PNA** chimeras are able to stimulate cleavage of the target RNA by RNase H upon formation of an RNA chimera duplex.
ST review **peptide nucleic acid** DNA chimera
IT Antisense oligonucleotides
DNA
Peptide nucleic acids
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(**peptide nucleic acids** and **PNA**
-DNA chimeras)
IT 9012-90-2, DNA polymerase
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(**peptide nucleic acids** and **PNA**
-DNA chimeras)

L85 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:220217 HCAPLUS
DN 128:321903
TI Optimization of the binding properties of **PNA**-(5')-DNA chimerae
AU van der Laan, A. C.; Havenaar, P.; Oosting, R. S.; Kuyl-Yeheskiely, E.; **Uhlmann, E.**; van Boom, J. H.
CS Gorlaeus Lab., Leiden Inst. of Chemistry, Leiden, 2300 RA, Neth.
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(6), 663-668
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 3, 33
GI



I II



III

AB The synthesis and evaluation of **PNA**-(5')-DNA chimera contg. either a 5'-amide (i.e. I; T = thymin-1-yl), a 5'-phosphodiester (i.e. II) or 5'-phosphonate linkages (i.e. III; R = H, thymin-1-ylacetyl) at the junction site are described. The 5'-linkages were installed using protected phosphoramidite and phosphonate building blocks. It is shown that **PNA**-(5')-DNA of types I, II, and III (R = thymin-1-ylacetyl) have a higher binding affinity with complementary RNA than native DNA, and that the antisense activity is mainly due to RNase H.

ST **peptide nucleic acid** DNA chimera prepn; binding property optimization **PNA** DNA; structure activity **PNA** DNA binding

IT Structure-activity relationship
(DNA-binding; prepn. and optimization of **PNA**-DNA chimera binding properties)

IT DNA
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(complexes; prepn. and optimization of **PNA**-DNA chimera binding properties)

IT Translation, genetic
(prepn. and optimization of **PNA**-DNA chimera binding

properties)
IT Antisense DNA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT **Peptide nucleic acids**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 207020-60-8P 207020-61-9P 207020-62-0P 207020-63-1P 207020-64-2P 207020-65-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 9050-76-4
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 207020-32-4 207020-33-5 207020-37-9 207020-38-0 207020-46-0 207020-47-1 207020-51-7 207020-52-8
RL: PRP (Properties)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 207020-34-6P 207020-35-7P 207020-36-8P 207020-39-1P 207020-40-4P 207020-41-5P 207020-42-6P 207020-43-7P 207020-44-8P 207020-45-9P 207020-48-2P 207020-49-3P 207020-50-6P 207020-53-9P 207020-54-0P 207020-55-1P 207020-56-2P 207020-57-3P 207020-58-4P 207020-59-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 4712-55-4 10242-36-1 20924-05-4 57260-73-8 172316-36-8 172316-42-6 172316-44-8 182998-85-2 206435-20-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and optimization of **PNA**-DNA chimera binding properties)
IT 203643-20-3P 203643-39-4P 206435-21-4P 206435-22-5P 206435-23-6P 206435-24-7P 206435-25-8P 206435-26-9P 206435-27-0P 206435-28-1P 206435-29-2P 206887-50-5P 206887-51-6P 206887-52-7P 206887-53-8P 206887-54-9P 206887-55-0P 207020-28-8P 207020-29-9P 207020-30-2P 207020-31-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and optimization of **PNA**-DNA chimera binding properties)

L85 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:186571 HCAPLUS
DN 128:240314
TI A nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases
IN **Uhlmann, Eugen**; **Breipohl, Gerhard**; Benner, Steven; Lutz, Michael
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA German

IC ICM C12Q001-68
CC 3-1 (Biochemical Genetics)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 829542	A1	19980318	EP 1997-115521	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	DE 19637339	A1	19980319	DE 1996-19637339	19960913
	US 6063571	A	20000516	US 1997-927274	19970911
	CA 2215489	AA	19980313	CA 1997-2215489	19970912
	JP 10099088	A2	19980421	JP 1997-250443	19970916
PRAI	DE 1996-19637339		19960913		

AB A method of using **peptide nucleic acids** (**PNAs**) as primers for DNA amplification with thermostable DNA polymerases, i.e. in PCR, is described. The only modification to the **PNAs** that is essential is the introduction of 1-3 3'-terminal deoxynucleotides with a free 3'-hydroxyl group. Methods for the synthesis of deoxynucleotide-terminated primers are also given.

ST **peptide nucleic acid** primer PCR; **PNA** deoxynucleotide terminated PCR primer

IT **Peptide nucleic acids**
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(3'-ologodeoxynucleotide with 3'-OH-contg., as primers; nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

IT PCR (polymerase chain reaction)
(nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

IT 204692-16-0P 204692-17-1P 204692-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of, in prepn. **peptide nucleic acids**; nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

IT 204867-94-7P 204867-95-8P 204867-96-9P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(prepn. of, as PCR primer; nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

IT 111-41-1P 7087-68-5P, Diisopropylethylamine 14470-28-1P 20924-05-4P 89992-70-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactions of, in prepn. **peptide nucleic acids**; nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

IT 9012-90-2, DNA polymerase
RL: ARG (Analytical reagent use); CAT (Catalyst use); ANST (Analytical study); USES (Uses)
(thermostable, **peptide nucleic acid**-based primers for; nucleic acid amplification method using **peptide nucleic acids** as primers for thermostable DNA polymerases)

L85 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:70167 HCAPLUS
DN 128:167687
TI PHONA - **PNA** co-oligomers: nucleic acid mimetics with interesting

properties

AU Peyman, Anusch; **Uhlmann, Eugen**; Wagner, Konrad; Augustin, Sascha; Weiser, Caroline; **Will, David W.**; **Breipohl, Gerhard**

CS Hoechst Marion Roussel Deutschland GmbH, Frankfurt, D-65926, Germany

SO Angewandte Chemie, International Edition in English (1998), Volume Date 1997, 36(24), 2809-2812
CODEN: ACIEAY; ISSN: 0570-0833

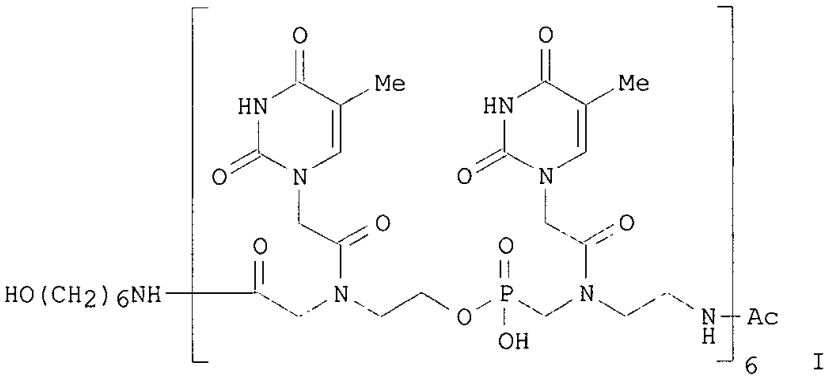
PB Wiley-VCH Verlag GmbH

DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 33

GI



I

AB Alternating title co-oligomer I contg. **peptide nucleic acid** (**PNA**) and (aminomethyl)phosphonic acid backbones was prepd. and melting temps. (Tm) of complexes with completely or partially complementary DNA measured. The binding properties of I with complementary DNA are very similar to those of **PNAs**, but the co-oligomer I has a much better water soly.

ST aminomethylphosphonate **peptide nucleic acid** prepn stability; DNA complex aminomethylphosphonate **peptide nucleic acid**

IT **Peptide nucleic acids**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (aminomethyl)phosphonic acid backbone **peptide nucleic acid** co-oligomers as nucleic acid mimetics with interesting properties)

IT 203009-55-6P 203009-56-7P 203009-57-8P 203009-60-3P 203010-00-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (aminomethyl)phosphonic acid backbone **peptide nucleic acid** co-oligomers as nucleic acid mimetics with interesting properties)

IT 20924-05-4, 1-Thyminylacetic acid 57260-73-8, N-tert-Butoxycarbonylethylenediamine 85363-76-4 172405-31-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (aminomethyl)phosphonic acid backbone **peptide nucleic acid** co-oligomers as nucleic acid mimetics with interesting properties)

IT 185670-76-2P 185670-78-4P 185670-79-5P 202914-62-3P 202914-63-4P 202914-64-5P 202914-65-6P 202914-66-7P 202914-67-8P 202914-68-9P 202914-69-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (aminomethyl)phosphonic acid backbone **peptide nucleic acid** co-oligomers as nucleic acid mimetics with interesting properties)

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Agrawal, S; Methods in Molecular Medicine:Antisense Therapeutics 1996
(2) Bergmann, F; Tetrahedron Lett 1995, V36, P6823 HCAPLUS
(3) Carpino, L; J Am Chem Soc 1993, V115, P4397 HCAPLUS
(4) de Mesmaker, A; Acc Chem Res 1995, V28, P366
(5) Egholm, M; J Am Chem Soc 1992, V114, P9677 HCAPLUS
(6) Englisch, U; Angew Chem 1991, V103, P629 HCAPLUS
(7) Englisch, U; Angew Chem Int Ed Engl 1991, V30, P613
(8) Eriksson, M; Nature Structural Biol 1996, V3, P410 HCAPLUS
(9) Finn, P; Nucl Acids Res 1996, V24, P3357 HCAPLUS
(10) Griffith, M; J Am Chem Soc 1995, V117, P831 HCAPLUS
(11) Hanvey, J; Science 1992, V258, P1481 HCAPLUS
(12) Hayakawa, Y; J Org Chem 1993, V58, P5551 HCAPLUS
(13) Hyrup, B; Bioorg Med Chem 1996, V4, P5 HCAPLUS
(14) Job, P; Ann Chim (Paris) 1928, V9, P113 HCAPLUS
(15) Kunz, H; Angew Chem 1984, V96, P426 HCAPLUS
(16) Kunz, H; Angew Chem Int Ed Engl 1984, V23, P436
(17) Nielsen, P; Annu Rev Biophys Biomol Struct 1995, V24, P167 HCAPLUS
(18) Petersen, K; Bioorg Med Chem Lett 1995, V5, P1119 HCAPLUS
(19) Peyman, A; EP 0739898 A2 1996 HCAPLUS
(20) Peyman, A; Angew Chem 1996, V108, P2797
(21) Peyman, A; Angew Chem Int Ed Engl 1996, V35, P2636 HCAPLUS
(22) Reese, C; Tetrahedron 1978, V34, P3143 HCAPLUS
(23) Shikata, H; J Lab Clin Med 1995, V125, P421 HCAPLUS
(24) Trapane, T; Biochemistry 1996, V35, P5495 HCAPLUS
(25) Uhlmann, E; Angew Chem 1996, V108, P2793
(26) Uhlmann, E; Angew Chem Int Ed Engl 1996, V35, P2632
(27) Uhlmann, E; Chem Rev 1990, V90, P543 HCAPLUS
(28) Uhlmann, E; Encyclopedia of Cancer 1997, P64
(29) Uhlmann, E; Helv Chim Acta 1981, V64, P1688 HCAPLUS
(30) Uhlmann, E; Methods in Molecular Biology, Vol 20: Protocols for Oligonucleotides and Analogs, Chapter 16 1993, P355 HCAPLUS
(31) van der Laan, A; Recl Trav Chim Pays-Bas 1995, V114, P295 HCAPLUS
(32) van der Laan, A; Tetrahedron Lett 1996, V37, P7857 HCAPLUS
(33) Will, D; Tetrahedron 1995, V51, P12069 HCAPLUS

L85 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2003 ACS

AN 1997:758327 HCAPLUS
Correction of: 1997:714702

DN 127:346655
Correction of: 127:319261

TI Novel synthetic routes to **PNA** monomers and **PNA**-DNA linker molecules

AU **Breipohl, Gerhard; Will, David W.**; Peyman, Anusch; **Uhlmann, Eugen**

CS Hoechst Marion Roussel Deutschland GmbH, Frankfurt am Main, D-65926, Germany

SO Tetrahedron (1997), 53(43), 14671-14686
CODEN: TETRAB; ISSN: 0040-4020

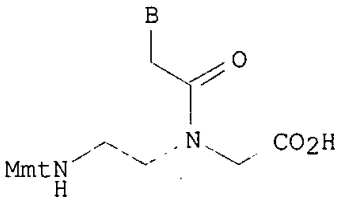
PB Elsevier

DT Journal

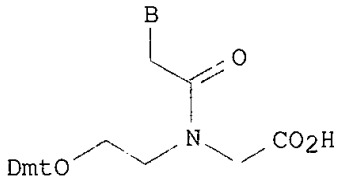
LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33

GI



I



II

AB Novel methods for the prepn. of monomethoxytrityl (Mmt)-protected aminoethylglycine building blocks [I; B = 1-thyminyl, N4-(4-methoxybenzoyl)-1-cytosinyl, N6-(4-methoxybenzoyl)-9-adeninyl, N2-acetyl-O6-diphenylcarbamoyl-9-guaninyl, N2-isobutyryl-9-guaninyl] and dimethoxytrityl (Dmt)-protected hydroxyethylglycine derivs. II, useful for the synthesis of polyamide nucleic acids (**PNAs**) and **PNA** /DNA chimeras, are described. The protecting group strategy employed for **PNA** monomer synthesis produces intermediates that are easily isolated, minimizes chromatog. purifn., and is suitable for large-scale monomer synthesis.

ST **peptide nucleic acid** monomer prepn; nucleic acid polyamide protected building block

IT **Peptide nucleic acids**
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

IT 96-32-2 107-15-3, 1,2-Ethanediamine, reactions 107-59-5 141-43-5, reactions 2916-14-5 3891-07-4, N-(2-Hydroxyethyl)phthalimide 5292-43-3 20924-05-4 112233-74-6 172405-10-6 172405-18-4 172405-20-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

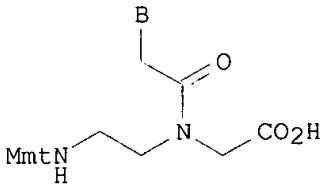
IT 66937-71-1P 153765-10-7P 172405-24-2P 172405-25-3P 172405-32-2P 172729-41-8P 184241-26-7P 188779-49-9P 188779-50-2P 188779-51-3P 188779-53-5P 188779-54-6P 188779-56-8P 188779-57-9P 188779-58-0P 188779-59-1P 188779-60-4P 188779-61-5P 188779-62-6P 188779-63-7P 197801-81-3P 197801-83-5P 197801-98-2P 197802-00-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

IT 170490-73-0P 172316-36-8P 172316-40-4P 172316-41-5P 172316-42-6P 185810-72-4P 185810-73-5P 185810-74-6P 188779-64-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

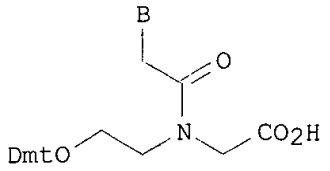
L85 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:714702 HCAPLUS
DN 127:319261
TI Novel synthetic routes to **PNA** monomers and **PNA**-DNA linker molecules
AU **Breipohl, Gerhard; Will, David W.**; Peyman, Anusch; Uhimann, Eugen
CS Hoechst Marion Roussel Deutschland GmbH, Frankfurt am Main, D-65926, Germany
SO Tetrahedron (1997), 53(43), 14671-14686
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier
DT Journal
LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 26

GI



I



II

AB Novel methods for the prepn. of monomethoxytrityl (Mmt)-protected aminoethylglycine building blocks I [B = 1-thyminyl, N4-(4-methoxybenzoyl)-1-cytosinyl, N6-(4-methoxybenzoyl)-9-adeninyl, N2-acetyl-O6-diphenylcarbamoyl-9-guaninyl, N2-isobutyryl-9-guaninyl] and dimethoxytrityl (Dmt)-protected hydroxyethylglycine derivs. II, useful for the synthesis of polyamide nucleic acids (**PNAs**) and **PNA** /DNA chimeras are described. The protecting group strategy employed for **PNA** monomer synthesis produces easily isolable intermediates, minimizes chromatog. purifn., and is suitable for large-scale monomer synthesis.

ST **peptide nucleic acid** monomer prepn; protected building block polyamide nucleic acid

IT **Peptide nucleic acids**
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

IT 96-32-2, Methyl bromoacetate 107-15-3, 1,2-Ethanediamine, reactions 107-59-5, tert-Butyl chloroacetate 141-43-5, reactions 2916-14-5, Allyl chloroacetate 3891-07-4, N-(2-Hydroxyethyl)phthalimide 5292-43-3, tert-Butyl bromoacetate 20924-05-4 112233-74-6 172405-10-6 172405-18-4 172405-20-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

IT 66937-71-1P 153765-10-7P 172405-24-2P 172405-25-3P 172405-32-2P 172729-41-8P 184241-26-7P 188779-49-9P 188779-50-2P 188779-51-3P 188779-53-5P 188779-54-6P 188779-56-8P 188779-57-9P 188779-58-0P 188779-59-1P 188779-60-4P 188779-61-5P 188779-62-6P 188779-63-7P 197801-81-3P 197801-83-5P 197801-98-2P 197802-00-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

IT 170490-73-0P 172316-36-8P 172316-40-4P 172316-41-5P 172316-42-6P 185810-72-4P 185810-73-5P 185810-74-6P 188779-64-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel synthetic routes to protected **PNA** monomers and **PNA**-DNA linker mols.)

L85 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:591221 HCAPLUS
DN 127:262910
TI Synthesis of polyamide nucleic acids (**PNAs**), **PNA** /DNA-chimeras and phosphonic ester nucleic acids (PHONAs)
AU **Uhlmann, E.; Will, D. W.; Breipohl, G.;** Peyman, A.; Langner, D.; Knolle, J.; O'Malley, G.
CS Central Pharma Res., Hoechst AG, Frankfurt, D-65926, Germany
SO Nucleosides & Nucleotides (1997), 16(5 & 6), 603-608

CODEN: NUNUD5; ISSN: 0732-8311
PB Dekker
DT Journal; General Review
LA English
CC 33-0 (Carbohydrates)
Section cross-reference(s): 34
AB A review with 18 refs. on methods for the prepn. of polyamide nucleic acids (**PNAs**) and derivs. thereof by different synthetic routes is described. The first strategy makes use of 9-Fluorenylmethoxycarbonyl (Fmoc)/monomethoxytrityl (Mmt) protected building blocks, whereas the second approach involves the use of Mmt/acyl protected monomers, which allows the prepn. of **PNA**/DNA chimera. Addnl., a block coupling strategy is presented for the synthesis of novel phosphonic ester nucleic acids (PHONAs).
ST monomethoxytrityl protective group DNA prepn review; fluorenylmethoxycarbonyl protective group DNA prepn review; phosphonic ester nucleic acid prepn review; **PNA** DNA chimera prepn review; polyamide nucleic acid DNA chimera review
IT Protective groups
(Fmoc/MMTr; prepn. of polyamide nucleic acids, **PNA**/DNA-chimeras and phosphonic ester nucleic acids)
IT **Peptide nucleic acids**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**PNA**/DNA-chimeras; prepn. of polyamide nucleic acids, **PNA**/DNA-chimeras and phosphonic ester nucleic acids)
IT DNA
RL: SPN (Synthetic preparation); PREP (Preparation)
(**PNA**/DNA-chimeras; prepn. of polyamide nucleic acids, **PNA**/DNA-chimeras, and phosphonic ester nucleic acids)
IT Nucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(phosphonic ester; prepn. of polyamide nucleic acids, **PNA**/DNA-chimeras, and phosphonic ester nucleic acids)

L85 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:412349 HCAPLUS
DN 127:66087
TI Solid-phase synthesis of **PNA**-DNA chimeric oligomers
AU **Will, D.W.**; **Breipohl, G.**; Langner, D.; **Uhlmann, E.**
CS Hoechst AG, Allgemeine Pharma Forschung G838, Frankfurt am Main, D-65926, Germany
SO Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 4th, Edinburgh, Sept. 12-16, 1995 (1996), Meeting Date 1995, 65-68. Editor(s): Epton, Roger. Publisher: Mayflower Scientific, Birmingham, UK.
CODEN: 64ONA9
DT Conference
LA English
CC 33-10 (Carbohydrates)
Section cross-reference(s): 34
AB A symposium on **PNA**-DNA chimeric oligomers have been prepd. using automated solid-phase prepn. A novel Mmt protecting-group strategy for the **PNA** part of the mol. was employed which allowed the use of std. DNA synthesis and deprotection chem.
ST monomethoxytrityl protecting group DNA **PNA** symposium; **PNA** DNA solid phase prepn symposium
IT Protective groups
(monomethoxytrityl; solid phase prepn. of **PNA**/DNA chimeric oligomers)
IT Solid phase synthesis
(solid phase prepn. of **PNA**/DNA chimeric oligomers)

IT DNA
Peptide nucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase prepn. of **PNA**/DNA chimeric oligomers)

L85 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:412348 HCAPLUS
DN 127:66086
TI Synthesis of polyamide nucleic acids using a new protection scheme which is fully compatible with oligonucleotide synthesis
AU **Breipohl, G.**; **Will, D.W.**; Langner, D.; Knolle, J.; **Uhlmann, E.**
CS Hoechst AG, Allgemeine Pharma Forschung G838, Frankfurt am Main, D-65926, Germany
SO Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 4th, Edinburgh, Sept. 12-16, 1995 (1996), Meeting Date 1995, 61-64. Editor(s): Epton, Roger. Publisher: Mayflower Scientific, Birmingham, UK.
CODEN: 64ONA9
DT Conference
LA English
CC 33-10 (Carbohydrates)
AB A symposium on the prepn. of novel monomethoxytrityl (Mmt) protected monomers for the prepn. of polyamide nucleic acids (**PNAs**) is described. Use of the acid-labile Mmt group as temporary protection for the primary amino function of aminoethylglycine in combination with base-labile acyl-type protecting groups for the nucleobases allow a synthetic strategy similar to std. oligo-nucleotide synthesis conditions. **PNAs** of mixed base sequence have been synthesized with this method.
ST monomethoxytrityl protective group nucleic acid symposium; polyamide nucleic acid prepn symposium
IT Protective groups
(monomethoxytrityl; prepn. of polyamide nucleic acids using a new protection which is fully compatible with oligodeoxyribonucleotide prepn.)
IT Nucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(polyamide; prepn. of polyamide nucleic acids using a new protection which is fully compatible with oligodeoxyribonucleotide prepn.)

L85 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:283607 HCAPLUS
DN 126:264359
TI Preparation of ethylglycine derivatives
IN **Breipohl, Gerhard**; **Uhlmann, Eugen**; **Will, David William**
PA Hoechst A.-G., Germany
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07K005-078
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19532553	A1	19970306	DE 1995-19532553	19950904
	EP 761681	A2	19970312	EP 1996-113530	19960822
	EP 761681	A3	19970709		
	EP 761681	B1	20020313		

R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

	AT 214398	E	20020315	AT 1996-113530	19960822
	ES 2173230	T3	20021016	ES 1996-113530	19960822
	AU 9664408	A1	19970306	AU 1996-64408	19960902
	AU 708034	B2	19990729		
	CA 2184681	AA	19970305	CA 1996-2184681	19960903
	NO 9603677	A	19970305	NO 1996-3677	19960903
	JP 09124572	A2	19970513	JP 1996-232692	19960903
	US 5817811	A	19981006	US 1996-707149	19960903
PRAI	DE 1995-19532553	A	19950904		

OS MARPAT 126:264359

AB N-ethylglycine derivs. PG-X-CH2CH2N(COCH2B1)CH2CO2H (PG is a urethane- or trityl-type amino protecting group which is cleavable by weak acid; X = NH or O; B1 = nucleotide base in which exocyclic amino or hydroxy groups are protected), useful in **PNA** or **PNA**/DNA hybrid prepn., were prepd. Thus, 2-aminoethanol was condensed with bromoacetic acid t-Bu ester, then with thyminylacetic acid, the product deesterified, and the acid treated with DMT-Cl to give a protected **PNA** monomer.

ST ethylglycine prepn; glycine ethyl prepn; aminoethanol condensation bromoacetate thyminylacetic acid; **PNA** DNA hybrid prepn

IT **Peptide nucleic acids**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(precursor prepn; prepn of ethylglycine derivs useful in **PNA** or **PNA**/DNA hybrid synthesis)

IT Condensation reaction
(prepn of ethylglycine derivs useful in **PNA** or **PNA**/DNA hybrid synthesis)

IT 66937-71-1P 104732-23-2P 172405-25-3P 172405-32-2P 172729-41-8P 188779-49-9P 188779-50-2P 188779-51-3P 188779-53-5P 188779-55-7P 188779-56-8P 188779-57-9P 188779-58-0P 188779-59-1P 188779-60-4P 188779-61-5P 188779-63-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of ethylglycine derivs useful in **PNA** or **PNA**/DNA hybrid synthesis)

IT 170490-73-0P 172316-36-8P 172316-40-4P 172316-41-5P 185810-72-4P 185810-73-5P 188779-64-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn of ethylglycine derivs useful in **PNA** or **PNA**/DNA hybrid synthesis)

IT 107-15-3, 1,2-Ethanediamine, reactions 107-59-5, Chloroacetic acid, tert-butyl ester 141-43-5, reactions 5292-43-3, Bromoacetic acid, tert-butyl ester 20924-05-4 172405-10-6 172405-18-4 188779-52-4 188779-62-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of ethylglycine derivs useful in **PNA** or **PNA**/DNA hybrid synthesis)

L85 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2003 ACS

AN 1997:224058 HCAPLUS

DN 126:274010

TI Recognition of Uncharged Polyamide-Linked Nucleic Acid Analogs by DNA Polymerases and Reverse Transcriptases

AU Lutz, Michael J.; Benner, Steven A.; Hein, Silvia; **Breipohl, Gerhard; Uhlmann, Eugen**

CS Department of Chemistry, Swiss Federal Institute of Technology, Zurich, CH-8092, Switz.

SO Journal of the American Chemical Society (1997), 119(13), 3177-3178
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English
CC 7-3 (Enzymes)
AB Polyamide-linked nucleic acid (**PNAs**) are DNA mimics in which the deoxyribose phosphate backbone is replaced by uncharged N-(2-aminoethyl)glycine units. Here, the authors report that several DNA polymerases and reverse transcriptases are able to elongate a **PNA** primer with a nucleophilic 3'-hydroxyl group, despite the fact that no phosphate residues are present in the **PNA** primer to interact with the polymerase. Enzymic synthesis of **PNA**-DNA chimeras might have implications for the use of modified **PNAs** in advanced diagnostic systems, allowing facilitated screening for genetic mutations, and as tools for studying structure-function relationships in enzymes that process nucleic acids. These results are also interesting in the light of models for the origin of life that propose an evolutionary linkage between a **PNA**-like and a DNA-protein world.
ST **peptide nucleic acid** primer polymerase transcriptase; DNA polymerase primer **peptide nucleic acid**; reverse transcriptase primer **peptide nucleic acid**
IT Reverse transcription
(recognition of uncharged DNA mimics (**peptide nucleic acid** primers) by DNA polymerases and reverse transcriptases)
IT **Peptide nucleic acids**
Primers (nucleic acid)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(recognition of uncharged DNA mimics (**peptide nucleic acid** primers) by DNA polymerases and reverse transcriptases)
IT DNA formation
(replication; recognition of uncharged DNA mimics (**peptide nucleic acid** primers) by DNA polymerases and reverse transcriptases)
IT 9012-90-2, DNA polymerase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(I; recognition of uncharged DNA mimics (**peptide nucleic acid** primers) by DNA polymerases and reverse transcriptases)
IT 9068-38-6, Reverse transcriptase 188901-47-5
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(recognition of uncharged DNA mimics (**peptide nucleic acid** primers) by DNA polymerases and reverse transcriptases)

L85 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:88503 HCAPLUS
DN 126:100903
TI Phosphonomonoester nucleic acids, process for their preparation, and their use in molecular biology and as pharmaceuticals
IN Peyman, Anuschirwan; **Uhlmann, Eugen**; **Breipohl, Gerhard**; Wallmeier, Holger
PA Hoechst A.-G., Germany
SO Can. Pat. Appl., 126 pp.
CODEN: CPXXEB
DT Patent
LA English
IC ICM C12Q001-68
ICS C07K002-00; C07H021-00; A61K048-00; A61K031-70; A61K038-00
CC 6-2 (General Biochemistry)
Section cross-reference(s): 1, 3, 33
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI CA 2171589 AA 19960914 CA 1996-2171589 19960312
DE 19508923 A1 19960919 DE 1995-19508923 19950313
DE 19543865 A1 19970605 DE 1995-19543865 19951124
PRAI DE 1995-19508923 A 19950313
DE 1995-19543865 A 19951124
OS CASREACT 126:100903
AB Novel oligonucleotide analogs which may be loosely described as phosphonomonoester analogs of **peptide nucleic acids** (PMENA's) and methods for their synthesis are claimed. Particularly preferred PMENA analogs are Q-[OP(:O)(OR)CH2N(COCH2B)CH2CH2]n O-Q' (n=1-25; R=OH, OEt, OPh, etc.; B=natural nucleobase; Q,Q'=H, alkyl, Ph, etc. or an oligonucleotide or modified oligonucleotide). Their application relates to use as inhibitors of gene expression (antisense oligonucleotides, ribozymes, sense oligonucleotides and triplex-forming oligonucleotides), as probes for the detection of nucleic acids and as auxiliaries in mol. biol. PMENA analog H-[OP(:O)(OH)CH2N(COCH2T)CH2CH2]9O P(:O)(OEt)OEt was prepd. and its interaction with (dA)9 examd. by UV spectroscopy and by gel shift anal. The Tm for the PMENA analog-(dA)9 complex was 23.degree..
ST oligonucleotide analog phosphonomonoester synthesis pharmaceutical
IT Oligonucleotides
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(analogs; phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Artery, disease
(coronary, restenosis, prevention of; phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Gene
(expression, inhibition of; phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Antitumor agents
Antiviral agents
(phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Probes (nucleic acid)
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Growth factors, animal
Tumor necrosis factors
RL: MSC (Miscellaneous)
(treatment of diseases involving; phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT Hepatitis B virus
Human herpesvirus 1
Human herpesvirus 2
Human immunodeficiency virus
Influenza virus
Papillomavirus
(treatment of infection by; phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT 185670-74-0P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)
IT 50-00-0, Formaldehyde, reactions 100-27-6 107-18-6, 2-Propen-1-ol, reactions 141-43-5, reactions 762-04-9 4712-55-4 14470-28-1 20924-05-4 57260-73-8 78635-98-0 89992-70-1 102774-86-7

172405-10-6 172405-18-4 172405-25-3 185670-94-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)

IT 85363-76-4P 105496-31-9P 183057-32-1P 183057-37-6P 183057-48-9P
183057-51-4P 183057-55-8P 183057-59-2P 183057-63-8P 183057-66-1P
183057-69-4P 183057-72-9P 183057-75-2P 183057-79-6P 183057-82-1P
183057-84-3P 183057-88-7P 183057-91-2P 183057-94-5P 183057-96-7P
183057-99-0P 183058-02-8P 183058-04-0P 183058-06-2P 183058-09-5P
183058-10-8P 183058-11-9P 183058-12-0P 183058-13-1P 183058-14-2P
183058-15-3P 183058-16-4P 183058-18-6P 183058-19-7P 183058-21-1P
183058-22-2P 183058-25-5P 185670-36-4P 185670-58-0P 185670-59-1P
185670-60-4P 185670-61-5P 185670-62-6P 185670-63-7P 185670-64-8P
185670-65-9P 185670-66-0P 185670-67-1P 185670-68-2P 185670-69-3P
185670-70-6P 185670-71-7P 185670-72-8P 185670-76-2P 185670-78-4P
185670-79-5P 185670-80-8P 185670-81-9P 185670-82-0P 185670-84-2P
185670-87-5P 185670-90-0P 185670-92-2P 185670-95-5P 185670-96-6P
185670-97-7P 185670-98-8P 185670-99-9P 185671-00-5P 185671-01-6P
185671-02-7P 185671-03-8P 185830-87-9P 185830-88-0P 185830-89-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phosphonomonoester nucleic acids prepn. and use in mol. biol. and as pharmaceuticals)

L85 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:508642 HCAPLUS
Correction of: 1996:190218
DN 125:168639
Correction of: 124:344062
TI Synthesis of polyamide nucleic acids (**PNAs**) using a novel Fmoc/Mmt protecting-group combination
AU **Breipohl, G.**; Knolle, J.; Langner, D.; O'Malley, G.; **Uhlmann, E.**
CS Central Pharma Res., Hoechst AG, Frankfurt, 65926, Germany
SO Bioorganic & Medicinal Chemistry Letters (1996), 6(6), 665-670
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 26
AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of polyamide nucleic acids (**PNAs**) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric **PNAs**.
ST polyamide nucleic acid Merrifield synthesis; **peptide nucleic acid** Merrifield synthesis; monomethoxytrityl nucleobase protective group soly
IT Merrifield synthesis
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT **Peptide nucleic acids**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT Protective groups
(methoxytrityl, synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and

monomethoxytrityl protecting group combination)
IT 71-30-7, Cytosine 73-24-5, Adenine, reactions 96-32-2, Methyl bromoacetate 10310-21-1, 2-Amino-6-chloropurine 20924-05-4, 1-(Carboxymethyl)thymine 172405-43-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT 169396-92-3P 172405-46-8P 172405-47-9P 172405-48-0P 172405-49-1P 172405-50-4P 172405-51-5P 172405-52-6P 172405-53-7P 172405-54-8P 172405-55-9P 172405-56-0P 172405-57-1P 172405-58-2P 172405-59-3P 172405-62-8P 176750-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT 139166-84-0P 172405-67-3P 176750-54-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

L85 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:190218 HCAPLUS
DN 124:344062
TI Synthesis of polyamide nucleic acids (**PNAs**) using a novel Fmoc/Mmt protecting-group combination
AU **Breipohol, G.**; Knolle, J.; Langner, D.; O, Malley, G.; **Uhlmann, E.**
CS Central Pharma Research, Hoechst AG, Frankfurt, 65926, Germany
SO Bioorganic & Medicinal Chemistry Letters (1996), 6(6), 665-70
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 26
AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of polyamide nucleic acids (**PNAs**) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric **PNAs**.
ST polyamide nucleic acid Merrifield synthesis; **peptide nucleic acid** Merrifield synthesis; monomethoxytrityl nucleobase protective group soly
IT Merrifield synthesis
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT **Peptide nucleic acids**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT Protective groups
(methoxytrityl, synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)
IT 71-30-7, Cytosine 73-24-5, Adenine, reactions 96-32-2, Methyl bromoacetate 10310-21-1, 2-Amino-6-chloropurine 20924-05-4,

1-(Carboxymethyl)thymine 172405-43-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT 169396-92-3P 172405-46-8P 172405-47-9P 172405-48-0P 172405-49-1P
172405-50-4P 172405-51-5P 172405-52-6P 172405-53-7P 172405-54-8P
172405-55-9P 172405-56-0P 172405-57-1P 172405-58-2P 172405-59-3P
172405-62-8P 176750-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT 139166-84-0P 172405-67-3P 176750-54-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of **peptide nucleic acids** using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

L85 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:994444 HCAPLUS
DN 124:202955
TI Preparation of polyamide-oligonucleotide derivatives as drugs, gene probes, and primers.
IN **Uhlmann, Eugen; Breipohl, Gerhard**
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C07H021-00
ICS C08L077-00; C12Q001-68; A61K031-70
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 6, 34
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 672677	A2	19950920	EP 1995-103332	19950308
	EP 672677	A3	19960117		
	EP 672677	B1	20020703		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4408528	A1	19950928	DE 1994-4408528	19940314
	EP 1113021	A2	20010704	EP 2001-104012	19950308
	EP 1113021	A3	20010711		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	AT 220070	E	20020715	AT 1995-103332	19950308
	ES 2179080	T3	20030116	ES 1995-103332	19950308
	FI 9501132	A	19950915	FI 1995-1132	19950310
	AU 9514798	A1	19950921	AU 1995-14798	19950310
	AU 698210	B2	19981029		
	CA 2144475	AA	19950915	CA 1995-2144475	19950313
	NO 9500955	A	19950915	NO 1995-955	19950313
	CN 1112126	A	19951122	CN 1995-102946	19950313
	JP 07278179	A2	19951024	JP 1995-54644	19950314
PRAI	DE 1994-4408528	A	19940314		
	EP 1995-103332	A3	19950308		

AB F[(QB)q(Q1B)r(Q2B)s(Q3B)t]xF1 [q, r, s, t = 0, 1; X = 1-20; Q, Q2 = nucleic acid (deriv.); Q1, Q3 = polyamide residue contg. .gtoreq.1 nucleic acid base except thymine; B = covalent bond, org. residue contg. .gtoreq.1 of C, N, O, S; F, F1 = end groups which may be bound to each other], were prepd. Title compds. show increased cellular uptake, improved nuclease stability, and are not cytotoxic; they are claimed for use as drugs and

gene probes.

ST polyamide oligonucleotide prepn drug probe primer; dna **pna** hybrid mol prepn; gene probe polyamide oligonucleotide prepn

IT Neoplasm inhibitors
Nucleic acid hybridization
Virucides and Virustats
(prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Nucleopeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Animal cell
(treatment of diseases influenced by cell-cell adhesion receptors; prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Integrins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(treatment of diseases influenced by integrins; prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Nucleotides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oligo-, prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Nucleotides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oligo-, deoxyribo-, prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT Heart, disease
(restenosis, treatment; prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT 175864-54-7P 175864-55-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT 108-30-5, reactions 502-85-2 4048-33-3, 6-Amino-1-hexanol 20924-05-4 67826-12-4 98796-51-1 100747-20-4 172405-39-9 172405-41-3 172405-42-4 172494-26-7 172494-27-8 172494-28-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

IT 114729-83-8P 125697-62-3P 172316-34-6DP, resin bound 172316-34-6P 172316-40-4P 172316-42-6P 172316-45-9P 172405-31-1P 172494-29-0P 172494-30-3P 172494-31-4P 172494-32-5P 172494-33-6P 172494-34-7P 172494-35-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of polyamide-oligonucleotide derivs. as drugs, gene probes, and primers)

L85 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:994426 HCAPLUS
DN 124:87803
TI Preparation of substituted N-ethylglycine derivatives for the preparation of **peptide nucleic acids** and **peptide nucleic acid**/deoxyribonucleic acid hybrids.
IN **Breipohl, Gerhard**; **Uhlmann, Eugen**; Knolle, Jochen
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C07D239-46
ICS C07D239-54; C07D473-34; C07D473-18; C07D233-92; C07D521-00; C08G069-06; C07H021-00; C08G069-10
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 33
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 672661	A1	19950920	EP 1995-103333	19950308
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4408534	A1	19950928	DE 1994-4408534	19940314
	FI 9501128	A	19950915	FI 1995-1128	19950310
	AU 9514799	A1	19950921	AU 1995-14799	19950310
	AU 686729	B2	19980212		
	CA 2144474	AA	19950915	CA 1995-2144474	19950313
	NO 9500959	A	19950915	NO 1995-959	19950313
	US 6075143	A	20000613	US 1995-402840	19950313
	JP 07258222	A2	19951009	JP 1995-54643	19950314
	US 6465650	B1	20021015	US 2000-506901	20000218
PRAI	DE 1994-4408534	A	19940314		
	US 1995-402840	A3	19950313		

OS MARPAT 124:87803
AB PGXCH2CH2N(COYB)CH2CO2H [PG = urethane- or trityl-type protecting group labile to weak acid; X = NH, O, S; Y = CH2, NH, O; B = (protected) nucleoside (replacement) base], were prepd. Thus, N-[(4-methoxyphenyl)diphenylmethyl]aminoethylglycine Me ester (prepn. given) in DMF was treated sequentially with 3,4-dihydro-4-oxo-1,2,3-benzotriazine, 4-ethylmorpholine, N4-benzoyl-N1-carboxymethylcytosine in DMF, and with DCC; the mixt. was stirred 20 h at room temp. to give the coupling product, which was sapond. with aq. NaOH/dioxane to give N-[(4-methoxyphenyl)diphenylmethyl]aminoethyl-N-[[1-(N4-benzoyl)cytosyl]acetyl]glycine.
ST ethylglycine deriv **pna** intermediate prepn; dna **pna** hybrid intermediate ethylglycine deriv; nucleopeptide intermediate ethylglycine prepn
IT Deoxyribonucleic acids
RL: SPN (Synthetic preparation); PREP (Preparation)
(hybrids; prepn. of substituted N-ethylglycine derivs. for the prepn. of **peptide nucleic acids** and **peptide nucleic acid**/DNA hybrids)
IT Nucleopeptides
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediates; prepn. of substituted N-ethylglycine derivs. for the prepn. of **peptide nucleic acids** and **peptide nucleic acid**/DNA hybrids)
IT 65-71-4, Thymine 71-30-7, Cytosine 73-24-5, Adenine, reactions 73-40-5, Guanine 79-04-9 79-08-3, Bromoacetic acid 96-32-2 98-88-4, Benzoyl chloride 100-07-2, 4-Methoxybenzoyl chloride 141-43-5, 2-Aminoethanol, reactions 156-57-0, 2-Mercaptoethylamine hydrochloride 288-88-0, 1H-1,2,4-Triazole 298-12-4, Glyoxylic acid 794-94-5, 4-Methoxybenzoic anhydride 1710-98-1 3034-38-6, 4-Nitroimidazole 3587-60-8, Benzyl chloromethyl ether 18907-79-4

34619-03-9, Di-tert-butylcarbonate 40615-36-9 67826-12-4 112233-74-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted N-ethylglycine derivs. for the prepn. of **peptide nucleic acids** and **peptide nucleic acid**/DNA hybrids)

IT 79-30-1P, Isobutanoyl chloride 13251-16-6P 20924-05-4P 21047-89-2P
26661-13-2P 51820-70-3P 55036-34-5P 97025-97-3P 118534-11-5P
119451-90-0P 134456-94-3P 135697-25-5P 141743-19-3P 168263-86-3P
170944-06-6P 172405-08-2P 172405-09-3P 172405-10-6P 172405-11-7P
172405-12-8P 172405-13-9P 172405-14-0P 172405-15-1P 172405-16-2P
172405-17-3P 172405-18-4P 172405-19-5P 172405-20-8P 172405-21-9P
172405-22-0P 172405-23-1P 172405-24-2P 172405-25-3P 172405-26-4P
172405-27-5P 172405-28-6P 172405-29-7P 172405-30-0P 172405-38-8P
172405-39-9P 172405-40-2P 172405-41-3P 172405-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted N-ethylglycine derivs. for the prepn. of **peptide nucleic acids** and **peptide nucleic acid**/DNA hybrids)

IT 170490-73-0P 172316-36-8P 172316-40-4P 172316-41-5P 172316-42-6P
172316-44-8P 172316-45-9P 172405-31-1P 172405-32-2P 172405-33-3P
172405-34-4P 172405-35-5P 172405-36-6P 172405-37-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted N-ethylglycine derivs. for the prepn. of **peptide nucleic acids** and **peptide nucleic acid**/DNA hybrids)

```
=> d his

     (FILE 'HOME' ENTERED AT 07:36:09 ON 13 MAR 2003)
               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 07:36:46 ON 13 MAR 2003
               E DE2000-10019136/AP,PRN
L1           1 S E3,E4
               SEL RN

     FILE 'REGISTRY' ENTERED AT 07:38:11 ON 13 MAR 2003
L2          88 S E1-E88
L3           0 S L2 AND (NCNC2-SC4 AND NCNC2-NCNC3 AND NCNC3)/ES
L4           0 S L2 AND NCNC2-SC4/ES
L5           6 S L2 AND P/ELS
L6          86 S L2 AND SQL/FA
L7          17 S L6 AND 11/SQL
L8          26 S L6 AND 12/SQL
L9           4 S L8 AND PEPTIDE NUCLEIC ACID AND THIENO AND IMIDAZOL AND HEXAH
L10          1 S L9 AND G G T A T G G G A T A T
               E FS
               E GGTATGGGATAT/SQEN
L11          3 S E3
               E TATTCCGTCAT/SQEN
L12        129 S E3
L13          4 S L12 AND THIENO AND IMIDAZOL?
L14          2 S L13 NOT 22/SQL
               E TATTCCGTCAT/SQEN
L15          2 S L2 NOT L6
L16          7 S L2 AND ?THIEN?/CNS
L17          4 S L2 AND ?GUAN?/CNS
L18          1 S L2 AND ?ADEN?/CNS NOT L17
L19          1 S L2 AND ?THYM?/CNS NOT L17,L18
L20         41 S (NCNC2-SC4 AND NCNC2-NCNC3 AND NCNC3)/ES
L21          6 S L20 AND 7/NR
```

```
L22           0 S L21 AND 1/P
L23        8236 S (?THIENO?(L)?IMIDAZOL?)/CNS
L24        6330 S NCNC2-SC4/ES
L25        8278 S L23,L24
L26         764 S L25 AND P/ELS
L27         738 S L25 AND ?PHOSPH?/CNS
L28         905 S L26,L27
L29         127 S L28 AND OXOPENTYL AMINO HEXYL
L30          37 S L20 AND HEXAHYDRO 2 OXO
L31          33 S L30 AND P>=2
L32           4 S L30 NOT L31
L33           0 S L32 NOT OC4/ES
L34          79 S L29 NOT OC4/ES
L35          29 S L34 AND P>=2
L36          50 S L34 NOT L35
L37          21 S L36 NOT UNSPECIFIED
L38          29 S L36 NOT L37
L39           5 S L38 AND L11,L12
L40           3 S L39 NOT 22/SQL
L41          24 S L38 NOT L39
L42          19 S L41 NOT COMPLEX
L43           5 S L42 AND (11 OR 12)/SQL
L44           3 S L43 AND PHOSPHINYL
L45          14 S L12 AND ?PHOSPHINYL?/CNS
L46          14 S L45 AND HEX?
L47           6 S L45 NOT 22/SQL
L48           4 S L47 NOT SPIRO
L49           2 S L48 NOT ?THIENO?/CNS
L50             STR
L51           4 S L50 CSS
L52         157 S L50 CSS FUL
                SAV L52 SIEW835/A
L53           0 S L52 AND NCNC2-SC4/ES
L54           5 S L52 AND 6/NR
L55           3 S L54 NOT GLY
L56           2 S L55 AND HYDROXYHEXYL
L57          13 S L52 AND 9/NR
L58           2 S L54 AND ACETYL
L59          12 S L11,L14,L40,L44,L48,L49,L56,L58
                SAV L59 SIEW835A/A

     FILE 'HCAPLUS' ENTERED AT 08:38:47 ON 13 MAR 2003
L60           3 S L59
                E UHLMANN E/AU
L61         173 S E3,E4,E14-E15
                E BRIEPOHL G/AU
                E BREIPOHL G/AU
L62         106 S E3-E6
                E BREIPOEHL G/AU
L63           1 S E2
L64           1 S E10
                E WILL D/AU
L65          40 S E3,E7-E10
                E AVENTIS/PA,CS
L66        1598 S E2-E4
L67         857 S (AVENTIS(L)PHARM?)/PA,CS
L68           2 S L60 AND L61-L67
L69           3 S L60,L68
                E PEPTIDE NUCLEIC ACID/CT
                E E4+ALL
L70        1670 S E3
L71        5997 S PEPTIDE NUCLEIC ACID OR PNA
L72          34 S L61-L67 AND L70,L71
```

```
               SEL RN L72

     FILE 'REGISTRY' ENTERED AT 08:43:45 ON 13 MAR 2003
L73          564 S E1-E564
L74            0 S L73 AND NCNC2-SC4/ES
L75            8 S L73 AND (?THIENO?(L)?IMIDAZ?)/CNS
L76           27 S L73 AND L11,L12
L77            7 S L73 AND L52

     FILE 'HCAPLUS' ENTERED AT 08:48:15 ON 13 MAR 2003
L78           12 S L75-L77
L79            9 S L78 AND L61-L67
L80            8 S L79 AND L72
L81            9 S L79,L80
L82            3 S L78 NOT L81

     FILE 'REGISTRY' ENTERED AT 08:50:05 ON 13 MAR 2003

     FILE 'HCAPLUS' ENTERED AT 08:50:26 ON 13 MAR 2003
               SEL HIT RN L69

     FILE 'REGISTRY' ENTERED AT 08:50:55 ON 13 MAR 2003
L83           11 S E565-E575

     FILE 'HCAPLUS' ENTERED AT 08:51:21 ON 13 MAR 2003
L84           12 S L78-L82
L85           26 S L72 NOT L69,L84
```